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(54) Title: NOVEL INTEGRIN RECEPTOR ANTAGONISTS

(57) Abstract

This invention relates to novel heterocycles including 3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(benzyloxycarbonylamino)propionic acid, which are useful as antagonists of the $\alpha_v\beta_3$ integrin and related cell surface adhesive protein receptors, to pharmaceutical compositions containing such compounds, processes for preparing such compounds, and to methods of using these compounds, alone or in combination with other therapeutic agents, for the inhibition of cell adhesion, the treatment of angiongenic disorders, inflammation, bone degradation, cancer metastasis, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis.

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TITLE

Novel Integrin Receptor Antagonists

FIELD OF THE INVENTION

This invention relates to novel heterocycles which are useful as antagonists of the $\alpha_v\beta_3$ integrin and related cell surface adhesive protein receptors, to pharmaceutical compositions containing such compounds, processes for preparing such compounds, and to methods of using these compounds, alone or in combination with other therapeutic agents, for the inhibition of cell adhesion, the treatment of angiogenic disorders, inflammation, bone degradation, cancer metastasis, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis.

BACKGROUND OF THE INVENTION

Angiogenesis or neovascularization is critical for normal physiological processes such as embryonic development and wound repair (Folkman and Shing, J. Biol. Chem. 1992, 267:10931-10934; D'Amore and Thompson, Ann. Rev. Physiol. 1987, 49:453-464). However, angiogenesis also occurs pathologically, for example, in ocular neovascularization (leading to diabetic retinopathy, neovascular glaucoma, retinal vein occlusion and blindness), in rheumatoid arthritis and in solid tumors (Folkman and Shing, J. Biol. Chem., 1992, 267:10931-10934; Blood and Zetter, Biochim. Biophys. Acta., 1990, 1032:118-128).

Tumor dissemination, or metastasis, involves several distinct and complementary components, including the penetration and traversing of tumor cells through basement membranes and the establishment of self-sustaining tumor foci in diverse organ systems. To this end, angiogenesis is critical to tumor survival. Without neovascularization, tumor cells lack the nourishment to divide and will not be able to leave the primary tumor site (Folkman and Shing, J. Biol. Chem., 1992, 267:10931-10934).

Inhibition of angiogenesis in animal models of cancer has been shown to result in tumor growth suppression and prevention of metastatic growth (Herblin et al., Exp. Opin. Ther. Patents, 1994, 1-14). Many angiogenic inhibitors have been directed toward blocking initial cytokine-dependent induction of new vessel growth, e.g. antibodies to endothelial cell growth factors. However, these approaches are problematic because tumor and inflammatory cells can secrete multiple activators of angiogenesis (Brooks et al., Cell, 1994, 79:1157-1164). Therefore, a more general approach that would allow inhibition of angiogenesis due to a variety of stimuli would be of benefit.

The integrin $\alpha_v\beta_3$, sometimes called the vitronectin receptor, is preferentially expressed on angiogenic blood vessels in chick and man (Brooks et al., Science, 1994, 264:569-571; Enenstein and Kramer, J. Invest. Dermatol., 1994, 103:381-386). $\alpha_v\beta_3$ is the most promiscuous member of the integrin family, allowing endothelial cells to interact with a wide variety of extracellular matrix components (Hynes, Cell, 1992, 69:11-25). These adhesive interactions are considered to be critical for angiogenesis since vascular cells must ultimately be capable of invading virtually all tissues.

While integrin $\alpha_V\beta_3$ promotes adhesive events important for angiogenesis, this receptor also transmits signals from the extracellular environment to the intracellular compartment (Leavesley et al., J. Cell Biol., 1993, 121:163-170, 1993). For example, the interaction between the $\alpha_V\beta_3$ integrin and extracellular matrix components promotes a calcium signal required for cell motility.

During endothelium injury, the basement membrane zones of blood vessels express several adhesive proteins, including but not limited to von Willebrand factor, fibronectin, and fibrin. Additionally, several members of the integrin family of adhesion receptors are expressed on the surface of endothelial, smooth muscle and on other circulating cells. Among these integrins is $\alpha_V\beta_3$, the endothelial cell, fibroblast, and smooth muscle cell receptor for adhesive proteins including von Willebrand factor, fibrinogen (fibrin), vitronectin, thrombospondin, and osteopontin. These integrins initiate a calcium-dependent signaling pathway that can lead to endothelial cell and smooth muscle cell migration and, therefore, may play a fundamental role in vascular cell biology.

Recently, an antibody to the $\alpha_V\beta_3$ integrin has been developed that inhibits the interaction of this integrin with agonists such as vitronectin (Brooks et al., Science, 1994, 264:569-571). Application of this antibody has been shown to disrupt ongoing angiogenesis on the chick chorioallantoic membrane (CAM), leading to rapid regression of histologically distinct human tumor transplanted onto the CAM (Brooks et al., Cell, 1994, 79:1157-1164). In this model, antagonists of the $\alpha_V\beta_3$ integrin induced apoptosis of the proliferating angiogenic vascular cells, leaving pre-existing quiescent blood vessels unaffected. Thus, $\alpha_V\beta_3$ integrin antagonists have been shown to inhibit angiogenesis and

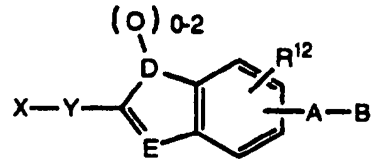
are recognized as being useful as therapeutic agents for the treatment of human diseases such as cancer, restenosis, thromoembolic disorders, rheumatoid arthritis and ocular vasculopathies (Folkman and Shing, J. Biol. Chem., 1992, 267:10931-10934).

Increasing numbers of other cell surface receptors have been identified which bind to extracellular matrix ligands or other cell adhesion ligands thereby mediating cell-cell and cell-matrix adhesion processes. Like the $\alpha_v\beta_3$ integrin, these receptors belong to the integrin gene superfamily and are composed of heterodimeric transmembrane glycoproteins containing α- and β-subunits. Integrin subfamilies contain a common β-subunit combined with different α-subunits to form adhesion receptors with unique specificity. The genes for eight distinct β-subunits have been cloned and sequenced to date.

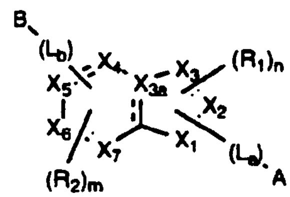
The integrin $\alpha_v\beta_3$ is a member of the β_3 integrin subfamily and has been described on platelets, endothelial cells, melanoma, smooth muscle cells, and osteoclasts (Horton and Davies, J. Bone Min. Res. 1989, 4:803-808; Davies et al., J. Cell. Biol. 1989, 109:1817-1826; Horton, Int. J. Exp. Pathol., 1990, 71:741-759). Like the major platelet integrin GPIIb/IIIa, the vitronectin receptor binds a variety of RGD-containing adhesive proteins such as vitronectin, fibronectin, von Willibrand factor, fibrinogen, osteopontin, bone sialoprotein II and thrombospondin in a manner mediated by the RGD sequence.

A key event in bone resorption is the adhesion of osteoclasts to the matrix of bone. Studies with monoclonal antibodies have implicated the $\alpha_v\beta_3$ receptor in this process and suggest that a selective $\alpha_v\beta_3$ antagonist would have utility in blocking bone resorption in diseases such as osteoporosis (Horton et al., J. Bone Miner. Res., 1993, 8:239-247; Helfrich et al., J. Bone Miner. Res., 1992, 7:335-343).

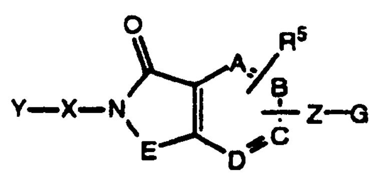
PCT Patent Application Publication Number WO94/08962, published April 28, 1994 discloses fibrinogen receptor antagonists of the general formula shown below:



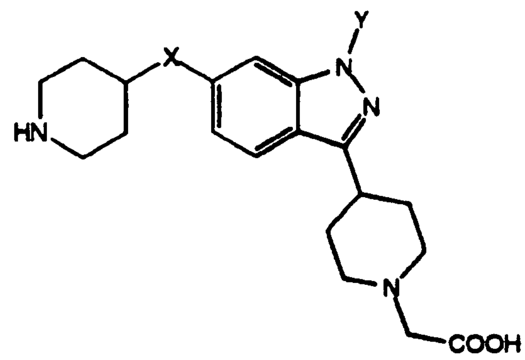
European Patent Application Publication Number 655,439, published May 31, 1995 discloses fibrinogen receptor antagonists of the general formula shown below:



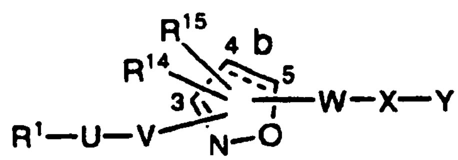
PCT Patent Application Publication Number WO95/17397, published June 29, 1995, discloses fibrinogen receptor antagonists of the general formula shown below:



PCT Patent Application Publication Number WO96/20192, published July 4, 1996, discloses fibrinogen receptor antagonists of the general formula shown below:



Co-pending, commonly assigned U.S. Patent Application Serial Number 08/455,768 filed 5/31/95 discloses integrin inhibitors of the general formula shown below:



None of the above references discloses or suggests the compounds of the present invention which are described in detail below.

SUMMARY OF THE INVENTION

The present invention provides novel nonpeptide compounds which bind to integrin receptors thereby altering cell-matrix and cell-cell adhesion processes. The compounds of the present invention are useful for the inhibition of cell adhesion and the treatment (including prevention) of angiogenic disorders, inflammation, bone degradation, cancer metastases, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis.

One aspect of this invention provides novel compounds of Formula Ia, Ib or Ic (described below) which are useful as antagonists of the $\alpha_v\beta_3$ integrin. The $\alpha_v\beta_3$ integrin is also referred to as the $\alpha_v\beta_3$ receptor or the vitronectin receptor. The compounds of the present invention inhibit the binding of vitronectin or other RGD-containing ligands to $\alpha_v\beta_3$ and inhibit cell adhesion. The present invention also includes pharmaceutical compositions containing such compounds, and methods of using such compounds for the inhibition of angiogenesis, and/or for the treatment of disorders mediated by angiogenesis.

Another aspect of the present invention comprises agents that inhibit the binding of vitronectin to the $\alpha_v\beta_3$ receptor for the treatment (including prevention) of thrombosis, which do not significantly alter hemostatic balance and do not significantly inhibit platelet aggregation and do not significantly inhibit coagulation. Also, the compounds of the current invention can be used for the treatment or prevention of restenosis.

The present invention also provides novel compounds, pharmaceutical compositions and methods which may be used in the treatment or prevention of other diseases which involve cell adhesion processes, including, but not limited to, rheumatoid arthritis, asthma, allergies, adult respiratory distress syndrome, graft versus host disease, organ transplantation, septic shock, psoriasis, eczema, contact dermatitis, osteoporosis, osteoarthritis, atherosclerosis, metastasis, wound healing, diabetic retinopathy, ocular vasculopathies, inflammatory bowel disease and other autoimmune diseases.

Also included in the present invention are pharmaceutical kits comprising one or more containers containing pharmaceutical dosage units comprising a

compound of Formula Ia, Ib or Ic, for the therapeutic inhibition of cell adhesion, the treatment of angiogenic disorders, inflammation, bone degradation, cancer metastasis, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis.

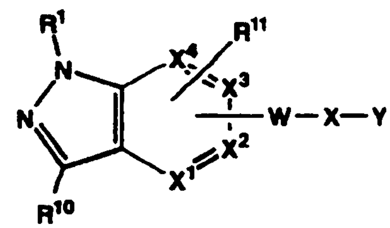
DETAILED DESCRIPTION OF THE INVENTION

The present invention provides novel compounds of Formula Ia, Ib or Ic (described below) which bind to integrin receptors thereby altering cell-matrix and cell-cell adhesion processes. The compounds of the present invention are useful for the inhibition of cell adhesion and the treatment of angiogenic disorders, inflammation, bone degradation, cancer metastases, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis, in a mammal.

One aspect of this invention provides novel compounds of Formula Ia, Ib or Ic (described below) which are useful as antagonists of the $\alpha_v\beta_3$ integrin. The $\alpha_v\beta_3$ integrin is also referred to as the $\alpha_v\beta_3$ receptor or the vitronectin receptor. The compounds of the present invention inhibit the binding of vitronectin or other RGD-containing ligands to $\alpha_v\beta_3$ and inhibit cell adhesion. The present invention also includes pharmaceutical compositions containing such compounds of Formula Ia, Ib or Ic, and methods of using such compounds for the inhibition of angiogenesis, and/or for the treatment of angiogenic disorders, inflammation, bone degradation, cancer metastases, diabetic retinopathy, thrombosis, restenosis, macular

degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis, in a mammal.

[1] One aspect of the present invention comprises compounds of Formula Ia:

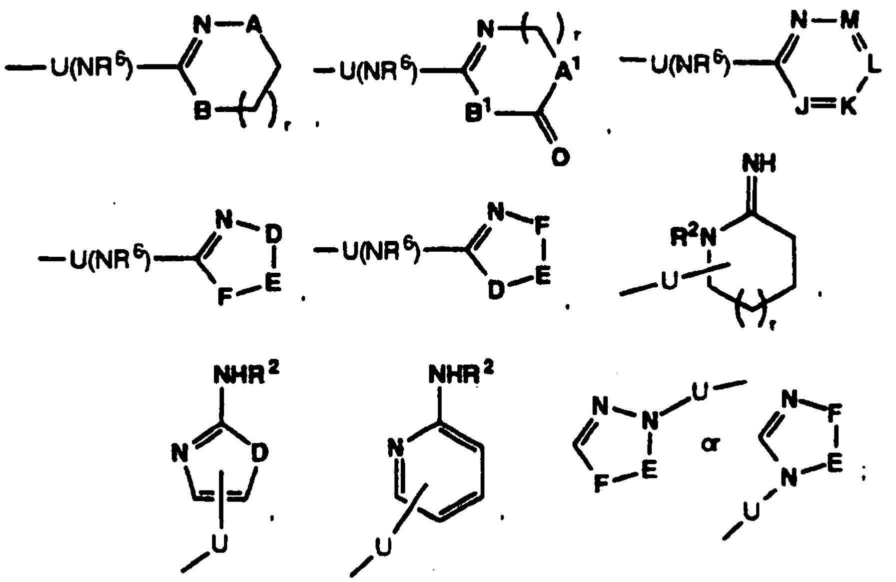


Ia

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof, wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, -O-, $-N(R^2)-$, or -C(=O)-;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, -O-, -S-, -C(=O)- or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from $-C(R^4)-$, $-C(R^5)-$ or -N-, provided that at least one of J, K, L and M is not -N-;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl; (C_1-C_6 alkyl)aminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6

alkyl)carbonyl-, arylcarbonyl, C_1-C_6 alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, or aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from the group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, arylcarbonyl, or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,

$-(CH_2)_n(CR^7{=}CR^8)(CH_2)_m-$,

$-(CH_2)_n(C{\equiv}C)(CH_2)_m-$,

$-(CH_2)_tQ(CH_2)_m-$,

$-(CH_2)_nO(CH_2)_m-$,

$-(CH_2)_nN(R^6)(CH_2)_m-$,
$-(CH_2)_nC(=O)(CH_2)_m-$,
$-(CH_2)_n(C=O)N(R^6)(CH_2)_m-$
$-(CH_2)_nN(R^6)(C=O)(CH_2)_m-$, or
$-(CH_2)_nS(O)_p(CH_2)_m-$;
wherein one or more of the methylene groups in U is optionally substituted with R^7;

Q is selected from 1,2-cycloalkylene, 1,2-phenylene, 1,3-phenylene, 1,4-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, 2,4-pyridinylene, or 3,4-pyridazinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

R^{10} is selected from: H, C_1-C_4 alkoxy substituted with 0-1 R^{21}, $N(R^6)_2$, halogen, NO_2, CN, CF_3, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

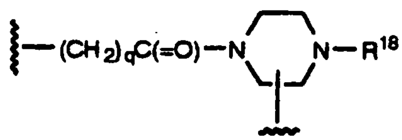
R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1

R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is selected from:
$-(C(R^{12})_2)_qC(=O)N(R^{13})-$, or
$-C(=O)-N(R^{13})-(C(R^{12})_2)_q-$;

X is $-C(R^{12})(R^{14})-C(R^{12})(R^{15})-$; or

alternatively, W and X can be taken together to be



;

R^{12} is selected from H, halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, C_4-C_{10} cycloalkylalkyl, (C_1-C_4 alkyl)carbonyl, aryl, or aryl(C_1-C_6 alkyl)-;

R^{13} is selected from H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-;

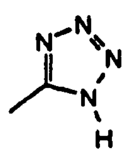
R^{14} is selected from:
H, C_1-C_6 alkylthio(C_1-C_6 alkyl)-, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from:

H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, (C_1-C_{10} alkyl)carbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_1-C_{10} alkenyl, C_1-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11};

Y is selected from:

$-COR^{19}$, $-SO_3H$, $-PO_3H$, tetrazolyl, $-CONHNHSO_2CF_3$, $-CONHSO_2R^{17}$, $-CONHSO_2NHR^{17}$, $-NHCOCF_3$, $-NHCONHSO_2R^{17}$, $-NHSO_2R^{17}$, $-OPO_3H_2$, $-OSO_3H$, $-PO_3H_2$, $-SO_3H$, $-SO_2NHCOR^{17}$, $-SO_2NHCO_2R^{17}$,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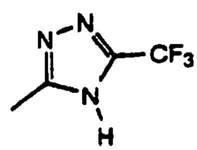
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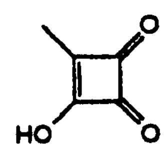

;

R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are

optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,
$-C(=O)-O-R^{17}$,
$-C(=O)-R^{17}$,
$-C(=O)-NH-R^{17}$,
$-SO_2-R^{17}$, or
$-SO_2-NR^{20}R^{17}$;

R^{19} is selected from: hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, aryloxy, aryl(C_1-C_6 alkoxy)-, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy, C_2-C_{10} alkoxycarbonylalkyloxy, C_5-C_{10} cycloalkylcarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonylalkyloxy, C_7-C_{11} aryloxycarbonylalkyloxy, C_8-C_{12} aryloxycarbonyloxyalkyloxy, C_8-C_{12} arylcarbonyloxyalkyloxy, C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy, C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or $(R^{11})(R^{12})N-(C_1$-C_{10} alkoxy)-;

R^{20} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from: COOH or $NR^6{}_2$;

m is 0-4;

n is 0-4;

t is 0-4;

p is 0-2;

q is 0-2; and

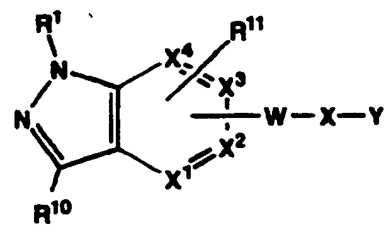
r is 0-2;

with the following provisos:

(1) t, n, m and q are chosen such that the number of atoms connecting R^1 and Y is in the range of 10-14; and

(2) n and m are chosen such that the value of n plus m is greater than one unless U is $-(CH_2)_tQ(CH_2)_m-$.

[2] Preferred compounds of the invention as described above are compounds of the Formula Ia:

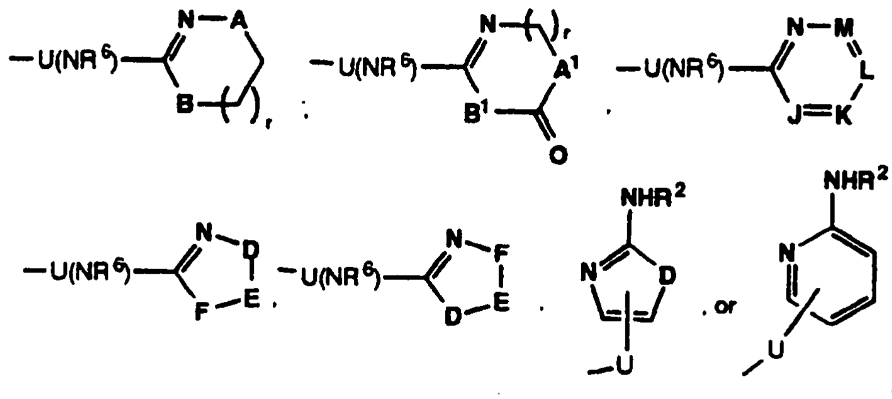


Ia

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof, wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, -O-, $-N(R^2)-$, or -C(=O)-;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, -O-, -S-, -C(=O)- or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from $-C(R^4)-$, $-C(R^5)-$ or -N-, provided that at least one of J, K, L and M is not -N-;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, C_1-C_6 alkylaminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, or aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from the

group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, C_2-C_7 alkylcarbonyl, arylcarbonyl or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,

$-(CH_2)_n(CR^7{=}CR^8)(CH_2)_m-$,

$-(CH_2)_tQ(CH_2)_m-$,

$-(CH_2)_nO(CH_2)_m-$,

$-(CH_2)_nN(R^6)(CH_2)_m-$,

$-(CH_2)_nC(=O)(CH_2)_m-$, or

$-(CH_2)_nS(O)_p(CH_2)_m-$;

wherein one or more of the methylene groups in U is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

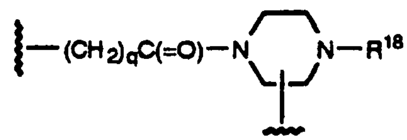
R^{10} is selected from: H, C_1-C_4 alkoxy substituted with 0-1 R^{21}, $N(R^6)_2$, halogen, NO_2, CN, CF_3, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-(C(R^{12})_2)_q-$;

X is $-C(R^{12})(R^{14})-C(R^{12})(R^{15})-$;

alternatively, W and X can be taken together to be



;

R^{12} is H or C_1-C_6 alkyl;

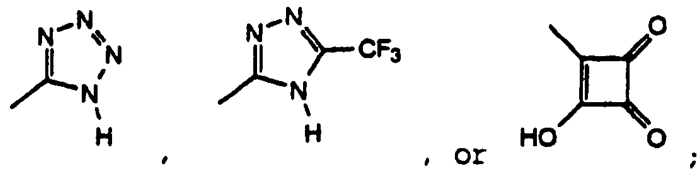
R^{13} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-;

R^{14} is selected from: H, C_1-C_6 alkylthioalkyl, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from: H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, C_1-C_{10} alkylcarbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be substituted independently with 0-2 R^{11};

Y is selected from:

$-COR^{19}$, $-SO_3H$,



R16 is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,

$-N(R^{20})-C(=O)-R^{17}$,

$-N(R^{20})-C(=O)-NH-R^{17}$,

$-N(R^{20})SO_2-R^{17}$, or

$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,

$-C(=O)-O-R^{17}$,

$-C(=O)-R^{17}$,

$-C(=O)-NH-R^{17}$,

$-SO_2-R^{17}$, or

$-SO_2-NR^{20}R^{17}$;

R^{19} is selected from: hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, C_6-C_{10} aryloxy, C_7-C_{11} aralkyloxy, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy,

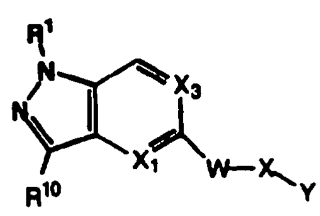
C_2-C_{10} alkoxycarbonylalkyloxy, C_5-C_{10} cycloalkylcarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonylalkyloxy, C_7-C_{11} aryloxycarbonylalkyloxy, C_8-C_{12} aryloxycarbonyloxyalkyloxy, C_8-C_{12} arylcarbonyloxyalkyloxy, C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy, C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or $(R^{11})(R^{12})N$-(C_1-C_{10} alkoxy)-;

R^{20} selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

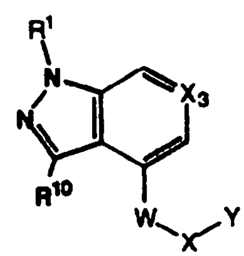
R^{21} is selected from COOH or $NR^6{}_2$;

m is 0-4;
n is 0-4;
p is 0-2;
q is 0-2;
t is 0-4; and
r is 0-2.

[3] Further preferred compounds of the invention as described above are compounds of the Formula IIa or IIb:



or

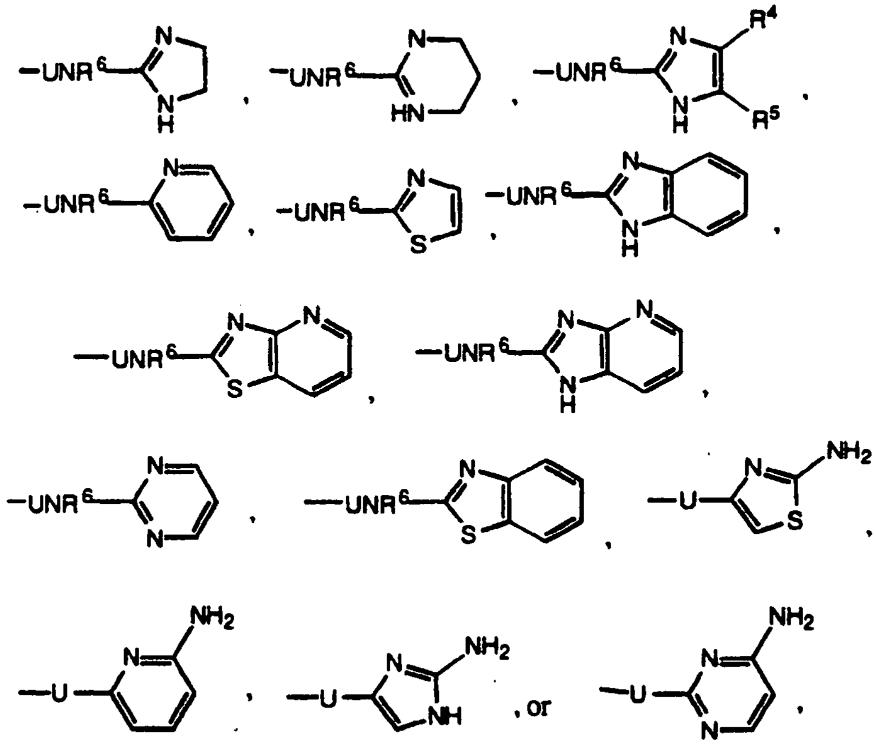


IIa IIb

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof wherein:

X_1 and X_3 are independently selected from nitrogen or carbon;

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl;

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R7 is selected from: C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^{10} is selected from: H, C_1-C_4 alkoxy substituted with 0-1 R^{21}, halogen, CO_2R^{17}, $CONR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is -C(=O)-N(R^{13})-;

X is -CH(R^{14})-CH(R^{15})-;

R^{13} is H or CH_3;

R^{14} is selected from:

H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally

substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is -COR^{19};

R^{16} is selected from:

-NH(R^{20})-C(=O)-O-R^{17},
-N(R^{20})-C(=O)-R^{17},
-N(R^{20})-C(=O)-NH-R^{17},
-N(R^{20})SO_2-R^{17}, or
-N(R^{20})SO_2-N(R^{20})R^{17};

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:

hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,

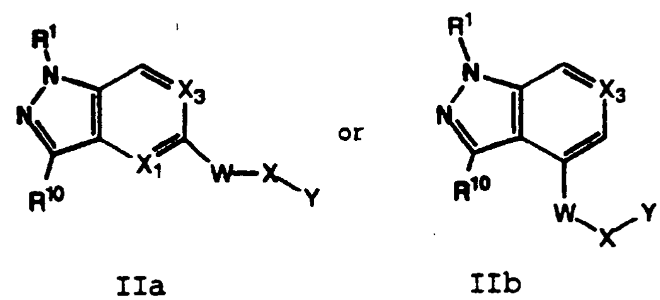
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(t-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,
diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or $NR^6{}_2$;

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

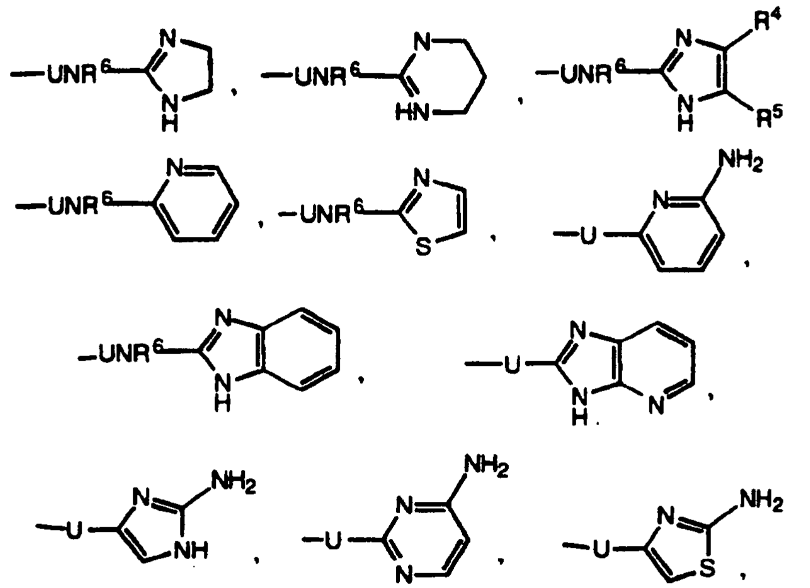
[4] Still further preferred compounds of the above invention are compounds of the Formula IIa or IIb:



including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof wherein:

X_1 and X_3 are independently selected from nitrogen or carbon, provided that at least one of X_1 and X_3 is carbon;

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl;

U is $-(CH_2)_n-$, $-(CH_2)_lQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R7 is selected from: C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^{10} is selected from: H, C_1-C_4 alkoxy substituted with 0-1 R^{21}, halogen, CO_2R^{17}, $CONR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};W is -C(=O)-N(R^{13})-;

W is -C(=O)-N(R^{13})-;

X is -CH(R^{14})-CH(R^{15})-;

R^{13} is H or CH_3;

R^{14} is selected from:

H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is $-COR^{19}$;

R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:

hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(*t*-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,

diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or $NR^6{}_2$;

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

[5] Specifically preferred compounds of the invention as described above are compounds of Formula Ia, including enantiomeric or diasteriomeric forms thereof, or mixtures of enantiomeric or diasteriomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof, selected from the group consisting of:

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(benzenesulfonylamino) propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino) propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(benzyloxycarbonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(benzenesulfonylamino) propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,6-dimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(4-phenylbenzenesulfonyl-amino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(benzenesulfonylamino) propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino) propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(benzyloxy-carbonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(2,4,6-trimethyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(benzenesulfonyl-amino) propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(2,6-dichloro-benzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(3,5-dimethyl-isoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(2,6-dimethyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(4-phenylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(4-phenylbenzenesulfonyl-amino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dimethylbenzene-sulfonylamino)propionic acid,

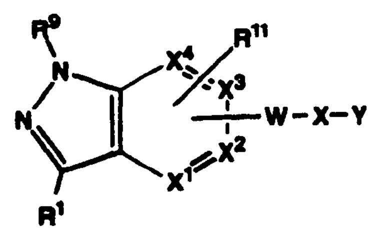
3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid, and

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(4-phenylbenzenesulfonyl-amino)propionic acid.

Also specifically preferred are ester prodrugs of the specifically preferred compounds of Formula Ia, said esters being chosen from the group consisting of:

methyl,
ethyl,
isopropyl,
n-butyl,
isobutyl,
benzyl,
methylcarbonyloxymethyl,
ethylcarbonyloxymethyl,
tert-butylcarbonyloxymethyl,
cyclohexylcarbonyloxymethyl,
tert-butyloxycarbonyloxymethyl,
dimethylaminoethyl,
diethylaminoethyl,
morpholinoethyl,
pyrrolidinoethyl, and
trimethylammonioethyl.

[6] Another aspect of the present invention comprises compounds of Formula Ib:

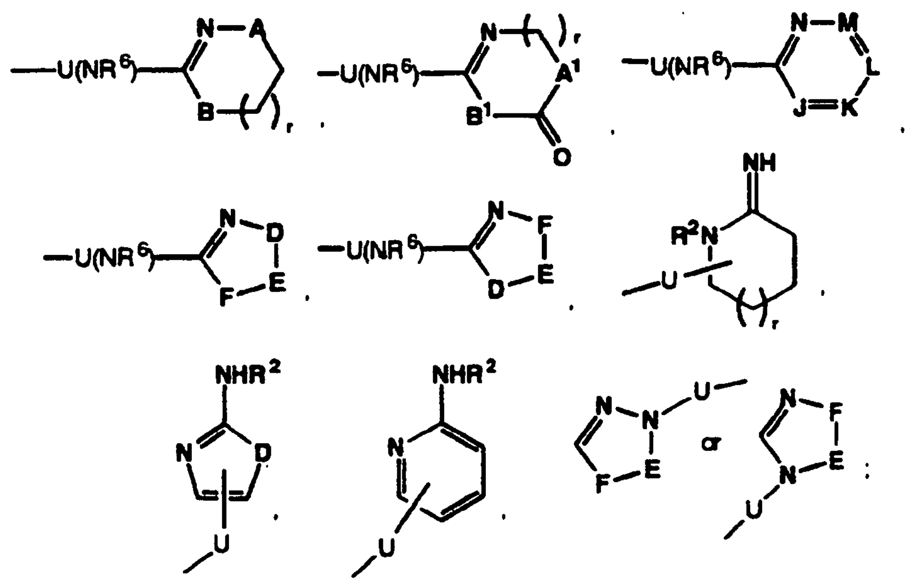


Ib

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof, wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, -O-, $-N(R^2)-$, or -C(=O)-;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, -O-, -S-, -C(=O)- or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from: $-C(R^4)-$, $-C(R^5)-$ or -N-, provided that at least one of J, K, L and M is not -N-;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl; (C_1-C_6

alkyl)aminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, or arylcarbonyl, C_1-C_6 alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, or aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from the group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, arylcarbonyl, or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:
$-(CH_2)_n-$,

$-(CH_2)_n(CR^7{=}CR^8)(CH_2)_m-$
$-(CH_2)_n(C{\equiv}C)(CH_2)_m-$
$-(CH_2)_tQ(CH_2)_m-$
$-(CH_2)_nO(CH_2)_m-$,
$-(CH_2)_nN(R^6)(CH_2)_m-$,
$-(CH_2)_nC(=O)(CH_2)_m-$,
$-(CH_2)_n(C=O)N(R^6)(CH_2)_m-$
$-(CH_2)_nN(R^6)(C=O)(CH_2)_m-$, or
$-(CH_2)_nS(O)_p(CH_2)_m-$;
wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from: 1,2-cycloalkylene, 1,2-phenylene, 1,3-phenylene, 1,4-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, 2,4-pyridinylene, or 3,4-pyridazinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

R^9 is selected from: H, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)-substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

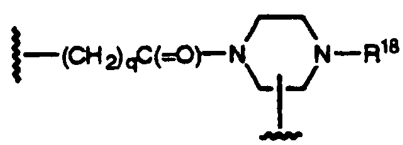
R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted

with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is selected from:
$-(C(R^{12})_2)_qC(=O)N(R^{13})-$, or
$-C(=O)-N(R^{13})-(C(R^{12})_2)_q-$;

X is $-C(R^{12})(R^{14})-C(R^{12})(R^{15})-$; or

alternatively, W and X can be taken together to be



;

R^{12} is selected from: H, halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, C_4-C_{10} cycloalkylalkyl, (C_1-C_4 alkyl)carbonyl, aryl, or aryl(C_1-C_6 alkyl)-;

R^{13} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-;

R^{14} is selected from:
H, C_1-C_6 alkylthio(C_1-C_6 alkyl)-, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups

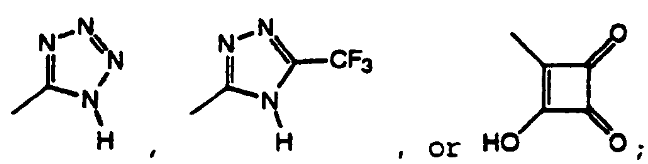
may be unsubstituted or substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from:

H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, (C_1-C_{10} alkyl)carbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_1-C_{10} alkenyl, C_1-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11};

Y is selected from:

$-COR^{19}$, $-SO_3H$, $-PO_3H$, tetrazolyl, $-CONHNHSO_2CF_3$, $-CONHSO_2R^{17}$, $-CONHSO_2NHR^{17}$, $-NHCOCF_3$, $-NHCONHSO_2R^{17}$, $-NHSO_2R^{17}$, $-OPO_3H_2$, $-OSO_3H$, $-PO_3H_2$, $-SO_3H$, $-SO_2NHCOR^{17}$, $-SO_2NHCO_2R^{17}$,



R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6

alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,
$-C(=O)-O-R^{17}$,
$-C(=O)-R^{17}$,
$-C(=O)-NH-R^{17}$,
$-SO_2-R^{17}$, or
$-SO_2-NR^{20}R^{17}$;

R^{19} is selected from hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, aryloxy, aryl(C_1-C_6 alkoxy)-, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy, C_2-C_{10} alkoxycarbonylalkyloxy, C_5-C_{10} cycloalkylcarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonylalkyloxy, C_7-C_{11} aryloxycarbonylalkyloxy, C_8-C_{12} aryloxycarbonyloxyalkyloxy, C_8-C_{12} arylcarbonyloxyalkyloxy, C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy, C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or $(R^{11})(R^{12})N$-(C_1-C_{10} alkoxy)-;

R^{20} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from COOH or NR^6_2;

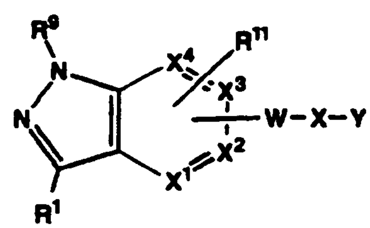
m is 0-4;
n is 0-4;
t is 0-4;
p is 0-2;
q is 0-2; and
r is 0-2;

with the following provisos:

(1) t, n, m and q are chosen such that the number of atoms connecting R^1 and Y is in the range of 10-14; and

(2) n and m are chosen such that the value of n plus m is greater than one unless U is $-(CH_2)_nQ(CH_2)_m-$.

[7] Preferred compounds of the invention as described above are compounds of the Formula Ib:

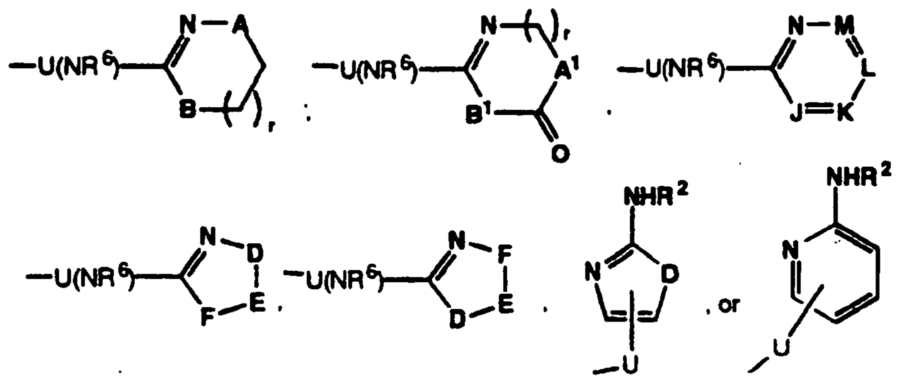


Ib

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof, wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, -O-, $-N(R^2)-$, or -C(=O)-;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, -O-, -S-, -C(=O)- or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from $-C(R^4)-$, $-C(R^5)-$ or -N-, provided that at least one of J, K, L and M is not -N-;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, C_1-C_6 alkylaminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from

the group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, C_2-C_7 alkylcarbonyl, arylcarbonyl or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,

$-(CH_2)_n(CR^7{=}CR^8)(CH_2)_m-$

$-(CH_2)_tQ(CH_2)_m-$,

$-(CH_2)_nO(CH_2)_m-$,

$-(CH_2)_nN(R^6)(CH_2)_m-$,

$-(CH_2)_nC(=O)(CH_2)_m-$, or

$-(CH_2)_nS(O)_p(CH_2)_m-$;

wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

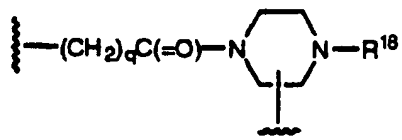
R^9 is selected from: H, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)-substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-(C(R^{12})_2)_q-$;

X is $-C(R^{12})(R^{14})-C(R^{12})(R^{15})-$;

alternatively, W and X can be taken together to be



;

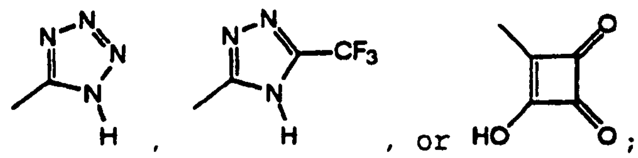
R^{12} is H or C_1-C_6 alkyl;

R^{13} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-;

R^{14} is selected from:
H, C_1-C_6 alkylthioalkyl, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from:
H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, C_1-C_{10} alkylcarbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11};

Y is selected from:
$-COR^{19}$, $-SO_3H$,



R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,
$-C(=O)-O-R^{17}$,
$-C(=O)-R^{17}$,
$-C(=O)-NH-R^{17}$,
$-SO_2-R^{17}$, or
$-SO_2-NR^{20}R^{17}$;

R^{19} is selected from hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, C_6-C_{10} aryloxy, C_7-C_{11} aralkyloxy, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy, C_2-C_{10} alkoxycarbonylalkyloxy,

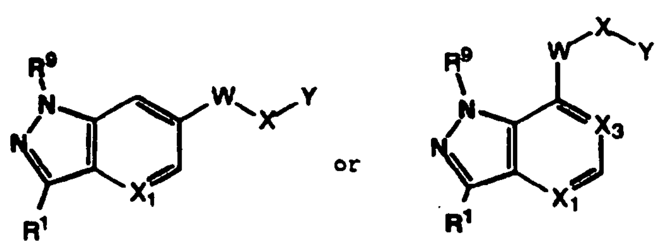
C_5-C_{10} cycloalkylcarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonylalkyloxy, C_7-C_{11} aryloxycarbonylalkyloxy, C_8-C_{12} aryloxycarbonyloxyalkyloxy, C_8-C_{12} arylcarbonyloxyalkyloxy, C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy, C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or $(R^{11})(R^{12})N$-(C_1-C_{10} alkoxy)-;

R^{20} selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from COOH or $NR^6{}_2$;

m is 0-4;
n is 0-4;
t is 0-4;
p is 0-2;
q is 0-2; and
r is 0-2.

[8] Further preferred compounds of the invention as described above are compounds of the Formula IIc or IId:

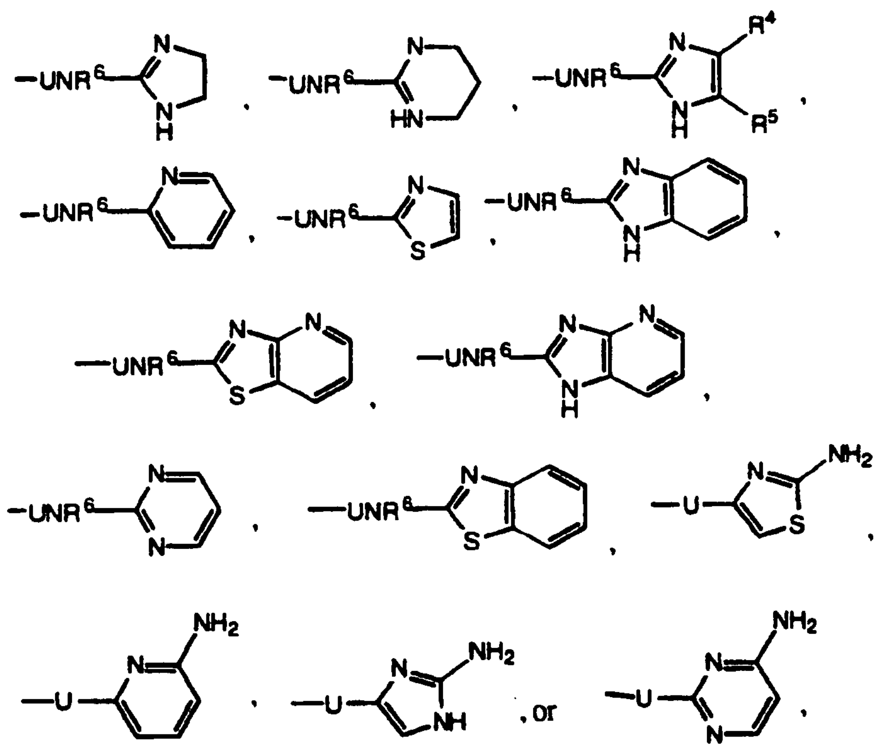


IIc IId

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof, wherein:

X_1 and X_3 are independently selected from nitrogen or carbon;

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl;

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R7 is selected from: C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^9 is selected from: H, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-$;

X is $-CH(R^{14})-CH(R^{15})-$;

R^{13} is H or CH_3;

R^{14} is selected from:
H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally

substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is -COR^{19};

R^{16} is selected from:
-NH(R^{20})-C(=O)-O-R^{17},
-N(R^{20})-C(=O)-R^{17},
-N(R^{20})-C(=O)-NH-R^{17},
-N(R^{20})SO_2-R^{17}, or
-N(R^{20})SO_2-N(R^{20})R^{17};

R^{17} is selected from:
C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:
hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,

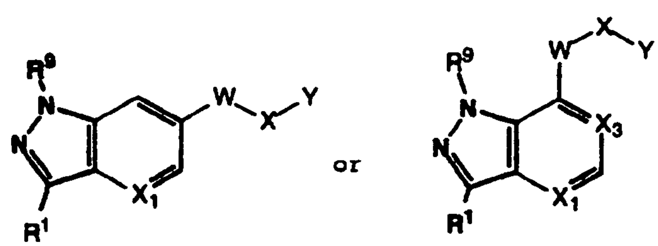
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(t-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,
diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or $NR^6{}_2$; and

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

[9] Still further preferred compounds of the above invention are compounds of the Formula IIc or IId:

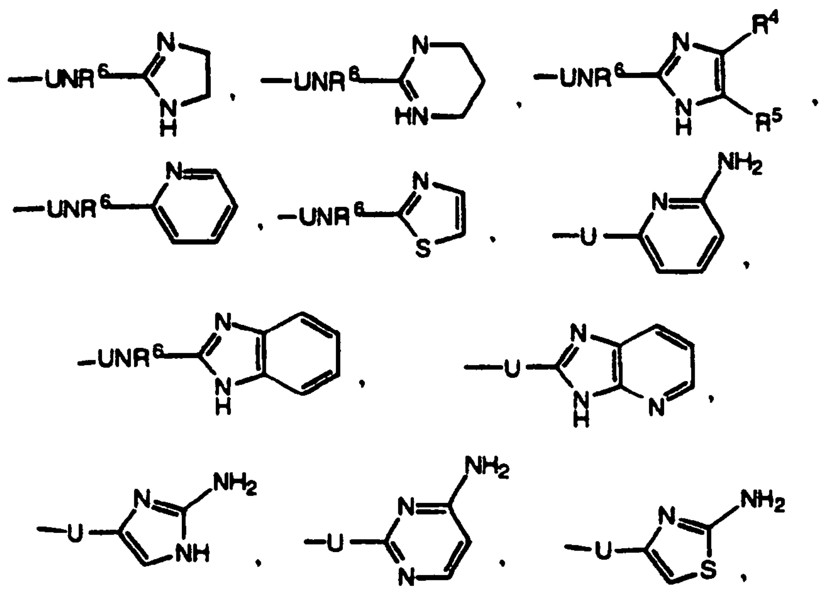


IIc or IId

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof, wherein:

X_1 and X_3 are independently selected from nitrogen or carbon, provided that at least one of X_1 and X_3 is carbon;

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl:

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 is selected from: C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^9 is selected from: H, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};W is $-C(=O)-N(R^{13})-$;

W is $-C(=O)-N(R^{13})-$;

X is $-CH(R^{14})-CH(R^{15})-$;

R^{13} is H or CH_3;

R^{14} is selected from:

H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is $-COR^{19}$;

R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:

hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(*t*-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,

diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or $NR^6{}_2$; and

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

[10] Specifically preferred compounds of the invention as described above are compounds of Formula Ib, including enantiomeric or diasteriomeric forms thereof, or mixtures of enantiomeric or diasteriomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof, selected from the group consisting of:

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,
3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,4,6-trimethyl-benzenesulfonylamino)propionic acid,
3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzenesulfonylamino) propionic acid,
3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,6-dichloro-benzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino) propionic acid,

3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,6-dimethyl-benzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(4-phenylbenzene-sulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(benzyloxy-carbonylamino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-6-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(benzenesulfonyl-amino) propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-6-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(3,5-dimethyl-isoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-6-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]-indazol-6-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(benzenesulfonylamino) propionic acid,

3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(benzyloxy-carbonylamino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-7-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(benzenesulfonyl-amino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-7-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(3,5-dimethyl-isoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-7-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-7-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-7-yl-carbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,4,6-trimethyl-benzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-7-yl-carbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,6-dichloro-benzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

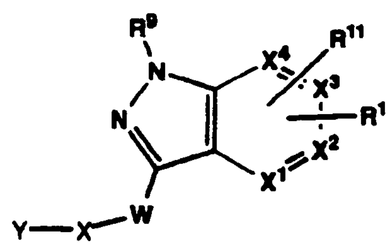
3-[3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid, and

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid.

[11] Also specifically preferred are ester prodrugs of the specifically preferred compounds of Formula Ib, said esters being chosen from the group consisting of:

methyl,
ethyl,
isopropyl,
n-butyl,
isobutyl,
benzyl,
methylcarbonyloxymethyl,
ethylcarbonyloxymethyl,
tert-butylcarbonyloxymethyl,
cyclohexylcarbonyloxymethyl,
tert-butyloxycarbonyloxymethyl,
dimethylaminoethyl, and
diethylaminoethyl,
morpholinoethyl,
pyrrolidinoethyl, and
trimethylammonioethyl.

[12] Yet another aspect of the present invention comprises compounds of Formula Ic:

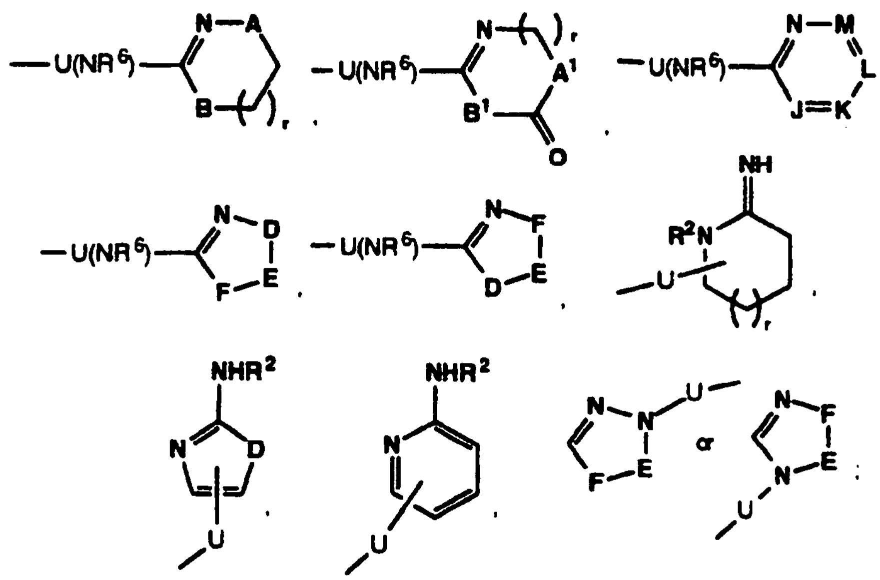


Ic

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms, thereof wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, $-O-$, $-N(R^2)-$, or $-C(=O)-$;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, $-O-$, $-S-$, $-C(=O)-$ or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from $-C(R^4)-$, $-C(R^5)-$ or $-N-$, provided that at least one of J, K, L and M is not $-N-$;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl; (C_1-C_6 alkyl)aminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, or arylcarbonyl, C_1-C_6 alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, or aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from the group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, arylcarbonyl, or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,

$-(CH_2)_n(CR^7=CR^8)(CH_2)_m-$

$-(CH_2)_n(C\equiv C)(CH_2)_m-$

$-(CH_2)_tQ(CH_2)_m-$

$-(CH_2)_nO(CH_2)_m-$,

$-(CH_2)_nN(R^6)(CH_2)_m-$,

$-(CH_2)_nC(=O)(CH_2)_m-$,

$-(CH_2)_n(C=O)N(R^6)(CH_2)_m-$

$-(CH_2)_nN(R^6)(C=O)(CH_2)_m-$, or

$-(CH_2)_nS(O)_p(CH_2)_m-$;

wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-cycloalkylene, 1,2-phenylene, 1,3-phenylene, 1,4-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, 2,4-pyridinylene, or 3,4-pyridazinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

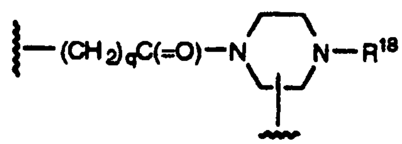
R^9 is selected from: H, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)-substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is selected from:
-$(C(R^{12})_2)_qC(=O)N(R^{13})$-, or
-$C(=O)$-$N(R^{13})$-$(C(R^{12})_2)_q$-;

X is -$C(R^{12})(R^{14})$-$C(R^{12})(R^{15})$-; or

alternatively, W and X can be taken together to be



;

R^{12} is selected from: H, halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, C_4-C_{10} cycloalkylalkyl, (C_1-C_4 alkyl)carbonyl, aryl, or aryl(C_1-C_6 alkyl)-;

R^{13} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-

R^{14} is selected from:
H, C_1-C_6 alkylthio(C_1-C_6 alkyl)-, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-,

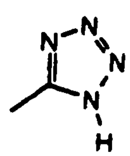
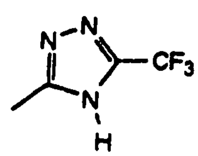
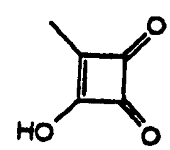
heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from:

H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, (C_1-C_{10} alkyl)carbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_1-C_{10} alkenyl, C_1-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11};

Y is selected from:

$-COR^{19}$, $-SO_3H$, $-PO_3H$, tetrazolyl, $-CONHNHSO_2CF_3$, $-CONHSO_2R^{17}$, $-CONHSO_2NHR^{17}$, $-NHCOCF_3$, $-NHCONHSO_2R^{17}$, $-NHSO_2R^{17}$, $-OPO_3H_2$, $-OSO_3H$, $-PO_3H_2$, $-SO_3H$, $-SO_2NHCOR^{17}$, $-SO_2NHCO_2R^{17}$,

, , or ;

R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,

-C(=O)-O-R^{17},

-C(=O)-R^{17},

-C(=O)-NH-R^{17},

-SO_2-R^{17}, or

-SO_2-$NR^{20}R^{17}$;

R^{19} is selected from hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, aryloxy, aryl(C_1-C_6 alkoxy)-, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy,
C_2-C_{10} alkoxycarbonylalkyloxy,
C_5-C_{10} cycloalkylcarbonyloxyalkyloxy,
C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy,
C_5-C_{10} cycloalkoxycarbonylalkyloxy,
C_7-C_{11} aryloxycarbonylalkyloxy,
C_8-C_{12} aryloxycarbonyloxyalkyloxy,
C_8-C_{12} arylcarbonyloxyalkyloxy,
C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy,
C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or (R^{11})(R^{12})N-(C_1-C_{10} alkoxy)-;

R^{20} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from COOH or $NR^6{}_2$;

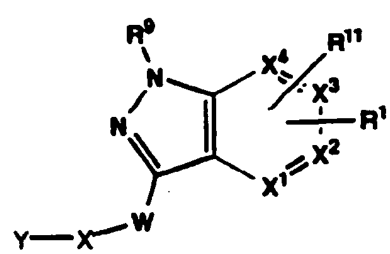
m is 0-4;
n is 0-4;
p is 0-2;
q is 0-2; and
r is 0-2;

with the following provisos:

(1) t, n, m and q are chosen such that the number of atoms connecting R^1 and Y is in the range of 10-14; and

(2) n and m are chosen such that the value of n plus m is greater than one unless U is $-(CH_2)_tQ(CH_2)_m-$.

[13] Preferred compounds of the invention as described above are compounds of the Formula Ic:

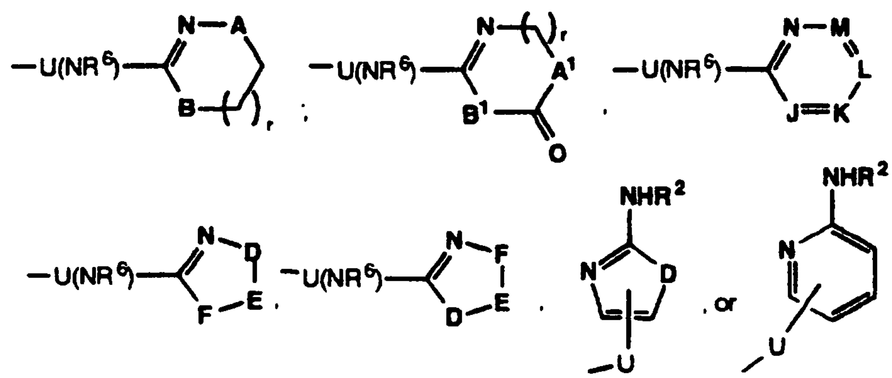


Ic

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, -O-, $-N(R^2)-$, or -C(=O)-;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, -O-, -S-, -C(=O)- or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from: $-C(R^4)-$, $-C(R^5)-$ or -N-, provided that at least one of J, K, L and M is not -N-;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, C_1-C_6 alkylaminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6

alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from the group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, C_2-C_7 alkylcarbonyl, arylcarbonyl or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,

$-(CH_2)_n(CR^7=CR^8)(CH_2)_m-$

$-(CH_2)_tQ(CH_2)_m-$,

$-(CH_2)_nO(CH_2)_m-$,

$-(CH_2)_nN(R^6)(CH_2)_m-$,

$-(CH_2)_nC(=O)(CH_2)_m-$, or

$-(CH_2)_nS(O)_p(CH_2)_m-$;

wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

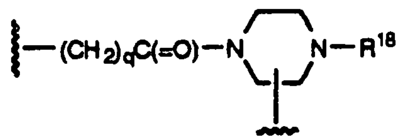
R^9 is selected from: H, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-(C(R^{12})_2)_q-$;

X is $-C(R^{12})(R^{14})-C(R^{12})(R^{15})-$;

alternatively, W and X can be taken together to be



;

R^{12} is H or C_1-C_6 alkyl;

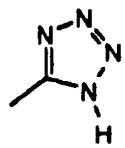
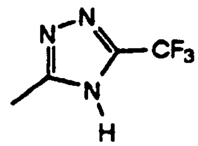
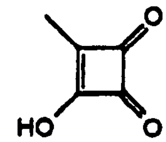
R^{13} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-;

R^{14} is selected from: H, C_1-C_6 alkylthioalkyl, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, C(=O)R^{17}, or CONR^{17}R^{20}, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from: H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, C_1-C_{10} alkylcarbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, C(=O)R^{17}, CONR^{17}R^{20}, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11};

Y is selected from:

-COR^{19}, -SO_3H,

 ,  , or  ;

R^{16} is selected from:

-$N(R^{20})$-C(=O)-O-R^{17},
-$N(R^{20})$-C(=O)-R^{17},
-$N(R^{20})$-C(=O)-NH-R^{17},
-$N(R^{20})SO_2$-R^{17}, or
-$N(R^{20})SO_2$-$NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,
-C(=O)-O-R^{17},
-C(=O)-R^{17},
-C(=O)-NH-R^{17},
-SO_2-R^{17}, or
-SO_2-$NR^{20}R^{17}$;

R^{19} is selected from: hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, C_6-C_{10} aryloxy, C_7-C_{11} aralkyloxy, C_3-C_{10} alkylcarbonyloxyalkyloxy,

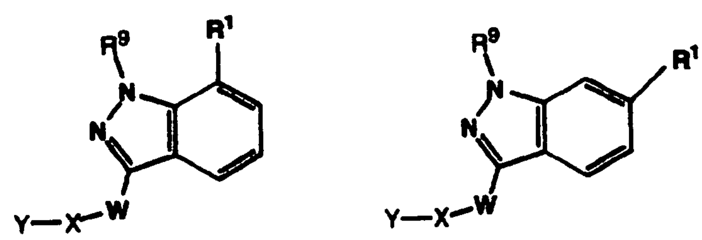
C_3-C_{10} alkoxycarbonyloxyalkyloxy, C_2-C_{10} alkoxycarbonylalkyloxy, C_5-C_{10} cycloalkylcarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonylalkyloxy, C_7-C_{11} aryloxycarbonylalkyloxy, C_8-C_{12} aryloxycarbonyloxyalkyloxy, C_8-C_{12} arylcarbonyloxyalkyloxy, C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy, C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or $(R^{11})(R^{12})N$-(C_1-C_{10} alkoxy)-;

R^{20} selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from COOH or $NR^6{}_2$;

m is 0-4;
n is 0-4;
t is 0-4;
p is 0-2;
q is 0-2; and
r is 0-2.

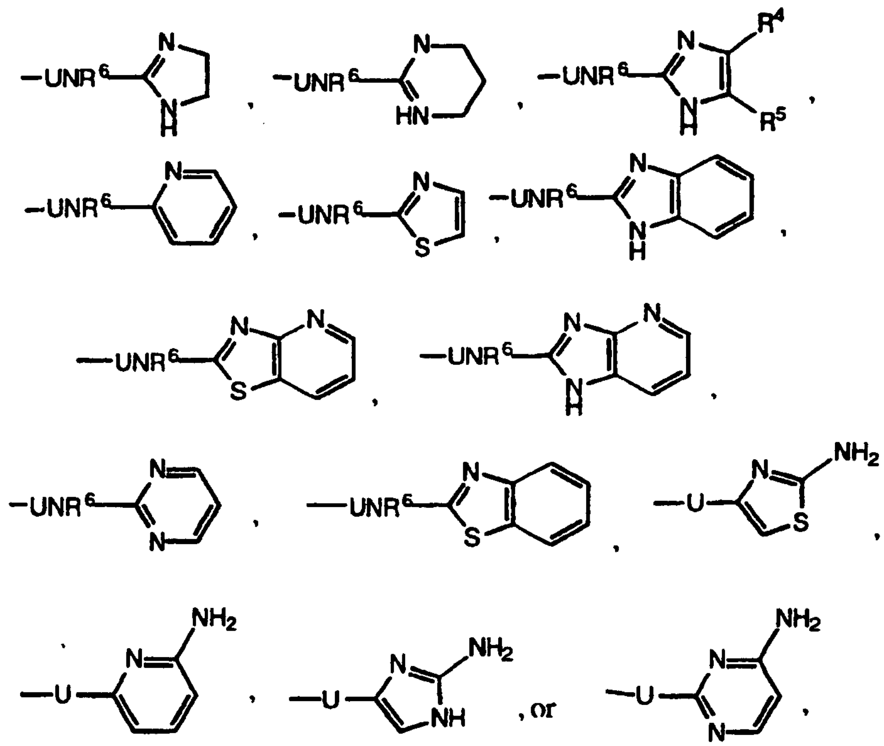
[14] Further preferred compounds of the invention as described above are compounds of the Formula IIe or IIf:



IIe IIf

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof, wherein:

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl;

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R7 is selected from: C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^9 is selected from: H, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-$;

X is $-CH(R^{14})-CH(R^{15})-$;

R^{13} is H or CH_3;

R^{14} is selected from:

H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally

substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is -COR^{19};

R^{16} is selected from:
-NH(R^{20})-C(=O)-O-R^{17},
-N(R^{20})-C(=O)-R^{17},
-N(R^{20})-C(=O)-NH-R^{17},
-N(R^{20})SO_2-R^{17}, or
-N(R^{20})SO_2-N(R^{20})R^{17};

R^{17} is selected from:
C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:
hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,

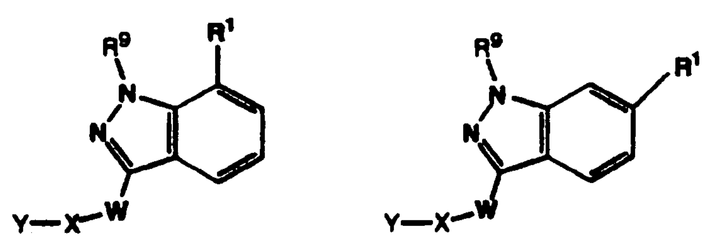
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(t-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,
diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or $NR^6{}_2$; and

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

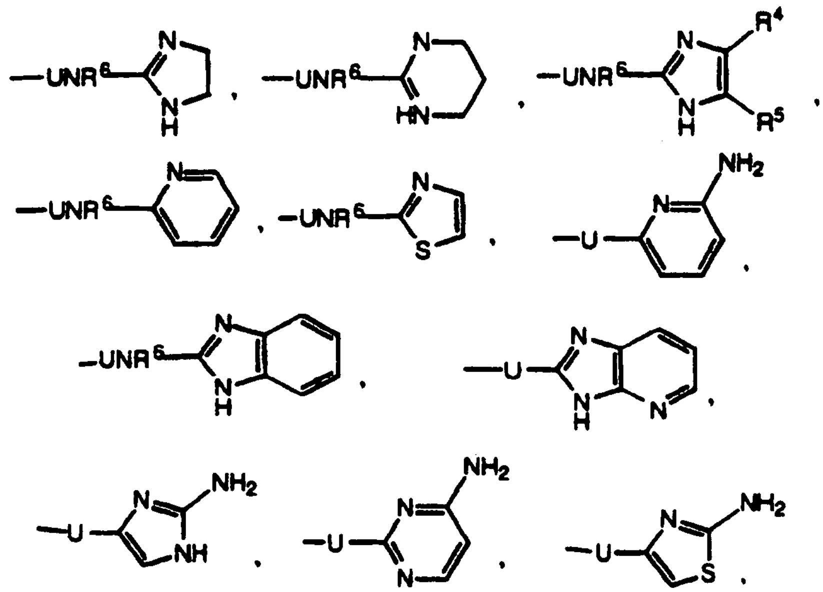
[15] Still further preferred compounds of the above described are compounds of the Formula IIe or IIf:



IIe IIf

including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof, wherein:

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl:

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 selected from: H, C_1-C_4 alkyl, or benzyl;

R7 is selected from C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^9 is selected from: H, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};W is $-C(=O)-N(R^{13})-$;

W is $-C(=O)-N(R^{13})-$;

X is $-CH(R^{14})-CH(R^{15})-$;

R^{13} is H or CH_3;

R^{14} is selected from:

H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is $-COR^{19}$;

R^{16} is selected from:

$-NH(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:
C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:
hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(*t*-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,
diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(*t*-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,

(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-,
or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or $NR^6{}_2$; and

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

In the present invention it has been discovered that the compounds of Formula Ia, Ib or Ic above are useful as inhibitors of cell-matrix and cell-cell adhesion processes. The present invention includes novel compounds of Formula Ia, Ib or Ic and methods for using such compounds for the prevention or treatment of diseases resulting from abnormal cell adhesion to the extracellular matrix which comprises administering to a host in need of such treatment a therapeutically effective amount of such compound of Formula Ia, Ib or Ic.

In the present invention it has also been discovered that the compounds of Formula Ia, Ib or Ic above are useful as inhibitors of $\alpha_v\beta_3$. The compounds of the present invention inhibit the binding of vitronectin to $\alpha_v\beta_3$ and inhibit cell adhesion.

The present invention also provides pharmaceutical compositions comprising a compound of Formula Ia, Ib or Ic and a pharmaceutically acceptable carrier.

The compounds of Formula Ia, Ib or Ic of the present invention are useful for the treatment (including prevention) of angiogenic disorders,

comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Formula Ia, Ib or Ic described above. The term "angiogenic disorders" as used herein includes conditions involving abnormal neovascularization, such as tumor metastasis and ocular neovascularization, including, for example, diabetic retinopathy, neovascular glaucoma, age-related macular degeneration, and retinal vein occlusion.

The compounds of Formula Ia, Ib or Ic of the present invention are also useful for the treatment (including prevention) of thromboembolic disorders, comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Formula Ia, Ib or Ic described above. The term "thromboembolic disorders" as used herein includes conditions involving platelet activation and aggregation, such as arterial or venous cardiovascular or cerebrovascular thromboembolic disorders, including, for example, thrombosis, unstable angina, first or recurrent myocardial infarction, ischemic sudden death, transient ischemic attack, stroke, atherosclerosis, venous thrombosis, deep vein thrombosis, thrombophlebitis, arterial embolism, coronary and cerebral arterial thrombosis, myocardial infarction, cerebral embolisms, kidney embolisms, pulmonary embolisms, or such disorders associated with diabetes.

The compounds of Formula Ia, Ib or Ic of the present invention may also be useful for the treatment or prevention of other diseases which involve cell adhesion processes, including, but not limited to, inflammation, bone degradation, restenosis, rheumatoid arthritis, asthma, allergies, adult respiratory distress syndrome, graft versus host disease, organ transplantation rejection, septic shock, psoriasis, eczema, contact dermatitis, osteoporosis,

osteoarthritis, atherosclerosis, inflammatory bowel disease and other autoimmune diseases. The compounds of Formula Ia, Ib or Ic of the present invention may also be useful for wound healing.

The compounds of the present invention may be used for other *ex vivo* applications to prevent cellular adhesion in biological samples.

The compounds of the present invention can also be administered in combination with one or more additional therapeutic agents selected from: anti-coagulant or coagulation inhibitory agents, such as heparin or warfarin; anti-platelet or platelet inhibitory agents, such as aspirin, piroxicam, or ticlopidine; thrombin inhibitors such as boropeptides, hirudin or argatroban; or thrombolytic or fibrinolytic agents, such as plasminogen activators, anistreplase, urokinase, or streptokinase.

The compounds of Formula Ia, Ib or Ic of the present invention can be administered in combination with one or more of the foregoing additional therapeutic agents, thereby to reduce the doses of each drug required to achieve the desired therapeutic effect. Thus, the combination treatment of the present invention permits the use of lower doses of each component, with reduced adverse, toxic effects of each component. A lower dosage minimizes the potential of side effects of the compounds, thereby providing an increased margin of safety relative to the margin of safety for each component when used as a single agent. Such combination therapies may be employed to achieve synergistic or additive therapeutic effects for the treatment of thromboembolic or other disorders.

By "therapeutically effective amount" is meant an amount of a compound of Formula Ia, Ib or Ic that when administered alone or in combination with an additional

therapeutic agent to a cell or mammal is effective to prevent or ameliorate the disease condition or the progression of the disease.

By "administered in combination" or "combination therapy" it is meant that the compound of Formula Ia, Ib or Ic and one or more additional therapeutic agents are administered concurrently to the mammal being treated. When administered in combination each component may be administered at the same time or sequentially in any order at different points in time. Thus, each component may be administered separately but sufficiently closely in time so as to provide the desired therapeutic effect.

The term anti-coagulant agents (or coagulation inhibitory agents), as used herein, denotes agents that inhibit blood coagulation. Such agents include warfarin sodium crystalline clathrate and heparin.

The term anti-platelet agents (or platelet inhibitory agents), as used herein, denotes agents that inhibit platelet function such as by inhibiting the aggregation, adhesion or granular secretion of platelets. Such agents include the various known non-steroidal anti-inflammatory drugs (NSAIDS) such as aspirin, ibuprofen, naproxen, sulindac, indomethacin, mefenamate, droxicam, diclofenac, sulfinpyrazone, and piroxicam, including pharmaceutically acceptable salts or prodrugs thereof. Other suitable anti-platelet agents include ticlopidine, including pharmaceutically acceptable salts or prodrugs thereof. Ticlopidine is also a preferred compound since it is known to be gentle on the gastro-intestinal tract in use. Still other suitable platelet inhibitory agents include thromboxane-A_2-receptor antagonists and thromboxane-A_2-synthetase inhibitors, as well as pharmaceutically acceptable salts or prodrugs thereof.

The phrase thrombin inhibitors (or anti-thrombin agents), as used herein, denotes inhibitors of the

serine protease thrombin. By inhibiting thrombin, various thrombin-mediated processes, such as thrombin-mediated platelet activation (that is, for example, the aggregation of platelets, and/or the granular secretion of plasminogen activator inhibitor-1 and/or serotonin) and/or fibrin formation are disrupted. Such inhibitors include boroarginine derivatives and boropeptides, hirudin and argatroban, including pharmaceutically acceptable salts and prodrugs thereof. Boroarginine derivatives and boropeptides include N-acetyl and peptide derivatives of boronic acid, such as C-terminal α-aminoboronic acid derivatives of lysine, ornithine, arginine, homoarginine and corresponding isothiouronium analogs thereof. The term hirudin, as used herein, includes suitable derivatives or analogs of hirudin, referred to herein as hirulogs, such as disulfatohirudin. Boropeptide thrombin inhibitors include compounds described in Kettner et al., U.S. Patent No. 5,187,157 and European Patent Application Publication Number 293 881 A2, the disclosures of which are hereby incorporated herein by reference. Other suitable boroarginine derivatives and boropeptide thrombin inhibitors include those disclosed in PCT Application Publication Number 92/07869 and European Patent Application Publication Number 471 651 A2, the disclosures of which are hereby incorporated herein by reference, in their entirety.

The phrase thrombolytics (or fibrinolytic) agents (or thrombolytics or fibrinolytics), as used herein, denotes agents that lyse blood clots (thrombi). Such agents include tissue plasminogen activator, anistreplase, urokinase, retivase or streptokinase, including pharmaceutically acceptable salts or prodrugs thereof. Tissue plasminogen activator (tPA) is commercially available from Genentech Inc., South San Francisco, California. The term anistreplase, as used

herein, refers to anisoylated plasminogen streptokinase activator complex, as described, for example, in European Patent Application No. 028,489, the disclosures of which are hereby incorporated herein by reference herein, in their entirety. The term urokinase, as used herein, is intended to denote both dual and single chain urokinase, the latter also being referred to herein as prourokinase.

The compounds of the present invention are also useful as standard or reference compounds, for example as a quality standard or control, in tests or assays involving the binding of vitronectin or fibrinogen to $\alpha_v\beta_3$. Such compounds may be provided in a commercial kit, for example, for use in pharmaceutical research involving $\alpha_v\beta_3$. The compounds of the present invention may also be used in diagnostic assays involving $\alpha_v\beta_3$.

The compounds herein described may have asymmetric centers. Unless otherwise indicated, all chiral, diastereomeric and racemic forms are included in the present invention. Many geometric isomers of olefins, C=N double bonds, and the like can also be present in the compounds described herein, and all such stable isomers are contemplated in the present invention. It will be appreciated that compounds of the present invention that contain asymmetrically substituted carbon atoms may be isolated in optically active or racemic forms. It is well known in the art how to prepare optically active forms, such as by resolution of racemic forms or by synthesis, from optically active starting materials. All chiral, diastereomeric, racemic forms and all geometric isomeric forms of a structure are intended, unless the specific stereochemistry or isomer form is specifically indicated.

When any variable (for example but not limited to, R^2, R^4, R^6, R^7, R^8, R^{12},and R^{14}, n, etc.) occurs more

than one time in any constituent or in any formula, its definition on each occurrence is independent of its definition at every other occurrence. Thus, for example, if a group is shown to be substituted with 0-3 R^4, then said group may optionally be substituted with up to three R^4 and R^4 at each occurrence is selected independently from the defined list of possible R^4. Also, by way of example, for the group $-N(R^{5a})_2$, each of the two R^{5a} substituents on N is independently selected from the defined list of possible R^{5a}. Similarly, by way of example, for the group $-C(R^7)_2-$, each of the two R^7 substituents on C is independently selected from the defined list of possible R^7.

When a bond to a substituent is shown to cross the bond connecting two atoms in a ring, then such substituent may be bonded to any atom on the ring. When a bond joining a substituent to another group is not specifically shown or the atom in such other group to which the bond joins is not specifically shown, then such substituent may form a bond with any atom on such other group.

When a substituent is listed without indicating the atom via which such substituent is bonded to the rest of the compound of Formula Ia, Ib or Ic, then such substituent may be bonded via any atom in such substituent. For example, when the substituent is piperazinyl, piperidinyl, or tetrazolyl, unless specified otherwise, said piperazinyl, piperidinyl, tetrazolyl group may be bonded to the rest of the compound of Formula Ia, Ib or Ic via any atom in such piperazinyl, piperidinyl, tetrazolyl group.

Combinations of substituents and/or variables are permissible only if such combinations result in stable compounds. By stable compound or stable structure it is meant herein a compound that is sufficiently robust to survive isolation to a useful degree of purity from a

reaction mixture, and formulation into an efficacious therapeutic agent.

The term "substituted", as used herein, means that any one or more hydrogen on the designated atom is replaced with a selection from the indicated group, provided that the designated atom's normal valency is not exceeded, and that the substitution results in a stable compound. When a substitent is keto (i.e., =O), then 2 hydrogens on the atom are replaced.

As used herein, "alkyl" is intended to include both branched and straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms (for example, "C_0-C_{10}" denotes alkyl having 0 to 10 carbon atoms; C_0 denotes a direct bond between the groups linked by the C_0 group; also by way of example, "C_1 to C_4" denotes methyl, ethyl, n-propyl, isopropyl, n-butyl, 2-methylpropyl, 1-methylpropyl, 1,1-dimethyl ethyl); "haloalkyl" is intended to include both branched and straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms, substituted with 1 or more halogen (for example $-C_vF_w$ where v = 1 to 3 and w = 1 to (2v+1)); "alkoxy" represents an alkyl group of indicated number of carbon atoms attached through an oxygen bridge; "cycloalkyl" is intended to include saturated ring groups, including mono-,bi- or poly-cyclic ring systems, such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, and adamantyl; and "biycloalkyl" is intended to include saturated bicyclic ring groups such as [3.3.0]bicyclooctane, [4.3.0]bicyclononane, [4.4.0]bicyclodecane (decalin), [2.2.2]bicyclooctane, and so forth. "Alkenyl" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more unsaturated carbon-carbon bonds which may occur in any stable point along the chain, such as ethenyl, propenyl

and the like; and "alkynyl" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more triple carbon-carbon bonds which may occur in any stable point along the chain, such as ethynyl, propynyl and the like.

The terms "alkylene", "alkenylene", "phenylene", and the like, refer to alkyl, alkenyl, and phenyl groups, respectively, which are connected by two bonds to the rest of the structure of Formula Ia, Ib or Ic. Such "alkylene", "alkenylene", "phenylene", and the like, may alternatively and equivalently be denoted herein as "-(alkyl)-", "-(alkyenyl)-" and "-(phenyl)-", and the like.

"Halo" or "halogen" as used herein refers to fluoro, chloro, bromo and iodo; and "counterion" is used to represent a small, negatively charged species such as chloride, bromide, hydroxide, acetate, sulfate and the like.

As used herein, "aryl" or "aromatic residue" is intended to mean phenyl or naphthyl; the term "arylalkyl" represents an aryl group attached through an alkyl bridge.

As used herein, "carbocycle" or "carbocyclic residue" is intended to mean any stable 3- to 7-membered monocyclic or bicyclic or 7- to 14-membered bicyclic or tricyclic or an up to 26-membered polycyclic carbon ring, any of which may be saturated, partially unsaturated, or aromatic. Examples of such carbocyles include, but are not limited to, cyclopropyl, cyclopentyl, cyclohexyl, phenyl, biphenyl, naphthyl, indanyl, adamantyl, or tetrahydronaphthyl (tetralin).

As used herein, the term "heterocycle" or "heterocyclic" is intended to mean a stable 5- to 7-membered monocyclic or bicyclic or 7- to 10-membered bicyclic heterocyclic ring which may be saturated, partially unsaturated, or aromatic, and which consists

of carbon atoms and from 1 to 4 heteroatoms independently selected from the group consisting of N, O and S and wherein the nitrogen and sulfur heteroatoms may optionally be oxidized, and the nitrogen may optionally be quaternized, and including any bicyclic group in which any of the above-defined heterocyclic rings is fused to a benzene ring. The heterocyclic ring may be attached to its pendant group at any heteroatom or carbon atom which results in a stable structure. The heterocyclic rings described herein may be substituted on carbon or on a nitrogen atom if the resulting compound is stable. Examples of such heterocycles include, but are not limited to, pyridyl (pyridinyl), pyrimidinyl, furanyl (furyl), thiazolyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, tetrazolyl, benzofuranyl, benzothiophenyl, indolyl, indolenyl, isoxazolinyl, isoxazolyl, quinolinyl, isoquinolinyl, benzimidazolyl, piperidinyl, 4-piperidonyl, pyrrolidinyl, 2-pyrrolidonyl, pyrrolinyl, tetrahydrofuranyl, tetrahydroquinolinyl, tetrahydroisoquinolinyl, decahydroquinolinyl or octahydroisoquinolinyl, azocinyl, triazinyl, 6*H*-1,2,5-thiadiazinyl, 2*H*,6*H*-1,5,2-dithiazinyl, thianthrenyl, pyranyl, isobenzofuranyl, chromenyl, xanthenyl, phenoxathiinyl, 2*H*-pyrrolyl, pyrrolyl, imidazolyl, pyrazolyl, isothiazolyl, isoxazolinyl, isoxazolyl, oxazolyl, pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolizinyl, isoindolyl, 3*H*-indolyl, indolyl, 1*H*-indazolyl, purinyl, 4*H*-quinolizinyl, isoquinolinyl, quinolinyl, phthalazinyl, naphthyridinyl, quinoxalinyl, quinazolinyl, cinnolinyl, pteridinyl, 4a*H*-carbazole, carbazole, ß-carbolinyl, phenanthridinyl, acridinyl, perimidinyl, phenanthrolinyl, phenazinyl, phenarsazinyl, phenothiazinyl, furazanyl, phenoxazinyl, isochromanyl, chromanyl, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl,

pyrazolinyl, piperidinyl, piperazinyl, indolinyl, isoindolinyl, quinuclidinyl, morpholinyl or oxazolidinyl. Also included are fused ring and spiro compounds containing, for example, the above heterocycles.

As used herein, the term "heteroaryl" refers to aromatic heterocyclic groups. Such heteroaryl groups are preferably 5-6 membered monocyclic groups or 8-10 membered fused bicyclic groups. Examples of such heteroaryl groups include, but are not limited to pyridyl (pyridinyl), pyrimidinyl, furanyl (furyl), thiazolyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, indolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrimidinyl, pyridazinyl, benzofuranyl, benzothienyl, benzimidazolyl, quinolinyl, or isoquinolinyl.

As used herein, "pharmaceutically acceptable salts" refer to derivatives of the disclosed compounds wherein the parent compound of Formula Ia, Ib or Ic is modified by making acid or base salts of the compound of Formula Ia, Ib or Ic. Examples of pharmaceutically acceptable salts include, but are not limited to, mineral or organic acid salts of basic residues such as amines; alkali or organic salts of acidic residues such as carboxylic acids; and the like.

"Prodrugs" are considered to be any covalently bonded carriers which release the active parent drug according to Formula Ia, Ib or Ic *in vivo* when such prodrug is administered to a mammalian subject. Prodrugs of the compounds of Formula Ia, Ib or Ic are prepared by modifying functional groups present in the compounds in such a way that the modifications are cleaved, either in routine manipulation or *in vivo*, to the parent compounds. Prodrugs include compounds of Formula Ia, Ib or Ic wherein hydroxyl, amino, sulfhydryl, or carboxyl groups are bonded to any group that, when administered to a mammalian subject, cleaves

to form a free hydroxyl, amino, sulfhydryl, or carboxyl group respectively. Examples of prodrugs include, but are not limited to, acetate, formate and benzoate derivatives of alcohol and amine functional groups in the compounds of Formula Ia, Ib or Ic, and the like. Examples of representative carboxyl and amino prodrugs are included under the definition of R^2, R^3, and Y.

The pharmaceutically acceptable salts of the compounds of Formula Ia, Ib or Ic include the conventional non-toxic salts or the quaternary ammonium salts of the compounds of Formula Ia, Ib or Ic formed, for example, from non-toxic inorganic or organic acids. For example, such conventional non-toxic salts include those derived from inorganic acids such as hydrochloric, hydrobromic, sulfuric, sulfamic, phosphoric, nitric and the like; and the salts prepared from organic acids such as acetic, propionic, succinic, glycolic, stearic, lactic, malic, tartaric, citric, ascorbic, pamoic, maleic, hydroxymaleic, phenylacetic, glutamic, benzoic, salicylic, sulfanilic, 2-acetoxybenzoic, fumaric, toluenesulfonic, methanesulfonic, ethanesulfonic, ethanedisulfonic, oxalic, isethionic, and the like.

The pharmaceutically acceptable salts of the present invention can be synthesized from the compounds of Formula Ia, Ib or Ic which contain a basic or acidic moiety by conventional chemical methods. Generally, the salts are prepared by reacting the free base or acid with stoichiometric amounts or with an excess of the desired salt-forming inorganic or organic acid or base in a suitable solvent or various combinations of solvents.

The pharmaceutically acceptable salts of the acids of Formula Ia, Ib or Ic may be prepared by reacting the acid with an appropriate amount of a base, such as an alkali or alkaline earth metal hydroxide e.g. sodium, potassium, lithium, calcium, or magnesium, or an organic

base such as an amine, e.g., dibenzylethylenediamine, trimethylamine, piperidine, pyrrolidine, benzylamine and the like, or a quaternary ammonium hydroxide such as tetramethylammonium hydroxide and the like.

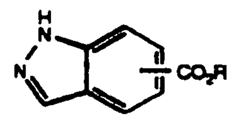
As discussed above, pharmaceutically acceptable salts of the compounds of the invention can be prepared by reacting the free acid or base forms of these compounds with a stoichiometric amount of the appropriate base or acid, respectively, in water or in an organic solvent, or in a mixture of the two; generally, nonaqueous media like ether, ethyl acetate, methanol, ethanol, isopropanol, or acetonitrile are preferred. Lists of suitable salts are found in *Remington's Pharmaceutical Sciences*, 17th ed., Mack Publishing Company, Easton, PA, 1985, p. 1418, the disclosure of which is hereby incorporated by reference.

The disclosures of all of the references cited herein are hereby incorporated herein by reference in their entirety.

Synthesis

The compounds of the present invention can be prepared in a number of ways well known to one skilled in the art of organic synthesis. The compounds of the present invention can be synthesized using the methods described below, together with synthetic methods known in the art of synthetic organic chemistry, or variations thereon as appreciated by those skilled in the art. Preferred methods include, but are not limited to, those described below. All references cited herein are hereby incorporated in their entirety herein by reference.

Compounds of Formula Ia, Ib or Ic wherein X^1, X^2, X^3 and X^4 **are all** carbon and W is C(=O)NH can be prepared from appropriately substituted 4-, 5-, 6-, or 7-alkoxycarbonyl indazoles, IIIa, wherein R is an alkyl group such as methyl, ethyl or tert-butyl.



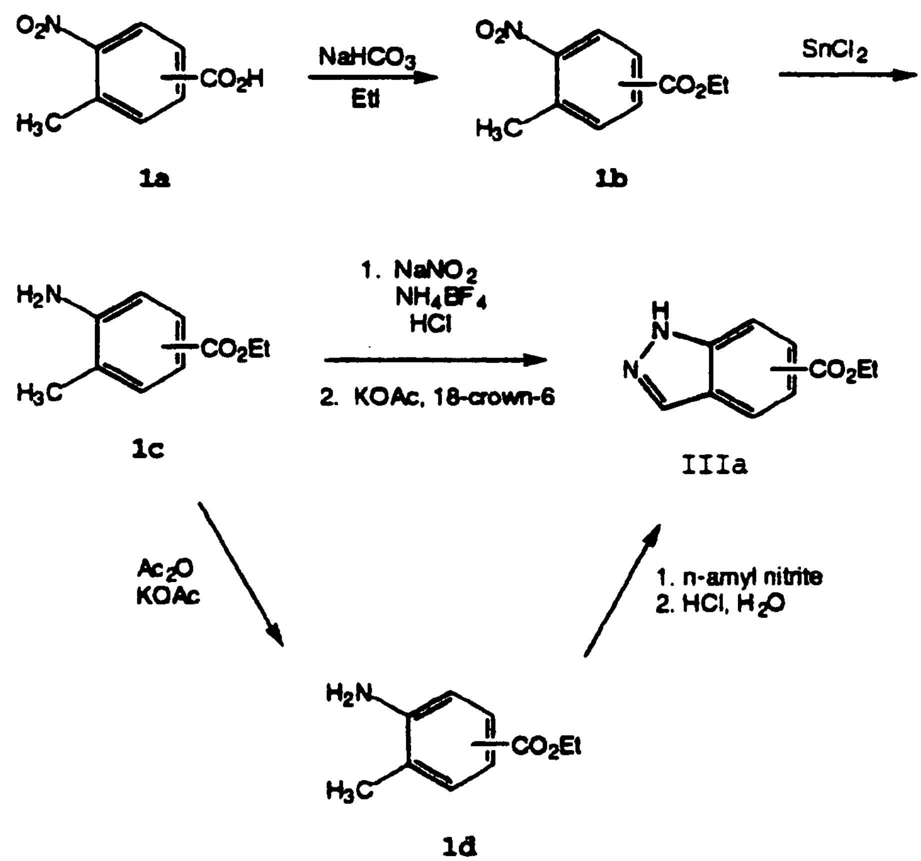
IIIa

The requisite indazoles can be conveniently prepared from the commercially available nitrotoluic acids according to the example shown in Scheme 1. Conversion of the acid **1a** to a suitable ester, such as the ethyl ester **1b**, may be carried out by one of many methods well-known to one skilled in the art of organic synthesis, for example treatment with a suitable base, such as sodium bicarbonate, in a suitable solvent, such as N,N-dimethylformamide, followed by treatment with an alkyl halide, such as iodoethane. Reduction of the nitro group of **1b** can be effected in a number of ways known to one skilled in the art of organic synthesis, including treatment with tin(II) chloride in ethanol. The resulting aniline derivative can be converted to the desired substituted indazole IIIa according to the method of **Bartsch** and Yang (*J. Heterocycl. Chem.* 1984, **21**(4): 1063-1064). A variation of the conversion of the aniline **1c** to the indazole **IIIa** proceeds through an N-acylated intermediate **1d**, followed by cyclization and deacetylation, according to the method reported by Rüchardt and Hassmann (*Liebigs Ann. Chem.* 1980, 908-927).

The order of the esterification and reduction steps may be reversed, such that the nitrotoluic acid is first

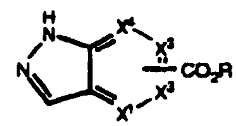
converted to an aminotoluic acid, which is then esterified. In some cases other intermediates related to those shown in Scheme 1 are commercially available or may be prepared using methods described in the literature of organic chemistry; in these cases transformations similar to those shown in Scheme 1 may be used to prepare the desired compounds IIIa. For example, commercially available methyl 3-amino-4-methylbenzoate may be directly transformed into 6-methoxycarbonylindazole.

Scheme 1



Compounds of Formula Ia or Ib wherein one or more of X^1, X^2, X^3 or X^4 are nitrogen may be prepared from the

corresponding alkoxycarbonylindazoles IIIb in which the appropriate carbon atom or atoms have been replaced by nitrogen. These may in turn be prepared by substitution of the appropriately substituted heterocycle for the nitrotoluic acids, nitrotoluic acid esters, or aminotoluic acid esters in Scheme 1 above. The starting heterocycles could be obtained by following the procedures and methods in references outlined below, along with implementation of standard functional group transformations well known to one skilled in the art.



IIIb

Functionalized pyrazines could be prepared according to procedures outlined in *The Chemistry of Heterocyclic Compounds: The Pyrazines*, Vol. 41 (Arnold Weissberger and Edward C. Taylor, Eds.), John Wiley and Sons (New York: 1982). Preparation of appropriately functionalized pyridazines could be achieved using the methods described in *The Chemistry of Heterocyclic Compounds: Condensed Pyridazines Including Cinnolines and Phthalazines*, Vol. 27 (Arnold Weissberger and Edward C. Taylor, Eds.), John Wiley and Sons (New York: 1973) and *The Chemistry of Heterocyclic Compounds: Pyridazines*, Vol. 28 (Arnold Weissberger and Edward C. Taylor, Eds.), John Wiley and Sons (New York: 1973). For the synthesis of functionalized pyrimidines one could follow procedures in *The Chemistry of Heterocyclic Compounds: The Pyrimidines*, (Arnold Weissberger, Consulting Ed.) John Wiley and Sons (New York: 1962), *The Chemistry of Heterocyclic Compounds: The Pyrimidines*, Supplement I, (Arnold Weissberger and Edward C. Taylor, Consulting Eds.) John Wiley and Sons

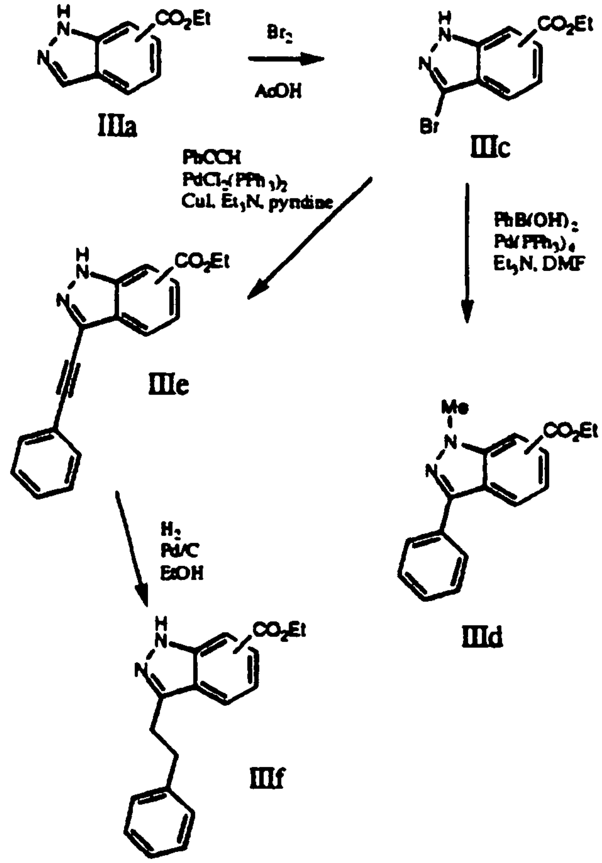
(New York: 1970), and *The Chemistry of Heterocyclic Compounds: The Pyrimidines*, Supplement II, Vol. 16 (Arnold Weissberger and Edward C. Taylor, Consulting Eds.) John Wiley and Sons (New York: 1985). Functionalized pyridines which can serve as starting materials in Scheme 1 could be made by the methods described in *The Chemistry of Heterocyclic Compounds: Pyridine and Its Derivatives*, Part Four, (Arnold Weissberger, Consulting Ed.) John Wiley and Sons (New York: 1964), *The Chemistry of Heterocyclic Compounds: Pyridine and Its Derivatives*, Supplement Part Two, (Arnold Weissberger and Edward C. Taylor, Consulting Eds.) John Wiley and Sons (New York: 1974), *The Chemistry of Heterocyclic Compounds: Pyridine and Its Derivatives*, Supplement Part Three, Vol. 14 (Arnold Weissberger and Edward C. Taylor, Consulting Eds.) John Wiley and Sons (New York: 1974), *The Chemistry of Heterocyclic Compounds: Pyridine and Its Derivatives*, Supplement Part Four, Vol. 14 (Arnold Weissberger and Edward C. Taylor, Consulting Eds.) John Wiley and Sons (New York: 1975), and *The Chemistry of Heterocyclic Compounds: Pyridine and Its Derivatives*, Part Five, Vol. 14 (Arnold Weissberger and Edward C. Taylor, Consulting Eds.) John Wiley and Sons (New York: 1984). One example of the preparation of an appropriately substituted pyridine starting material is the preparation of 2-methyl-3-aminopyridine-5-carboxylic acid half-sulfate salt, as described by Argoudelis and Kummerow (*J. Org. Chem.* 1961, 26: 3420-3422).

Compounds of Formula Ia wherein R^{10} is not hydrogen may be prepared from appropriately substituted alkoxycarbonylindazoles. Some such substituted alkoxycarbonylindazoles may be prepared using the method outlined in Scheme 1. For example, methyl 4-amino-3-ethylbenzoate may be prepared as described by Witte and

Boekelheide (*J. Org. Chem.* 1972, 37 (18): 2849-2853). This compound may be converted to the diazonium fluoroborate and cyclized to 3-methyl-5-methoxycarbonylindazole using the method outlined in Scheme 1. This compound may be used as a starting material for preparation of the corresponding compounds of Formula Ia wherein R^{10} is methyl.

Other substituted alkoxycarbonylindazoles may be prepared from unsubstituted alkoxycarbonylindazoles using the methods outlined in Scheme 2. For example, an ethoxycarbonylindazole may be brominated by treatment with bromine in a suitable solvent, such as acetic acid, to provide the corresponding 3-bromo-ethoxycarbonyl-indazole IIIc. This compound may be coupled with a suitable reagent, alternatively followed by additional synthetic manipulations, to provide the desired 3-substituted-ethoxycarbonylindazole. For example, coupling with phenylboronic acid in the presence of tetrakis-(triphenylphosphine)palladium and triethylamine in N,N-dimethylformamide, using the method of Miyaura, Suginome and Suzuki (*Tetrahedron* 1983, 39: 3271) provides the corresponding 3-phenyl-ethoxycarbonyl-indazole IIId. Similar methods, starting from compounds of Formula IIIb, may be used to prepare the corresponding compounds wherein one or more of the ring carbons (corresponding to those designated X^1, X^2, X^3 and X^4 in Formula Ia) are replaced by nitrogen.

Scheme 2



As another example, also shown in Scheme 2, coupling of IIIc with phenylacetylene in the presence of bis-(triphenylphosphine)palladium(II) chloride, copper(I) chloride, and triethylamine in pyridine according to the method of Melissaris and Litt (*J. Org. Chem.* 1992, 57: 6998-6999) provides the corresponding 3-(2-phenylethynyl)-ethoxycarbonylindazole IIIe, which may be reduced using hydrogen in the presence of palladium on charcoal to provide the corresponding 3-(2-phenyl-ethyl)ethoxycarbonylindazole IIIf. Similar methods, starting from compounds of Formula IIIb, may be used to prepare the corresponding compounds wherein one or more of the ring carbons (corresponding to those designated

X^1, X^2, X^3 and X^4 in Formula Ia) are replaced by nitrogen.

Compounds IIIc, IIId, IIIe and IIIf may be used in the preparation of compounds of Formula Ia in which R^{10} is phenyl, 2-phenylethynyl, or 2-phenylethyl, respectively. Alternatively, further manipulations of the substituent may be accomplished at a later stage in the synthesis of the compound of Formula Ia. For example, the 2-phenylethynyl indazoles IIIe may be used in a synthetic sequence during the course of which the acetylene will be reduced, providing ultimately compounds of Formula Ia in which R^{10} is 2-phenylethyl.

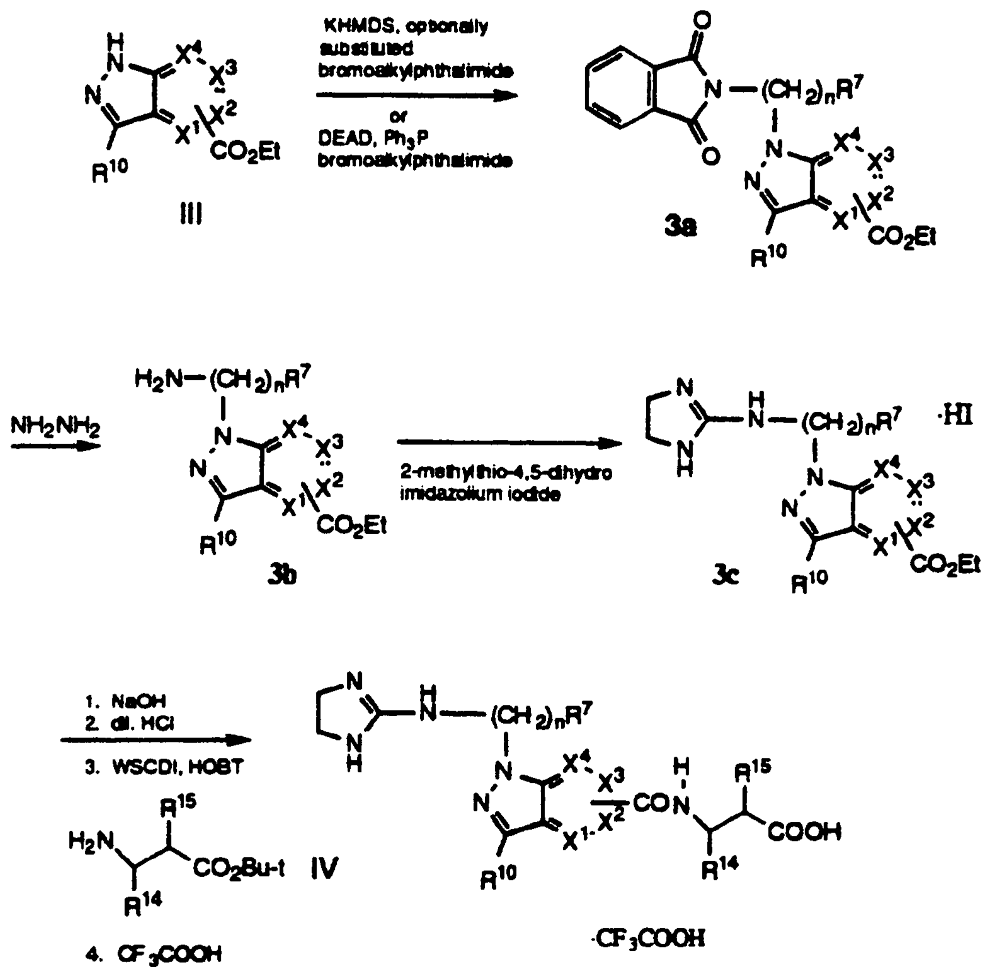
Other appropriately substituted alkoxycarbonyl-indazoles, for use in the preparation of compounds of Formula Ia wherein R^{10} is not hydrogen, may be prepared using other methods known in the art of organic synthesis, such as those outlined in *The Chemistry of Heterocyclic Compounds: Pyrazoles, Pyrazolines, Pyrazolidines, Indazoles and Condensed Rings*, Vol. 22 (Arnold Weissberger, Ed.), John Wiley and Sons (New York: 1967), Chapter 10.

Hereinafter, unless otherwise specified, phrases such as "indazoles III" and "indazoles of Formula III" are meant to include simple indazoles IIIa, mono- or diazaindazoles IIIb, and substituted indazoles such as but not restricted to IIIc, IIId, IIIe and IIIf. Substituted mono- and diazaindazoles such as but not restricted to mono- and diaza analogs of IIIc, IIId, IIIe and IIIf are also included.

Compounds of Formula Ia may be prepared from indazoles III as outlined in Scheme 3. Alkylation of the indazoles of Formula III with a suitably

functionalized alkyl halide can be effected in a variety of ways known to one skilled in the art. For example, using a method similar to that described by Granger et al. (*Chim. Ther*. 1970, 5: 24), an indazole of Formula III is treated with a suitable base, such as potassium bis(trimethylsilyl) amide, followed by addition of the alkyl halide, for example, 3-bromopropylphthalimide. Alternately, the alkylation can be carried out utilizing Mitsunobu conditions (Mitsunobu, *Synthesis*, 1981, 1-28) by addition of the corresponding alcohol, 3-hydroxypropylphthalimide, to a mixture of diethyl azodicarboxylate and triphenylphosphine in a suitable solvent, usually dry tetrahydrofuran, followed by addition of the indazole III. Separation, if necessary, of the mixture of 1- and 2-substituted isomers by chromatography provides the desired 1-alkylated product **3a**. Removal of the phthalimide may be achieved by treatment with anhydrous hydrazine to give the primary amine **3b**.

Scheme 3

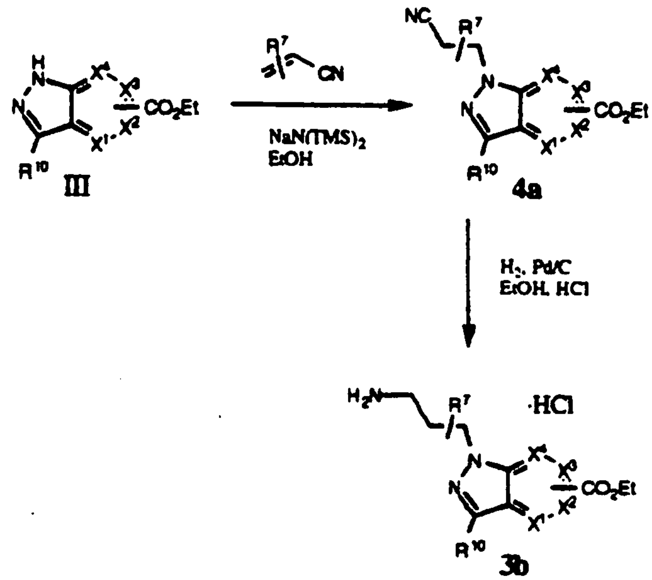


As further shown in Scheme 3, 2-imidazolinyl-aminoalkylindazoles may be prepared by treatment of the amine **3b** with a suitable reagent such as 2-methylthio-4,5-dihydroimidazolium iodide. Hydrolysis of the ester, using conventional methods known to one skilled in the art of organic synthesis, may be followed by coupling of the resulting acid to an appropriately substituted α- or β-amino ester such as a compound of Formula IV, to provide an intermediate which, after deprotection, affords compounds of Formula Ia wherein R^1 is 2-imidazolinylaminoalkyl. The coupling may be carried out

using any of the many methods for the formation of amide bonds known to one skilled in the art of organic synthesis. Those methods include, but are not limited to, use of standard coupling procedures such as the azide method, mixed carbonic acid anhydride (isobutyl chloroformate) method, carbodiimide (dicyclohexyl-carbodiimide, diisopropylcarbodiimide, or water-soluble carbodiimides (WSCDI)) method, active ester (p-nitrophenyl ester, N-hydroxysuccinic imido ester) method, or by the use of one of many other known coupling reagent such as BOP-Cl. Some of these methods (especially the carbodiimide method) can be enhanced by the addition of 1-hydroxybenzotriazole to the reaction mixture.

An alternative method for preparing amines **3b** wherein n=3 is outlined in Scheme 4. Alkylation of the indazole III may be achieved by treatment with an optionally substituted acrylonitrile in the presence of a catalytic amount of a base such as sodium ethoxide or sodium bis(trimethylsilyl)amide, in a suitable solvent such as ethanol, to provide the intermediate nitrile **4a**. This may be converted to the amine **3b** by reduction using any of a number of methods known to one skilled in the art of organic synthesis, such as by treatment with hydrogen in the presence of a catalyst such as palladium on charcoal. An acid such as aqueous hydrochloric acid may be added to the reaction mixture to minimize side reactions during the reduction.

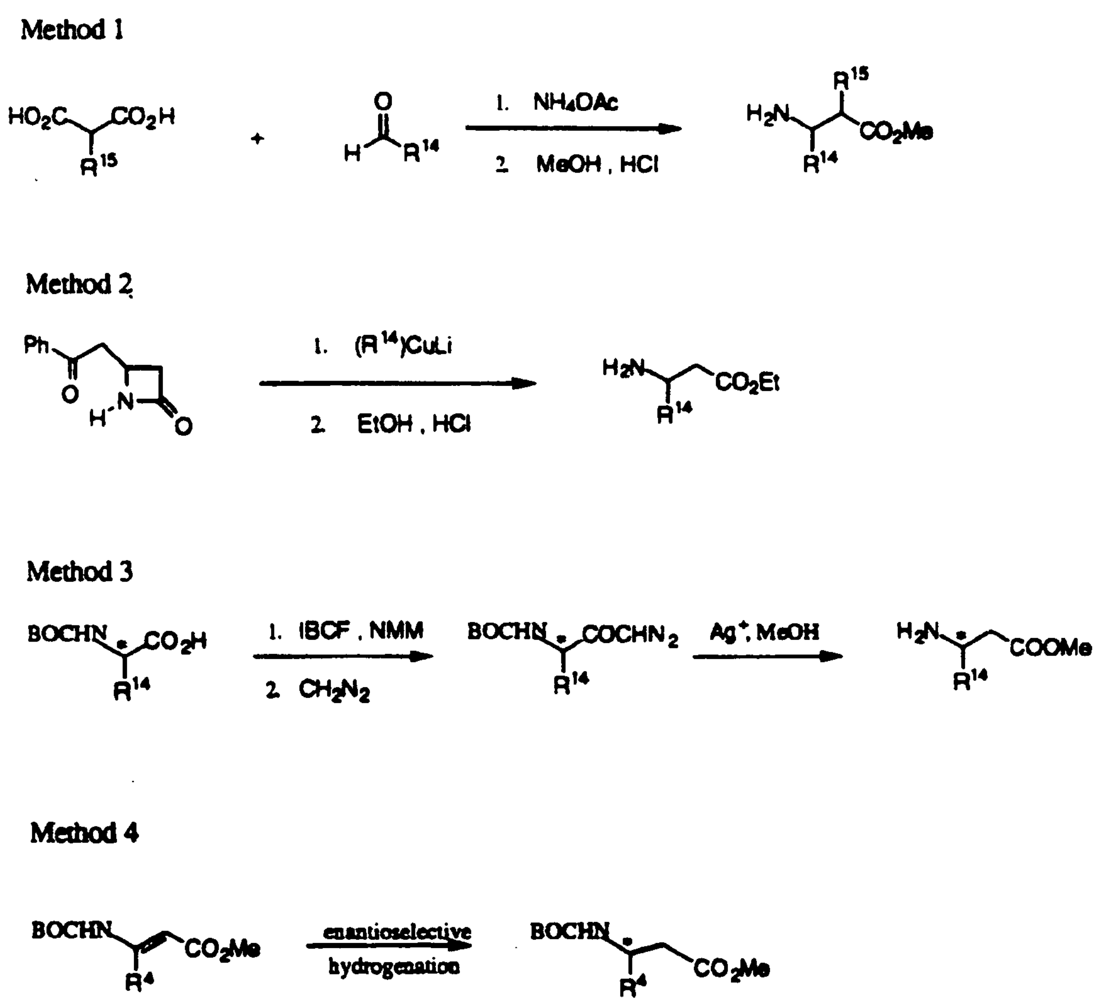
Scheme 4



Appropriately substituted racemic β-amino acids IV (used in Scheme 3) may be purchased commercially or, as is shown in Scheme 5, Method 1, prepared from the appropiate aldehyde, malonic acid and ammonium acetate according to the procedure of Johnson and Livak (*J. Am. Chem. Soc.*, 1936, 58, 299). Racemic β-substituted-β-amino esters may be prepared through the reaction of dialkylcuprates or alkyllithiums with 4-benzoyloxy-2-azetidinone followed by treatment with anhydrous ethanol (Scheme 5, Method 2) or by reductive amination of β-keto esters as is described in WO93/16038 (also see Rico et al., *J. Org. Chem.*, 1993, 58, 7948-51). Enantiomerically pure β-substituted-β-amino acids can be obtained through the optical resolution of the racemic mixture or can be prepared using numerous methods, including: Arndt-Eistert homologation of the corresponding α-amino acids as shown in Scheme 5, Method 3 (see Meier and Zeller, *Angew. Chem. Int. Ed. Engl.*, 1975 14, 32; Rodriguez et al., *Tetrahedron Lett.*, 1990, (31), 5153; Greenlee, *J.*

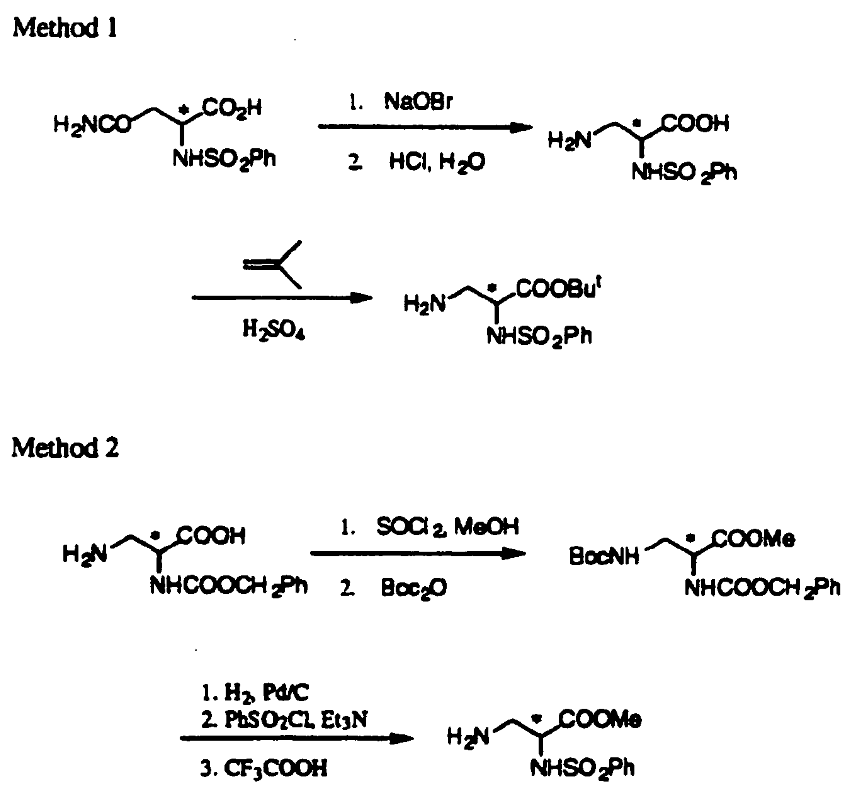
Med. Chem. 1985, 28, 434 and references cited within); and through an enantioselective hydrogenation of a dehydroamino acid as is shown in Scheme 5, Method 4 (see *Asymmetric Synthesis*, Vol. 5, (Morrison, ed.) Academic Press, New York: 1985). A comprehensive treatise on the preparation of β-amino acid derivatives may be found in Patent Application WO 93/07867, the disclosure of which is hereby incorporated by reference.

Scheme 5



The synthesis of N^2-substituted diaminopropionic acid derivatives IV can be carried out via Hoffmann rearrangement of a wide variety of asparagine derivatives as described, for example, by Waki et al. (*Synthesis* 1981, 266-267) or by Moore et al. (*J. Med. Chem.* 1976, 19(6), 766-772). An example is shown in Scheme 6, Method 1. They may also be prepared by manipulations, which will be familiar to one skilled in the art of organic synthesis, of the commercially available 3-amino-2-benzyloxycarbonylaminopropionic acid. An example is shown in Scheme 6, Method 2.

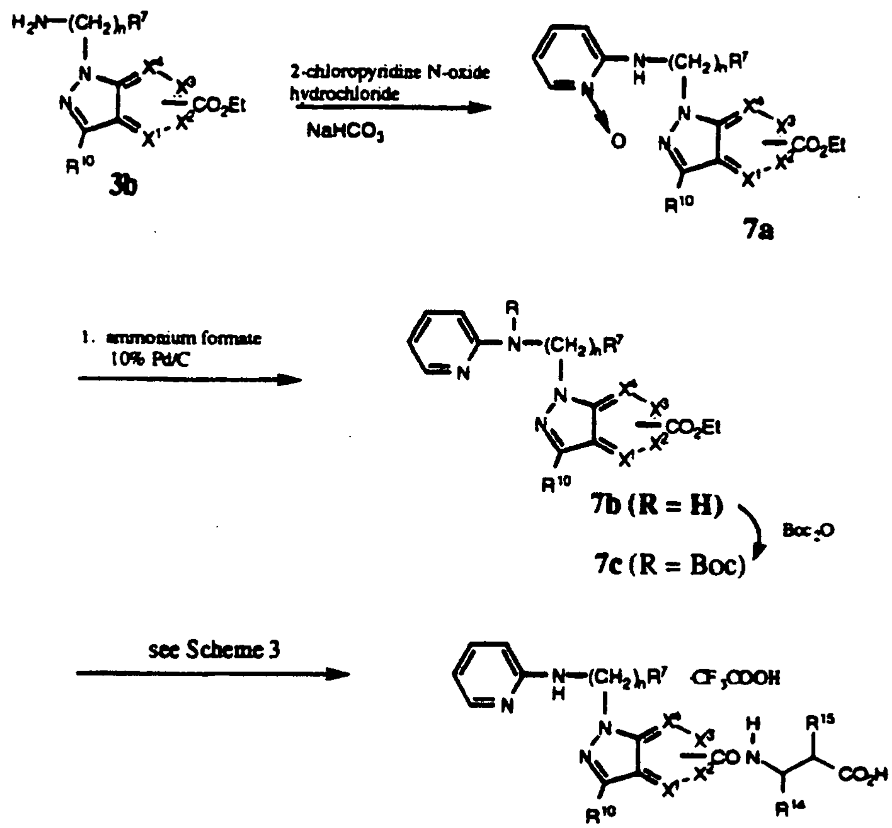
Scheme 6



Compounds of Formula Ia above wherein R^1 is 2-pyridinylaminoalkyl may be prepared by the method outlined in Scheme 7. Treatment of the intermediate

aminoalkylindazole **3b** from Scheme 3 (or the corresponding salt from Scheme 4) with 2-chloropyridine N-oxide hydrochloride, using a modification of the method described by Misra, et al. (*Bioorg. and Med. Chem. Letters*, 1994, 4, 2165-2170), and subsequent reduction of the resulting N-oxide derivative **7a** provides a 2-pyridinylaminoalkyl intermediate **7b**. This reduction may be performed using a number of methods known to one skilled in the art of organic synthesis, such as that using ammonium formate in the presence of 10% palladium on charcoal in refluxing ethanol, as described by Balicki (*Synthesis*, 1989, 645-646), or by reduction with hydrogen in the presence of a catalyst such as palladium on charcoal or Raney nickel, or by treatment with triphenylphosphine. The resulting 2-aminopyridine moiety of **7b** may be optionally protected, for example by treatment with di-t-butyldicarbonate in dry tetrahydrofuran in the presence of a suitable base, such as triethylamine or N,N-dimethylaminopyridine, using the method of Iwanowicz (*Synth. Commun.*, 1993, 23(10), 1443-1445), to provide intermediate **7c**. Ester hydrolysis, coupling and deprotection as outlined in Scheme 3 can then provide the desired compounds of Formula Ia.

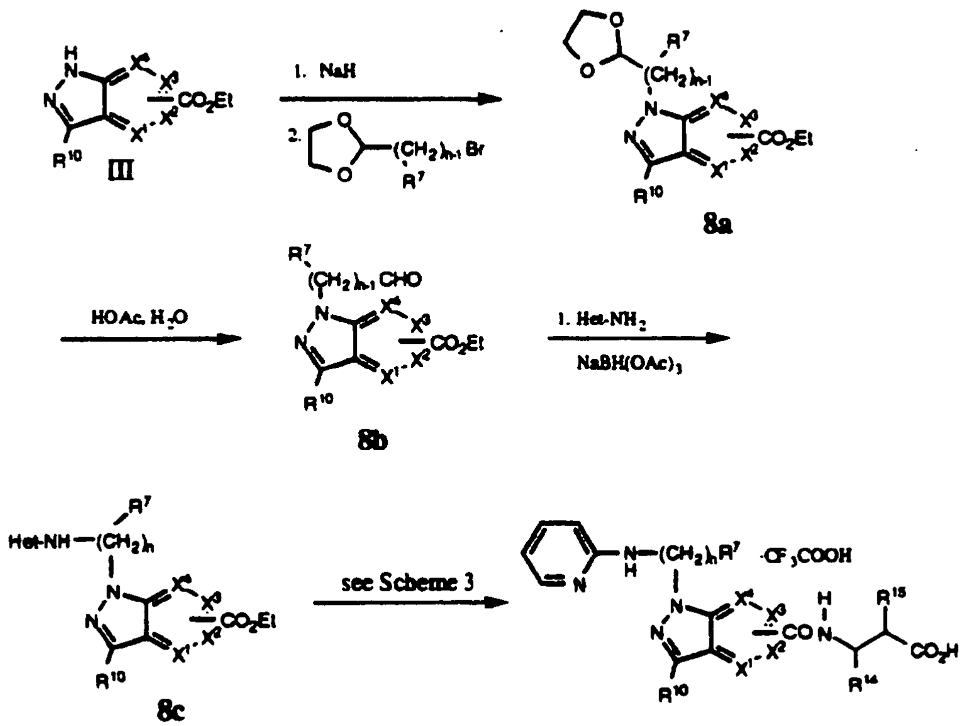
Scheme 7



An alternative route to 1-(heteroarylaminoalkyl) indazoles of Formula Ia is outlined in Scheme 8. A suitable indazole III can be alkylated with an alkyl halide bearing a protected aldehyde, such as a 1,3-dioxolane, using conditions described above (see Scheme 3) to provide **8a**. Deprotection to the aldehyde **8b**, for example by treatment with aqueous acid, may be followed by reductive amination with a heteroarylamine such as 2-aminopyridine or a suitably protected 2-aminoimidazole, such as 1-triphenylmethyl-2-aminoimidazole, in the presence of a reducing agent such as sodium triacetoxyborohydride or sodium cyanoborohydride, to provide the 1-(heteroarylaminoalkyl)indazole **8c**. The

intermediates **8c** can then be elaborated to the corresponding compounds of Formula Ia, for example as described in Scheme 3.

Scheme 8

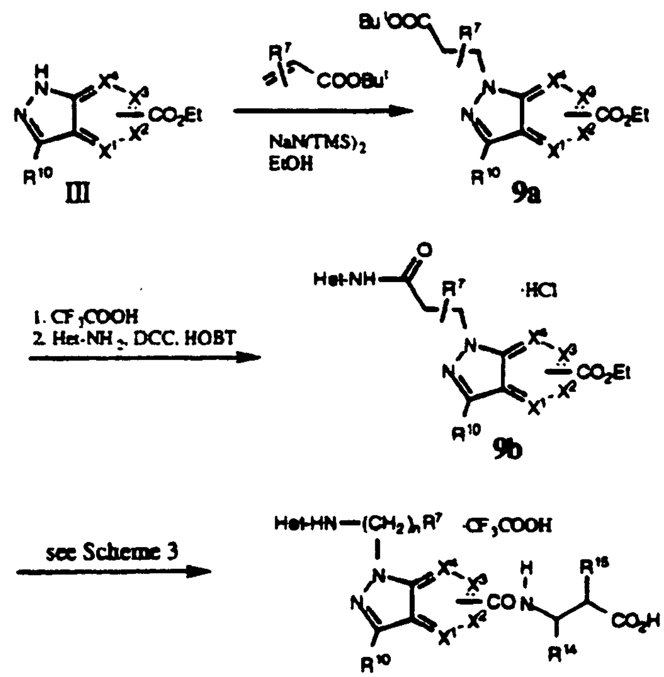


(Het = appropriate aromatic heterocycle)

A route to 1-(heteroarylaminocarbonylethyl) indazoles of Formula Ia is outlined in Scheme 9. A suitable indazole III can be alkylated by treatment with an acrylic acid ester such as tert-butyl acrylate, using a method such as that described in Scheme 4. Removal of the ester of **9a** may be followed by conversion to a heteroaryl amide by treatment with a heteroaryl amine using any of a number of methods well known to one skilled in the art of organic synthesis. The resulting 1-(heteroarylaminocarbonylethyl)indazole **9b** can then be

elaborated to the corresponding compounds of Formula Ia, for example as described in Scheme 3.

Scheme 9

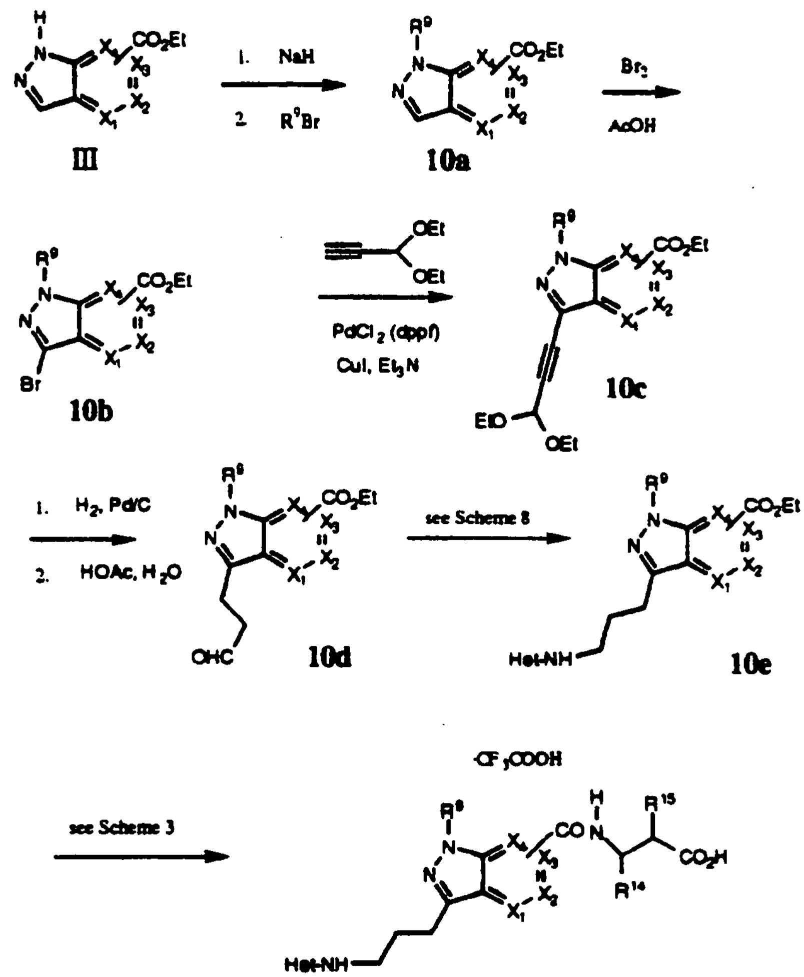


(Het = appropriate aromatic heterocycle)

Compounds of Formula Ib may be prepared according to the method outlined in Scheme 10. Thus, the appropriate indazole III may be alkylated by treatment with a suitable base, for example sodium hydride, followed by addition of a suitable alkylating agent such as an alkyl halide R^9-Br or R^9-I. Bromination of the intermediate **10a** using, for example, bromine in acetic acid, provides the corresponding 3-bromo derivative **10b**. (The order of these two synthetic steps may also be reversed. That is, the indazole III may be brominated, and resulting bromoindazole may be alkylated, to provide similar products **10b**.) Coupling of **10b** with, for example, 3,3-diethoxy-1-propyne, under conditions

similar to those described by Sakamoto et al. (*Synthesis* 1992, 746-748) provides a functionalized alkynyl derivative **10c**. Reduction of the acetylenic bond of **10c** using, for example, hydrogen in the presence of a catalyst such as palladium on charcoal, followed by hydrolysis of the acetal with aqueous acid provides an aldehyde intermediate **10d** which, using methods analogous to those outlined in Scheme 8, may be elaborated to an intermediate **10e** containing a heteroarylaminoalkyl substituent at the 3-position. This intermediate may then in turn be elaborated to the desired compounds of Formula Ib, for example using methods described in Scheme 3.

Scheme 10

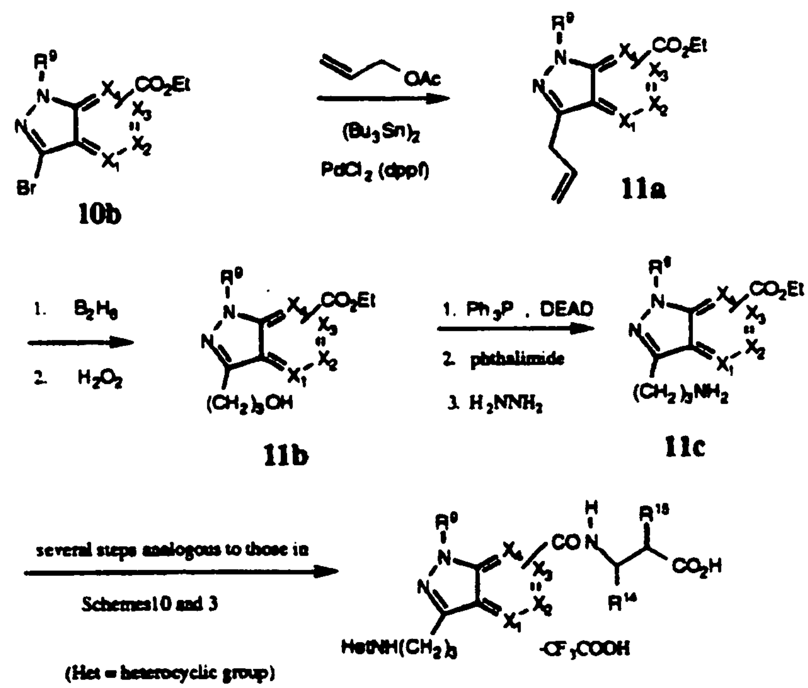


(Het = heteroaromatic group)

Compounds of Formula Ib may alternatively be prepared from the intermediate **10b** according to the method described in Scheme 11. Thus, coupling of **10b** under conditions similar to those described by Murakami et al. (*Heterocycles*, 1990, 31(8), 1505-11) can provide a 3-allyl derivative **11a**. Hydroboration as described by Brown and Subba Rao (*J. Am. Chem. Soc.* 81, 6428-6433) can provide the alcohol **11b**, which may be subjected to the Mitsunobu reaction (*vide supra*) with phthalimide

followed by deprotection to provide an amine intermediate **11c** which, analogously to the method shown in Schemes 10 and 3, can be elaborated to the desired compounds of Formula Ib. Alternatively, the intermediate **11b** may be prepared by reduction of the aldehyde **10d** shown in Scheme 10. Other methods can be used for the conversion of intermediates **10d** and **11b** to the primary amine **11c** which are known to those skilled in the art of organic synthesis.

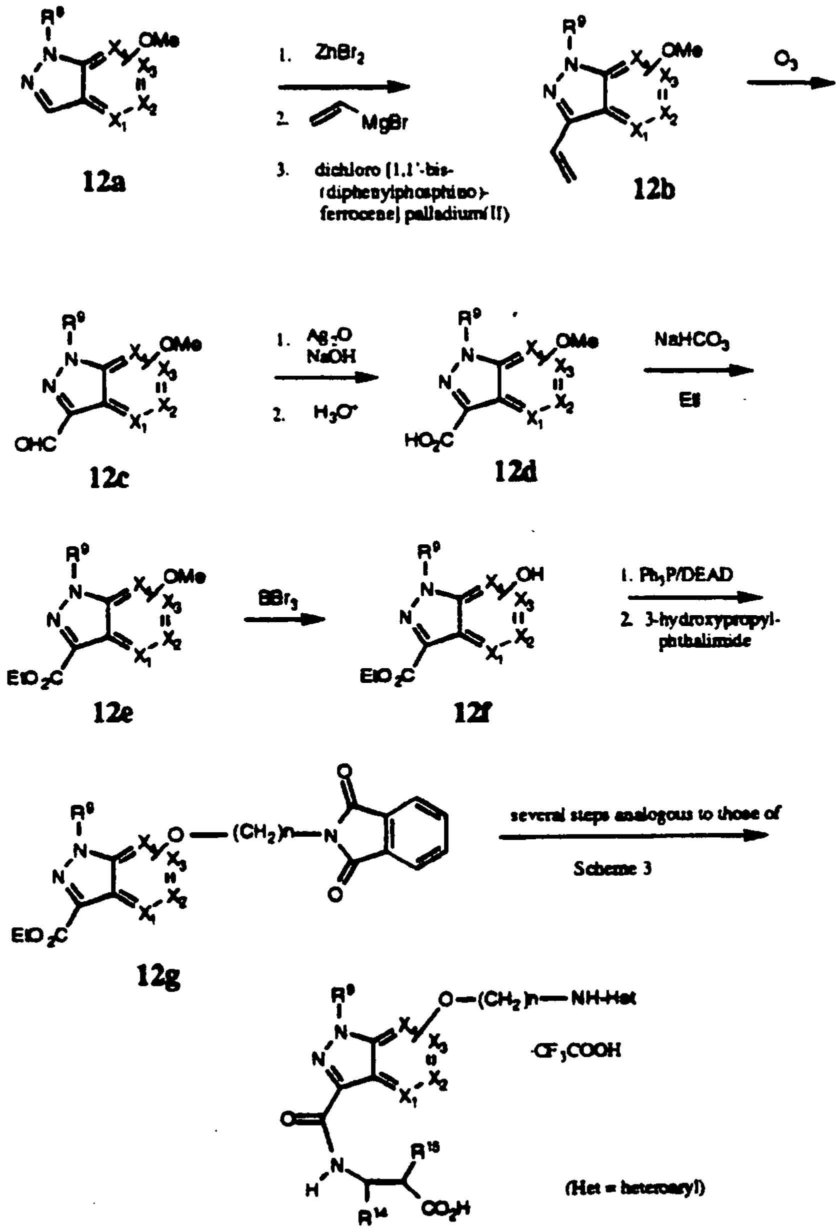
Scheme 11



Compounds of Formula Ic may be prepared according to methods outlined in Scheme 12. Treatment of the appropriate indazole starting material **12a** with zinc bromide and vinylmagnesium bromide followed by dichloro[1,1'-bis (diphenylphosphino) ferrocene] palladium (II), using a procedure similar to that described by Brown, et al. (U.S. Patent 4,898,863), can

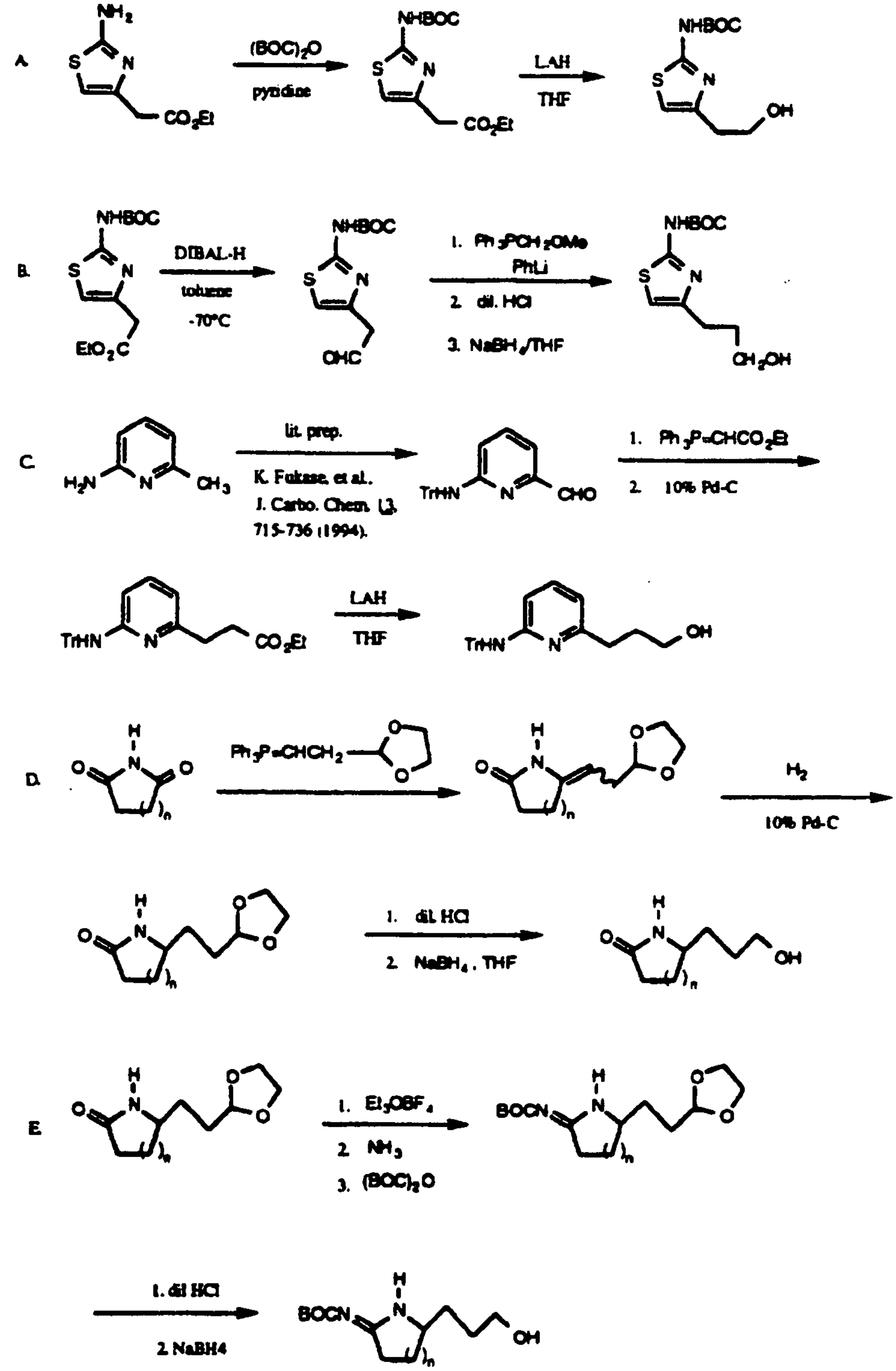
provide the desired 3-vinyl derivative **12b**. Treatment of this compound with ozone (F. J. Brown, et al. *Ibid.*), can provide an aldehyde **12c**. Oxidation using silver(I) oxide, as described by Campaigne and LeSuer (*Organic Syntheses*, 1963, Coll. Vol. 4, 919), can provide the desired carboxylic acid **12d**. Esterification and deprotection of the ether oxygen of **12e** using boron tribromide, by a method analogous to that detailed by Manson and Musgrave (*J. Chem. Soc.* 1011 (1963)), can provide the hydroxy intermediate **12f**. Mitsunobu coupling, (*vide supra*), followed by further transformations of **12g** similar to those shown in Scheme 3, can provide compounds of Formula Ic.

Scheme 12



Additional alcohols useful for the preparation of compounds of Formula Ia, Ib and Ic through the Mitsunobu reaction described in the above schemes may be prepared as described in Scheme 13.

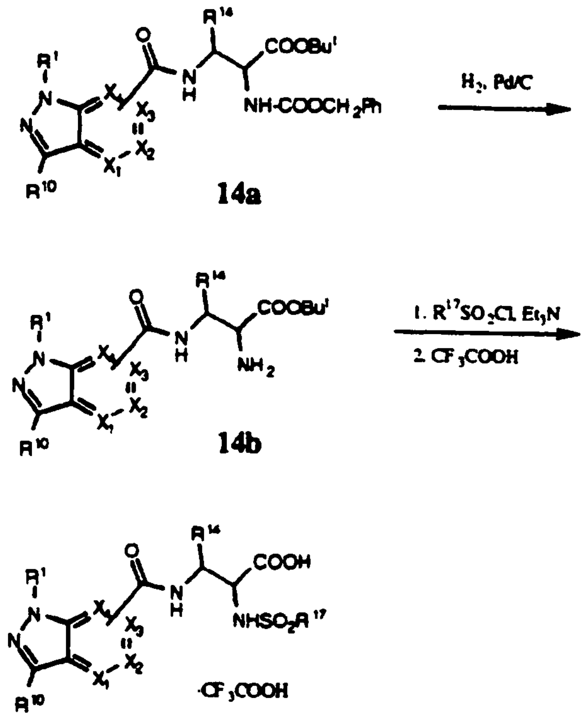
Scheme 13



Various compounds of Formula Ia, Ib or Ic may be prepared from a common derivative of the corresponding

compounds of Formula Ia, Ib or Ic by functional group manipulations familiar to one skilled in the art of organic synthesis. As one example, preparation of compounds of Formula Ia having different sulfonamide substituents at R^{16} may be achieved as outlined in Scheme 14. Thus, the compound of Formula Ia having a benzyloxycarbonylamino group at R^{16} (**14a**) may be hydrogenolyzed using, for example, hydrogen in the presence of a catalyst such as palladium on charcoal to provide the primary amine derivative **14b**. This may be reacted with a sulfonylating agent such as $R^{17}SO_2Cl$ in the presence of an amine such as triethylamine to provide, after deprotection of the ester, the desired compound of Formula Ia. In place of the sulfonyl chloride, use of a carboxylic acid, acid chloride or acid anhydride can provide the corresponding amide derivative, use of a chloroformate can provide the corresponding carbamate derivative, use of a sulfamoyl chloride can provide the corresponding sulfamide derivative, and use of an isocyanate can provide the corresponding urea derivative.

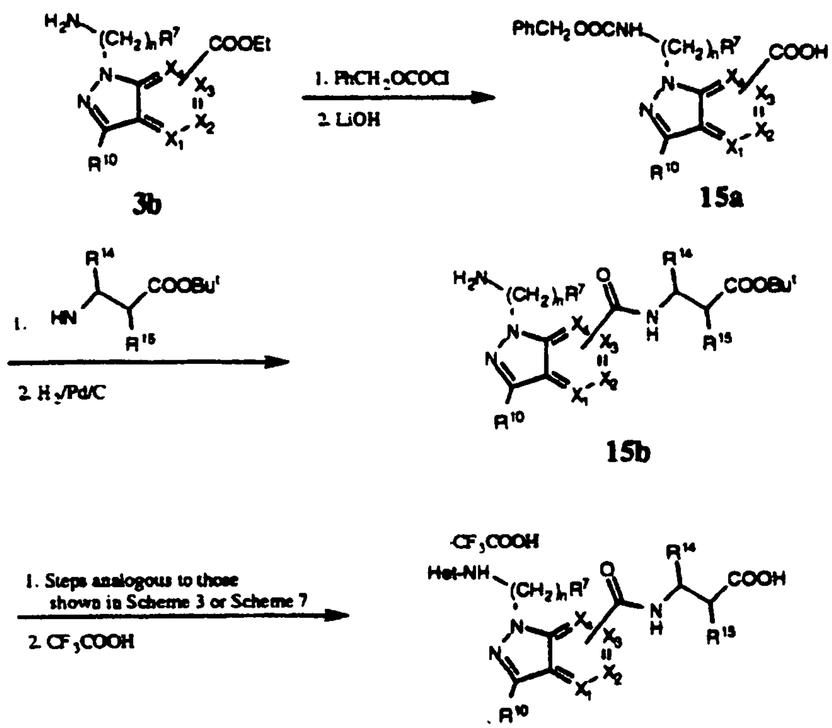
Scheme 14



As another example, compounds of Formula Ia with different variations in R^1 may be prepared from a common precursor as outlined in Scheme 15. Thus, the amine intermediate **3b** may be reacted, for example, with benzyl chloroformate to provide the benzyl carbamate. Hydrolysis of the ester, for example with lithium hydroxide, can provide the acid intermediate **15a**. Using methods described earlier, **15a** may be reacted with, for example, a suitable beta-amino ester, followed by removal of the benzyl carbamate, for example by hydrogenolysis, to provide the amine intermediate **15b**. Using, for example, steps analogous to those shown in Schemes 3 or 7, the amine may be converted to an aminoheterocyclic group. After deprotection of the

ester, the desired compound of Formula Ia may be obtained.

Scheme 15



The example outlined in Scheme 15 will also serve to demonstrate that the order in which the different substituents are elaborated to give the compounds of Formula Ia, Ib and Ic may be varied from that in the examples shown in Schemes 1 through 14. This example will also serve to demonstrate the use of protecting groups to temporarily protect a functional group in the course of a synthetic sequence when that functional group is not compatible with one or more of the synthetic transformations that are to be accomplished . Such use of protecting groups, while not always explicitly shown in Schemes 1 through 15, is well known to one skilled in the art of organic synthesis. Many

examples of protecting groups may be found, for example, in Greene, "Protective Groups in Organic Syntheses", Wiley (New York), 1981.

The detailed processes for preparing the compounds of Formula Ia, Ib or Ic are illustrated by the following Examples. It is, however, understood that this invention is not limited to the specific details of these examples. Reactions were run under an atmosphere of nitrogen unless otherwise indicated. Solvent removal from reaction mixtures, extracts, and the like was performed under vacuum on a rotary evaporator. Flash chromatography refers to the medium-pressure column chromatography method described by Still et al. (*J. Org. Chem.* 1978, 43(14), 2923-2925). Melting points (mp) are uncorrected. Proton nuclear magnetic resonance spectra (NMR) were measured in chloroform-d ($CDCl_3$), dimethyl sulfoxide-d_6 (DMSO-d_6) or methanol-d_4 (MeOH-d_4) and the peaks are reported in parts per million downfield from tetramethylsilane (δ). The coupling patterns are reported as follows: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet; b, broad. Mass spectra were measured using electrospray ionization (ESI), ammonia chemical ionization (NH_3-CI), fast-atom bombardment from a glycol matrix (FAB), or electron impact ionization (EI).

Example 1035b

3-[1-[3-(N-imidazol-2-ylamino)propyl]-indazol-5-ylcarbonyl-amino]-2(S)-(2,6-dimethyl-4-phenylbenzene-sulfonylamino)-propionic acid trifluoroacetate

A. tert-Butyl 3-[1-[3-(N-(1-triphenylmethylimidazol-2-yl)-amino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonylamino)propionate. A

mixture of the product prepared according to Example 1050e Part K (215 mg, 407 μmol), the product prepared according to Example 1178b Part E (140 mg, 407 μmol), 1-hydroxybenzotriazole hydrate (57 mg, 407 μmol) and N,N-dimethylformamide (5 mL) was treated with dicyclohexylcarbodiimide (870 mg, 407 μmol) and stirred at room temperature for 24 h. The mixture was poured into water (75 mL) and extracted with ethyl acetate (3 x 50 mL). The organic phase was dried ($MgSO_4$) and concentrated under vacuum. The residue was flash chromatographed (toluene:ethyl acetate, step gradient from 50:50 to 10:90) to provide the title product (262 mg, 75%) as a colorless glassy foam: ^1H NMR ($CDCl_3$) δ 8.17 (s, 1H), 7.97 (d, 1H), 7.73 (dd, 1H), 7.4-7.1 (15H), 6.99 (d, 1H), 6.94 (s, 2H), 6.85 (bt, 1H), 6.68 (d, 1H), 6.42 (d, 1H), 5.82 (bd, 1H), 4.07 (t, 2H), 3.93 (m, 1H), 3.83 (m, 1H), 3.62 (m, 1H), 3.04 (m, 1H), 2.97 (m, 2H), 2.65 (s, 6H), 2.26 (s, 3H), 1.82 (m, 2H), 1.32 (s, 9H); Mass spectrum (ESI) m/z 852.4 (100%, $M+H^+$).

Alternatively, a solution of the product prepared according to Example 1050e Part K (1.108 g, 2.1 mmol) in N,N-dimethylformamide (15 mL) was treated with the product prepared according to Example 1178b Part E (719 mg, 2.1 mmol), BOP reagent (975 mg, 2.2 mmol) and diisopropylethyl-amine (543 mg, 4.2 mmol) and the mixture was stirred at room temperature overnight. The mixture was concentrated under vacuum and the residue was partitioned between ethyl acetate (100 mL) and water (25 mL). The aqueous phase was extracted with additional ethyl acetate (3 x 25 mL) and the combined organic phases were washed with hydrochloric acid (1.0 N; 10 mL), water (2 x 10 mL), saturated aqueous sodium bicarbonate (10 mL) and brine (2 x 10 mL), then were dried ($MgSO_4$) and concentrated under vacuum. This material was combined with the crude product from another run, starting from 10.8 g of the product

prepared according to Example 1050e Part K (20.5 mmol), to provide the title product as a crude material (23.0 g) which was used in the next step without purification.

B. tert-Butyl 3-[1-[3-(N-imidazol-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(2,6-dimethyl-4-phenylbenzene-sulfonylamino)propionate. The product prepared according to Example 1035b Part A (3.3 g, 3.9 mmol) was combined with methanol (100 mL) and acetic acid (10 mL) and the mixture was heated at reflux overnight. The mixture was concentrated under vacuum, and the residue was flash chromatographed (chloroform: methanol:aqueous ammonia 100:10:1) to provide the product as a glassy foam. This was combined with the product from another run, starting from 19.0 g of the product prepared according to Example 1035b Part A (22.3 mmol), to provide the title product (4.5 g). Impure material from the column was re-chromatographed (chloroform: methanol:aqueous ammonia 100:5:0.5) to provide additional pure title product (6.5 g; total combined yield 81%): ^{1}H NMR (MeOH-d_4) δ 8.17 (d, 1H), 8.13 (d, 1H), 7.76 (dd, 1H), 7.57 (d, 1H), 6.85 (s, 2H), 6.51 (s, 2H), 4.53 (t, 2H), 4.06 (dd, 1H), 3.70 (dd, 1H), 3.50 (dd, 1H), 3.17 (t, 2H), 2.59 (s, 6H), 2.16 (m, 2H), 2.10 (s, 3H), 1.22 (s, 9H).

C. 3-[1-[3-(N-imidazol-2-ylamino)propyl]-indazol-5-yl-carbonylamino]-2(S)-(2,6-dimethyl-4-phenylbenzenesulfonyl-amino)propionic acid trifluoroacetate. A solution of the product prepared according to Example 1035b Part B (480 mg, 788 µmol) in dichloromethane (30 mL) was treated with trifluoroacetic acid (5 mL) and stirred for 1 h at room temperature. The solution was concentrated under vacuum, and the residue was dissolved in methanol (3 mL) and purified by

preparative reverse-phase HPLC to provide, after lyophilization, the title product (432 mg, 82%) as an amorphous white solid: HPLC T_R 12.33 min (95%); ^1H NMR ($MeOH-d_4$) δ 8.12 (s, 2H), 7.72 (dd, 1H), 7.54 (d, 1H), 6.76 (s, 2H), 6.73 (s, 2H), 4.53 (t, 2H), 4.16 (dd, 1H), 3.76 (dd, 1H), 3.49 (dd, 1H), 3.23 (t, 2H), 2.56 (s, 6H), 2.22 (m, 2H), 1.98 (s, 3H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 554.2186, found 554.2196.

Alternatively, a solution of the product prepared according to Example 1035b Part A (249 mg, 292 μmol) in trifluoroacetic acid (2.5 mL) was heated at reflux for 60 min. The mixture was cooled and concentrated, and the residue was purified by preparative reverse-phase HPLC to provide, after lyophilization, the title product (153 mg, 78%) as a white powder.

Example 1050e

3-[1-[3-(N-imidazol-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(2,6-dimethyl-4-phenylbenzene-sulfonylamino)propionic acid trifluoroacetate

A. Ethyl 3-methyl-4-nitrobenzoate. A mixture of 3-methyl-4-nitrobenzoic acid (**1**) (362.3 g, 2.0 mol), N,N-dimethylformamide (2000 mL), sodium bicarbonate (200 g, 2.38 mol) and iodoethane (623.9 g, 4.0 mol) was stirred at 70 °C for 18 h. The mixture was allowed to cool to room temperature and poured into water (2000 mL). The resulting solid was collected by filtration, washed with water and dried. The solid was washed further with hexane and dried to provide the title product (382.1 g, 91%) as an off-white solid: mp 51-52.5 °C; ^1H NMR ($CDCl_3$) δ 8.04-7.98 (m, 3H), 4.42 (q, 2H), 2.63 (s, 3H), 1.42 (t, 3H); Mass spectrum (NH_3-CI) m/z 210 (100%, $M+H^+$).

B. <u>Ethyl 3-methyl-4-aminobenzoate.</u> A mixture of the product prepared according to Example 1050e Part A (183.96 g, 880 mmol), tin (II) chloride hydrate (1025 g, 4.54 mol) and ethanol (3500 mL) was heated at reflux for 2 h. The mixture was cooled and diluted with water (3500 mL) and the pH was adjusted to 8.5. The mixture was diluted further with additional water, and extracted with ethyl acetate. The organic extracts were dried ($MgSO_4$), filtered and concentrated to provide the title product (136.62 g, 87%) as an off-white solid: mp 76-78 °C; ^1H NMR ($CDCl_3$) δ 7.78 (s, 1H), 7.76 (d, 1H), 6.63 (d, 1H), 4.31 (q, 2H), 3.99 (bs, 2H), 2.19 (s, 3H), 1.38 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 180.1025, found 180.1023.

C. <u>5-Ethoxycarbonylindazole.</u> A mixture of the product prepared according to Example 1050e Part B (250.55 g, 1.4 mol), potassium acetate (143.3 g, 1.46 mol), acetic anhydride (285.9 g, 2.8 mol) and chloroform (ethanol-free; 2700 mL) was stirred at room temperature. The temperature rose to 40 °C, then started to decline, at which time no starting material was detected by TLC. A mixture of 18-crown-6 (75 g, 280 mmol) and n-amyl nitrite (364.5 g, 3.1 mol) was added and the mixture was heated at reflux overnight. The cooled mixture was washed with saturated aqueous sodium bicarbonate, then with water, and was dried ($MgSO_4$), filtered and concentrated under vacuum. The residue was combined with that from another batch (711.3 g) and distilled through a 10 cm vigreaux column under vacuum to provide 1-Acetyl-5-ethoxycarbonyl-indazole (576 g, 82%), bp 115-165 °C (1.0 Torr). This intermediate was combined with hydrochloric acid (6N; 2000 mL) and ethanol (2000 mL), and the mixture was stirred overnight at room temperature. The mixture was concentrated under vacuum, and the solid was combined with water. The pH of the

mixture was adjusted to 8 with aqueous ammonia, and the mixture was extracted with dichloromethane. The organic phase was concentrated to provide a solid (460 g). This was recrystallized from acetonitrile (1000 mL), and the crystals were washed with ethanol, then hexane, and dried to provide 5 (281 g, 60%) as a tan solid: mp 122-124 °C; ^{1}H NMR ($CDCl_3$) δ 10.23 (bs, 1H), 8.57 (s, 1H), 8.20 (s, 1H), 8.10 (d, 1H), 7.53 (d, 1H), 4.42 (q, 2H), 1.42 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$); 191.0821, found 191.0838.

D. <u>1-(2-(1,3-dioxolan-2-yl)ethyl)-5-ethoxycarbonyl-indazole.</u> A solution of the product prepared according to Example 1050e Part C (74.5 g, 397 mmol) in anhydrous tetrahydrofuran (1000 mL) was treated sequentially with sodium bis(trimethylsilyl)amide (1.0 M in tetrahydrofuran; 430 mL, 430 mmol), 18-crown-6 (1.5 g) and 2-(2-bromoethyl)-1,3-dioxolane (90 g, 496 mmol). The solution was heated at reflux for 20 h, then was cooled to room temperature. The solvent was removed under vacuum, and the residue partitioned between toluene (2000 mL) and water (1000 mL). The aqueous phase was further extracted with toluene (3 x 200 mL), and the combined organic phases were washed with water (3 x 200 mL) and brine (2 x 200 mL). The organic phase was dried ($MgSO_4$) and concentrated under vacuum. The resulting oil was chromatographed with toluene, then with 185:15 toluene-ethyl acetate, to provide the title product (71.0 g, 55%): ^{1}H NMR ($CDCl_3$) δ 8.49 (s, 1H), 8.10 (s, 1H), 8.06 (d, 1H), 7.46 (d, 1H), 4.84 (t, 1H), 4.55 (t, 2H), 4.41 (q, 2H), 3.90 (m, 4H), 2.31 (m, 2H), 1.42 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 291.1345, found 291.1328.

E. <u>1-(3-oxopropyl)-5-ethoxycarbonylindazole.</u> A mixture of the product prepared according to Example 1050e Part

D (73.0 g, 256 mmol), acetic acid (365 g) and water (1020 mL) was heated at 70 °C for 20 h. The mixture was cooled to room temperature, extracted with dichloromethane (5 x 550 mL), and the combined organic layers were washed cautiously with saturated aqueous sodium bicarbonate (until no more gases were evolved), then with water (2 x 250 mL) and brine (2 x 250 mL). The organic layer was dried ($MgSO_4$), filtered and concentrated under vacuum to provide the title product (60.9 g, 98%) as a light yellow solid: ^1H NMR ($CDCl_3$) δ 9.87 (s, 1H), 8.50 (s, 1H), 8.10 (s+d, 2H), 7.51 (d, 1H), 4.70 (t, 2H), 4.41 (q, 2H), 3.19 (t, 2H), 1.42 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 247.1083, found 247.1068.

F. <u>2-Aminoimidazole.</u> 2-Aminoimidazole sulfate (50 g, 378 mmol) was dissolved in methanol (1500 mL) and cooled to -78°C. Sodium methoxide (20.44 g, 378 mmol) was added portionwise over 60 min. The mixture stirred at -78°C for 30 min, then at room temperature for 2.5 h. The solution was filtered through Celite® and concentrated under vacuum to provide 2-aminoimidazole as a semi-solid (32.5 g) which was used directly without further purification: ^1H NMR (DMSO-d_6) δ 6.32 (s, 2H), 5.0 (bs, 2H).

G. <u>2-Phthalimidoimidazole.</u> A mixture of phthalic anhydride (57.3 g, 387.mmol) and the product prepared according to Example 1050e Part F (32.5 g, 387 mmol) was heated with mechanical stirring to 190-200 °C for 20 min, then was placed under vacuum for 10 min. The mixture was cooled to room temperature and dried under vacuum for 24 h. This material (80 g, 99%) was used without further purification. It could be purified by flash chromatography (chloroform:methanol gradient from 95:5 to 80:20): ^1H NMR (DMSO-d_6) δ 12.35 (bs, 1H), 7.94-8.06 (m, 4H), 7.16 (bs, 2H); Mass spectrum (ESI) m/z 214.2 (100%, $M+H^+$).

H. 1-Triphenylmethyl-2-phthalimidoimidazole. A solution of the product prepared according to Example 1050e Part G (80 g, 375 mmol) in dichloromethane (2000 mL) was treated with triphenylmethyl chloride (314 g, 1.126 mol) and triethylamine (151.8g, 1.5 mol). The mixture was heated at reflux for 5.5 h, then cooled to room temperature and concentrated under vacuum. The residue was extracted several times with hexane/ethyl acetate (70:30). The residual solid was dissolved in dichloromethane and washed several times with water, dried ($MgSO_4$) and concentrated. The residual solid was boiled in hexane, filtered, and the solid was washed several times with hot hexane until no trityl chloride was present by TLC. This provided the title product (119 g, 70%): ^1H NMR ($CDCl_3$) δ 7.64 (s, 4H), 7.28 (d, 6H), 7.17 (m, 7H), 7.06 (t, 3H),6.80 (d, 1H); Mass spectrum (NH_3-CI) m/z 456 (100%, $M+H^+$).

I. 1-Triphenylmethyl-2-aminoimidazole. A mixture of the product prepared according to Example 1050e Part H (114 g, 250 mmol), hydrazine (78. mL, 2.50 mol) and ethanol (3500 mL) was heated at reflux for 2 h. The mixture was cooled and the solvent was removed under vacuum. The solid residue was partitioned between water (500 mL) and chloroform (500 mL) and the aqueous phase was extracted further with chloroform (3 x 200 mL). The combined organic layers were washed with water (2 x 200 mL), dried ($MgSO_4$) and concentrated to provide a sticky solid. This was heated with hexane and filtered to provide the title product (65 g, 80%) as a granular solid: ^1H NMR (DMSO-d_6) δ 7.33-7.44 (m, 9H), 7.13 (d, 6H), 6.51 (d, 1H), 6.26 (d, 1H); Mass spectrum (NH_3-CI) 326 (100%, $M+H^+$).

J. 1-[3-[N-(1-Triphenylmethylimidazol-2-yl)amino]-propyl]-5-ethoxycarbonylindazole. A mixture of the product prepared according to Example 1050e Part E (10.0 g, 40.6 mmol), the product prepared according to Example

1050e Part I (13.2 g, 40.6 mmol) and toluene (500 mL) was heated at reflux under a Dean-Stark trap. Toluene (3 x 100 mL) was removed while adding fresh dry toluene. The mixture was then heated further for 20 h, when NMR analysis of an aliquot showed the absence of aldehyde. The mixture was cooled to room temperature and sodium triacetoxyborohydride (34.42 g, 152.4 mmol) was added. The mixture was stirred at room temperature for 20 h, then was poured into water (500 mL). The layers were separated and the aqueous phase was extracted with ethyl acetate (3 x 100 mL). The combined organics were washed with saturated aqueous sodium bicarbonate (2 x 100 mL), water (2 x 100 mL) and brine (2 x 100 mL), then were dried ($MgSO_4$), filtered and concentrated under vacuum to provide a crude product (25.0 g). This was combined with the crude product from another run (starting from 7.77 g of the product prepared according to Example 1050e Part E and 10.28 g of the product prepared according to Example 1050e Part I) and was purified by flash chromatography (toluene:ethyl acetate, step gradient from 90:10 to 50:50) to provide the title product (21.0 g, 52%) as an oil which slowly solidified: ^1H NMR ($CDCl_3$) δ 8.45 (s, 1H), 7.97 (s, 1H), 7.93 (d, 1H), 7.33 (m, 9H), 7.21 (m, 6H), 6.99 (d, 1H), 6.67 (d, 1H), 6.41 (d, 1H), 4.41 (q, 2H), 4.06 (t, 2H), 2.98 (m, 3H), 1.81 (m, 2H), 1.42 (t, 3H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 556.2713, found 556.2725.

K. <u>1-[3-[N-(1-Triphenylmethylimidazol-2-yl)amino]-propyl]-5-carboxyindazole.</u> A mixture of the product prepared according to Example 1050e Part J (21.0 g, 37.8 mmol), ethanol (600 mL) and aqueous sodium hydroxide (1.0 M; 209 mL, 209 mmol) was heated at reflux for 4 h. The mixture was cooled to room temperature and concentrated under vacuum to remove the ethanol. The pH

of the residue was adjusted to 4, and the mixture was extracted with dichloromethane and the combined organic phases were dried (Na_2SO_4). The mixture was filtered and the solids were washed with N,N-dimethylformamide to recover precipitated product. The combined filtrates were concentrated under vacuum and the residue was washed with ethanol and dried to provide the title product (16.9 g, 85%) as a white solid: ^{1}H NMR (DMSO-d_6) δ 8.39 (s, 1H), 8.13 (s, 1H), 7.87 (d, 1H), 7.36 (m, 10H), 7.12 (d, 6H), 6.51 (d, 1H), 6.28 (d, 1H), 4.05 (t, 2H), 2.84 (m, 2H), 1.63 (m, 2H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 528.2400, found 528.2418.

L. <u>Methyl 3-[1-[3-(N-(1-triphenylmethylimidazol-2-yl)amino)propyl]indazol-5-ylcarbonylamino]-2(S)-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionate.</u> A mixture of the product prepared according to Example 1050e Part K (293 mg, 556 μmol), methyl 3-amino-2-(S)-(2,6-dimethy-4-phenylbenzenesulfonyl)aminopropionate hydrochloride (prepared according to the method of Example 3093 Parts J and K described below; 290 mg, 727 μmol), N,N-dimethylformamide (7 mL), dicyclohexylcarbodiimide (115 mg, 557 μmol), 1-hydroxybenzotriazole hydrate (76 mg, 562 μmol) and triethylamine (230 μL, 1.65 mmol) was stirred at room temperature for 42 h. The mixture was concentrated under vacuum and the residue was purified by flash chromatography (ethyl acetate) to provide the title product (507 mg) contaminated with dicyclohexylurea, which was used in the subsequent reaction without further purification: ^{1}H NMR ($CDCl_3$) δ 8.13 (s, 1H), 8.02 (s, 1H), 7.70 (d, 1H), 7.60-7.15 (22H), 6.98 (d, 1H), 6.87 (t, 1H), 6.67 (d, 1H), 6.41 (d, 1H), 6.08 (bs, 1H), 4.05 (t, 2H), 3.95 (m, 1H), 3.75 (m, 1H), 3.65 (s, 3H), 3.47 (m, 1H), 2.95 (m, 2H), 2.75 (s, 6H), 1.79 (m, 2H); High resolution

mass spectrum (FAB) calculated ($M+H^+$) 872.3594, found 872.3593.

M. 3-[1-[3-(N-imidazol-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(2,6-dimethyl-4-phenylbenzene-sulfonylamino)propionic acid trifluoroacetate. A mixture of the product prepared according to Example 1050e Part L (469 mg, 540 µmol), ethanol (13 mL) and aqueous sodium hydroxide (1.0 M; 2.7 mL, 2.7 mmol) was heated at reflux for 90 min. The mixture was cooled to room temperature and concentrated, and the residue was taken up in trifluoroacetic acid (6 mL) and heated at reflux for 90 min. The mixture was cooled to room temperature and concentrated. The residue was purified by preparative reverse phase high pressure liquid chromatography (acetonitrile:water containing 0.05% trifluoroacetic acid; gradient from 10:90 to 90:10) to provide the title product (218 mg, 55%) as a white solid: ^1H NMR (MeOH-d_4) δ 8.06 (s, 1H), 7.95 (s, 1H), 7.63 (d, 1H), 7.34 (d, 1H), 7.28 (m, 5H), 7.09 (s, 2H), 6.75 (s, 2H), 4.34 (t, 2H), 4.27 (dd, 2H); 3.77 (dd, 1H), 3.47 (dd, 1H), 3.17 (t, 2H), 2.66 (s, 6H), 2.12 (m, 2H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 616.2342, found 616.2324.

Example 1081

3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(benzyloxycarbonylamino)propionic acid trifluoroacetate

A. 1-[3-(N-phthalimido)propyl]-5-ethoxycarbonyl-indazole. A mixture of tetrahydrofuran (50 mL) and 18-crown-6 (100 mg) was stirred at room temperature. Potassium bis(trimethylsilyl)amide (0.5 M in toluene; 46.6 mL, 23.3 mmol) was added, followed by the product

prepared according to Example 1050e Part C (4.43 g, 23.3 mmol) dissolved in dry tetrahydrofuran (50 mL). Then N-(3-bromopropyl)phthalimide (6.24 g, 23.3 mmol) dissolved in dry tetrahydrofuran (50 mL) was added. The mixture was heated at reflux for 16 h. The mixture was allowed to cool to room temperature and poured into water (200 mL). The layers were separated and the aqueous layer was extracted with ethyl acetate. The organic layers were combined, dried over anhydrous magnesium sulfate, filtered and concentrated under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate 50:50) to provide the title product (4.25 g, 48%) as a yellow solid: mp 122-124 °C; ^{1}H NMR ($CDCl_3$) δ 8.48 (s, 1H), 8.06 (s, 1H), 8.04 (d, 1H), 7.82 (m, 2H), 7.71 (m, 2H), 7.42 (d, 1H), 4.44 (t, 2H), 4.40 (q, 2H), 3.80 (t, 2H), 2.40 (m, 2H), 1.42 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 378.1454, found 378.1430. Also obtained (as a more polar fraction) was 2-[3-(N-phthalimido)propyl]-5-ethoxycarbonylindazole (2,75 g, 31%) as a yellow solid: mp 133-135 °C; ^{1}H NMR ($CDCl_3$) δ 8.48 (s, 1H), 8.25 (s, 1H), 7.85 (d, 1H), 7.81 (m, 2H), 7.70 (m, 2H), 7.61 (d, 1H), 4.50 (t, 2H), 4.40 (q, 2H), 3.78 (t, 2H), 2.47 (m, 2H), 1.43 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 378.1454, found 378.1430.

B. <u>1-(3-aminopropyl)-5-ethoxycarbonylindazole.</u> A mixture of the product prepared according to Example 1081 Part A (2.10 g, 5.6 mmol), ethanol (35 mL), anhydrous tetrahydrofuran (35 mL) and anhydrous hydrazine (0.75 mL) was stirred at room temperature for 16 h. Dry tetrahydrofuran (100 mL) was added and the mixture was filtered. The filtrate was concentrated under vacuum. The residue was purified by flash chromatography (dichloromethane:methanol 90:10 containing 1% triethylamine) to provide the title

product (1.25 g, 91%) as an orange syrup: ^{1}H NMR ($CDCl_3$) δ 8.51 (s, 1H), 8.10 (s, 1H), 8.06 (d, 1H), 7.46 (d, 1H), 4.52 (t, 2H), 4.41 (q, 2H), 2.68 (t, 2H), 2.06 (m, 2H), 1.47 (bs, 2H), 1.43 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 248.1399, found 248.1392.

C. <u>1-[3-[N-(1-oxido)pyridin-2-ylamino]propyl]-5-ethoxycarbonylindazole.</u> A mixture of the product prepared according to Example 1081 Part B (600 mg, 2.4 mmol), 2-chloropyridine-N-oxide hydrochloride (806 mg, 4.9 mmol), sodium bicarbonate (816 mg, 9.7 mmol) and n-butanol (7 mL) was stirred at 100 °C for 21 h. The mixture was allowed to cool to room temperature and was filtered. The filtrate was concentrated under vacuum. The residue was purified by flash chromatography (dichloromethane:methanol 95:5) to provide the title product (675 mg, 81%) as a pale yellow solid, mp 87-89 °C: ^{1}H NMR ($CDCl_3$) δ 8.52 (s, 1H), 8.15 (s, 1H), 8.13 (d, 1H), 8.03 (d, 1H), 7.39 (d, 1H), 7.10 (t, 1H), 6.93 (bt, 1H), 6.56 (t, 1H), 6.41 (d, 1H), 4.57 (t, 2H), 4.40 (q, 2H), 3.24 (q, 2H), 2.38 (m, 2H), 1.40 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 341.1614, found 341.1622.

D. <u>1-[3-(N-pyridin-2-ylamino)propyl]-5-ethoxycarbonylindazole.</u> A mixture of the product prepared according to Example 1081 Part C (62 mg, 182 µmol), 10% palladium on charcoal (8 mg) and ethanol (0.5 mL) was stirred at room temperature. Ammonium formate (63 mg, 1.0 mmol) was added and the mixture heated to reflux for 30 min. Additional 10% palladium on charcoal (8 mg) and 6ammonium formate (63 mg, 1.0 mmol) were added and the reaction was continued at reflux for 4 h. The mixture was allowed to cool to room temperature, filtered through Celite® and the solids were rinsed with ethanol.

The solvent was evaporated from the filtrate under vacuum. The residue was purified by flash chromatography (dichloromethane:methanol 95:5) to provide the title product (31 mg, 52%) as a glass: ^1H NMR ($CDCl_3$) δ 8.52 (s, 1H), 8.12 (s, 1H), 8.06 (m, 2H), 7.38 (m, 2H), 6.55 (dd, 1H), 6.32 (d, 1H), 4.70 (bm, 1H), 4.53 (t, 2H), 4.40 (q, 2H), 3.30 (q, 2H), 2.24 (m, 2H), 1.42 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$); 325.1665, found 325.1659.

E. <u>1-[3-(N-tert-butyloxycarbonyl-N-pyridin-2-ylamino)propyl]-5-ethoxycarbonylindazole.</u> A mixture of the product prepared according to Example 1081 Part D (80 mg, 246 μmol), dry tetrahydrofuran (4 mL), triethylamine (0.3 mL) and N,N-dimethylaminopyridine (5 mg) was stirred at 0 °C. Di-tert-butyldicarbonate (130 mg, 2.4 equiv.) was added and the mixture was stirred for 30 min. The ice bath was removed and the mixture was stirred at room temperature for 16 h. Additional di-tert-butyldicarbonate (130 mg, 2.4 equiv.) and N,N-dimethylaminopyridine (5 mg) were added and the mixture was stirred at room temperature for 72 h. The solvent was evaporated under vacuum and the residue was purified by flash chromatography (hexanes:ethyl acetate 65:35) to provide the title product (70 mg, 66%) as a clear oil: ^1H NMR ($CDCl_3$) δ 8.50 (s, 1H), 8.28 (m, 1H), 8.08 (s, 1H), 8.04 (d, 1H), 7.60 (m, 2H), 7.37 (d, 1H), 6.99 (m, 1H), 4.46 (t, 2H), 4.41 (q, 2H), 4.02 (t, 2H), 2.34 (m, 2H), 1.42 (t+s, 12H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$); 425.2189, found 425.2193.

F. <u>1-[3-(N-tert-butyloxycarbonyl-N-pyridin-2-ylamino)propyl]-5-carboxyindazole.</u> A mixture of the product prepared according to Example 1081 Part E (7.9 g, 18.6 mmol), water (100 mL), ethanol (100 mL) and aqueous sodium hydroxide (1.0 M; 40 ml, 40 mmol) was

stirred at reflux for 16 h. The mixture was allowed to cool to room temperature and aqueous hydrochloric acid (1.0 M; 43 mL, 43 mmol) was added. The solvent was decanted and the resulting gum was triturated several times with hexane to provide the title product (5.56 g, 75%) as a solid: mp 129-131 °C; ^{1}H NMR ($CDCl_3$) δ 8.59 (s, 1H), 8.30 (m, 1H), 8.12 (s, 1H), 8.07 (d, 1H), 7.61 (m, 2H), 7.41 (d, 1H), 7.00 (m, 1H), 4.46 (t, 2H), 4.01 (t, 2H), 2.34 (m, 2H), 1.42 (s, 9H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$); 397.1876, found 397.1878.

G. <u>tert-Butyl 3-[1-[3-(N-(tert-butyloxycarbonyl-N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(benzyloxycarbonylamino)propionate.</u> A mixture of the product prepared according to the procedure of Example 1081 Part F (1.19 g, 3.0 mmol), tert-butyl 3-amino-2(S)-(benzyloxycarbonylamino)propionate (prepared according to Mokotoff and Logue, *J. Med. Chem.* 1981, <u>24</u>, 554; 880 mg, 3.0 mmol), 1-hydroxybenzotriazole hydrate (410 mg, 3.0 mmol), and anhydrous tetrahydrofuran (20 mL) was stirred at room temperature. The mixture was treated with dicyclohexylcarbodiimide (660 mg, 3.2 mmol) and stirred for 24 h. The mixture was filtered and solvent was removed under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate 50:50) to provide the title product (1.81 g, 89%) as a glass: ^{1}H NMR ($CDCl_3$) δ 8.28 (d, 1H), 8.17 (s, 1H), 8.04 (s, 1H), 7.77 (d, 1H), 7.60 (d, 2H), 7.4-7.25 (m, 6H), 6.98 (m, 2H), 5.88 (bd, 1H), 5.13 (s, 2H), 4.47 (bm, 1H), 4.46 (t, 2H), 4.01 (t, 2H), 3.87 (m, 2H), 2.31 (m, 2H), 1.48 (s, 9H), 1.43 (s, 9H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 673.3350, found 673.3324.

H. 3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(benzyloxycarbonylamino)propionic acid trifluoroacetate. A mixture of the product prepared according to Example 1081 Part G (32 mg, 47 μmol), dichloromethane (5 mL) and trifluoroacetic acid (300 μL) was stirred at room temperature for 16 h. The mixture was concentrated under vacuum and toluene was added. The solvent was evaporated and the residue was triturated with ether. The solvent was removed by decantation, and the residue was dried to constant weight under vacuum to provide the desired product (25 mg, 83%) as a hygroscopic white solid: ^{1}H NMR (DMSO-d_6) δ 8.57 (bm, 1H), 8.53 (bt, 1H), 8.26 (s, 1H), 8.21 (s, 1H), 7.82 (m, 3H), 7.69 (d, 1H), 7.59 (d, 1H), 7.28 (m, 5H), 6.93 (d, 1H), 6.78 (t, 1H), 4.99 (s, 2H), 4.52 (t, 2H), 4.23 (m, 1H), 3.60 (m, 2H), 3.24 (m, 2H), 2.15 (m, 2H); High resolution mass spectrum (FAB) calculated (M+H$^+$) 517.2199, found 517.2213.

Example 1094

3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2(S)-(isobutyloxycarbonylamino)propionic acid trifluoroacetate

A. tert-Butyl 3-[1-[3-(N-tert-butyloxycarbonyl-N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-aminopropionate. A mixture of the product prepared according to the procedure of Example 1081 Part G (1.60 g, 2.33 mmol), 10% palladium on charcoal (160 mg) and ethanol (30 mL) was placed in a pressure bottle and stirred at room temperature under an atmosphere of hydrogen (1 atmosphere pressure). After 5 h, the mixture was filtered through Celite®, the solids were rinsed with ethanol, and the filtrate was concentrated under vacuum to provide the title product (1.24 g, 97%)

as a glass: ^1H NMR ($CDCl_3$) δ 8.28 (d, 1H), 8.20 (s, 1H), 7.82 (d, 1H), 7.60 (m, 2H), 7.38 (d, 1H), 6.98 (m, 1H), 6.93 (bt, 1H), 4.45 (t, 2H), 4.00 (t, 2H), 3.88 (m, 1H), 3.66 (m, 1H), 3.56 (m, 1H), 2.51 (m, 2H), 2.05 (bs, ca. 2H), 1.48 (s, 9H), 1.42 (s, 9H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 539.2982, found 539.2998.

B. tert-Butyl 3-[1-[3-(N-tert-butyloxycarbonyl-N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(isobutyloxycarbonylamino)propionate. A solution of the product prepared according to Example 1094 Part A (100 mg, 186 µmol) in N,N-dimethylformamide (5 mL) was treated with isobutyl chloroformate (27 µL, 205 µmol), 4-(N,N-dimethylamino)pyridine (10 mg) and pyridine (15 µL, 205 µmol). The solution was stirred at room temperature for 16 h, then was concentrated under vacuum. The residue was purified by flash chromatography (dichloromethane:ethyl acetate 97:3) to provide the title product (106 mg, 89%) as a gum: ^1H NMR (DMSO-d_6) δ 8.51 (m, 1H), 8.28 (m, 2H), 8.22 (s, 1H), 7.96 (s, 1H), 7.90-7.50 (m, 3H), 7.53 (d, 1H),7.11 (m, 1H), 4.46 (t, 2H), 4.21 (m, 1H), 3.84 (m, 2H), 3.75 (d, 2H), 3.69 (m, 1H), 3.56 (m, 1H), 2.13 (m, 2H), 1.83 (m, 1H), 1.33 (s, 9H), 1.30 (s, 9H), 0.88 (d, 6H); High resolution mass spectrum (FAB) calculated ($M+H^+$) calculated 639.3480, found 639.3506.

C. 3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2(S)-(isobutyloxycarbonylamino)propionic acid trifluoroacetate Using the procedure of Example 1081 Part H, the product prepared according to Example 1094 Part B (106 mg, 166 µmol) was converted to the title product (76 mg, 76%) as a solid: ^1H NMR (DMSO-d_6) δ 8.56 (m, 2H), 8.30 (s, 1H), 8.25 (s, 1H), 7.90-7.75 (m, 3H), 7.72 (d, 1H), 7.44 (d, 1H), 6.96 (d, 1H), 6.80

(t, 1H), 4.56 (t, 2H), 4.24 (m, 1H), 3.73 (d, 2H), 3.52 (m, 2H), 3.28 (m, 2H), 2.17 (m, 2H), 1.82 (m, 1H), 0.85 (d, 6H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) calculated 483.2348, found 483.2356.

Example 1099b

3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(S)-(E-[phenylethenyl]carbonylamino)-propionic acid trifluoroacetate

A. tert-Butyl 3-[1-[3-(N-tert-butyloxycarbonyl-N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(E-[phenylethenyl]carbonylamino)propionate. A solution of the product prepared according to Example 1094 Part A (100 mg, 186 μmol) in tetrahydrofuran (3 mL) was treated with trans-cinnamic acid (28 mg, 186 μmol), 1-hydroxybenzotriazole hydrate (25 mg, 186 μmol) and dicyclohexylcarbodiimide (39 mg, 186 μmol). The mixture was stirred at room temperature for 18 h, then was concentrated under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate 70:30) to provide the title product (108 mg, 87%) as a gummy white solid: ^1H NMR ($CDCl_3$) δ 8.27 (d, 1H), 8.24 (s, 1H), 8.06 (s, 1H), 7.83 (d, 1H), 7.67 (d, J=17 Hz, 1H), 7.59 (m, 1H), 7.55-7.35 (m, 6H), 6.97 (m, 1H), 6.88 (d, 1H), 6.70 (d, J=17 Hz, 1H), 4.85 (m, 1H), 4.44 (t, 2H), 4.02 (m, 3H), 3.47 (m, 2H), 2.31 (m, 2H), 1.52 (s, 9H), 1.40 (s, 9H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 669.3401, found 669.3389.

B. 3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2(S)-(E-[phenylethenyl]carbonylamino)-propionic acid trifluoroacetate Using the procedure of Example 1081 Part H, the product prepared according to Example 1099b Part A (100 mg, 150 μmol) was converted to

the title product (90 mg, 96%) as a white solid: ^{1}H NMR (DMSO-d_6) δ 8.64 (t, 1H), 8.47 (d, 1H), 8.31 (s, 1H), 8.04 (s, 1H), 7.90-7.80 (m, 3H), 7.73 (d, 1H), 7.58 (d, 1H), 7.50-7.35 (m, 6H), 6.98 (d, 1H), 6.82 (t, 1H), 6.74 (d, J=17 Hz, 1H), 4.63 (m, 1H), 4.55 (t, 2H), 3.75-3.55 (m, 2H), 3.27 (m, 2H), 2.18 (m, 2H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 513.2250, found 513.2239.

Example 1108b

3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2(S)-(cyclohexylcarbonylamino)propionic acid trifluoroacetate

A. 1-[3-(pyridin-2-ylamino)propyl]-5-carboxyindazole. A mixture of the product prepared according to Example 1081 Part D (1.04 g, 3.19 mmol), ethanol (16 mL) and aqueous sodium hydroxide (1.0 M; 16 ml, 16 mmol) was stirred at reflux for 20 h. The mixture was allowed to cool to room temperature and aqueous hydrochloric acid (1.0 M; 16 mL, 16 mmol) was added. The resulting solid was collected by filtration, washed with water and dried to provide the title product: ^{1}H NMR (DMSO-d_6) δ 8.42 (s, 1H), 8.22 (s, 1H), 7.90 (m, 2H), 7.76 (d, 1H), 7.38 (m, 1H), 6.58 (t, 1H), 6.42 (m, 2H), 4.52 (t, 2H), 3.20 (q, 2H), 2.08 (m, 2H); Mass spectrum (ESI) m/z 297.3 (100%, $M+H^+$).

B. tert-Butyl 3-[1-[3-(pyridin-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(benzyloxycarbonyl-amino)propionate. Using the procedure of 1081 Part G, the product prepared according to the procedure of Example 1108b Part A (740 mg, 2.5 mmol) was converted to the title product (700 mg, 56%): ^{1}H NMR ($CDCl_3$) δ 8.19 (s, 1H), 8.08 (s, 1H), 8.06 (m, 1H), 7.79 (d, 1H), 7.45-

7.25 (m, 7H), 7.02 (bm, 1H), 6.56 (m, 1H), 6.32 (d, 1H), 5.90 (bm, 1H), 5.13 (s, 2H), 4.52 (t, 2H), 4.05 (bm, 1H), 3.87 (m, 2H), 3.47 (m, 1H), 3.28 (m, 2H), 2.26 (m, 2H), 1.48 (s, 9H); Mass spectrum (ESI) m/z 573.4 (22%, M+H$^+$).

C. tert-Butyl 3-[1-[3-(pyridin-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-aminopropionate. Using the procedure of 1094 Part A, the product prepared according to the procedure of Example 1108b Part B (700 mg, 1.22 mmol) was converted to the title product (500 mg, 93%) as a gummy solid: ^{1}H NMR ($CDCl_3$) δ 8.24 (s, 1H), 8.09 (s, 1H), 8.01 (d, 1H), 7.84 (d, 1H), 7.47 (d, 1H), 7.40 (t, 1H), 7.10 (bm, 1H), 6.56 (t, 1H), 6.33 (d, 1H), 4.54 (t, 2H), 4.11 (m, 1H), 3.86 (m, 1H), 3.59 (m, 1H), 3.25 (m, 2H), 2.27 (m, 2H), 1.49 (s, 9H); Mass spectrum (ESI) m/z 439.3 (100%, M+H$^+$).

D. tert-Butyl 3-[1-[3-(pyridin-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(cyclohexylcarbonyl-amino)-propionate. Using the procedure of 1094 Part B, the product prepared according to the procedure of Example 1108b Part C (100 mg, 230 µmol) and cyclohexyl-carbonyl chloride (31 µL, 230 µmol) were converted to the title product (60 mg, 50%): ^{1}H NMR ($CDCl_3$) δ 8.22 (s, 1H), 8.10 (s, 1H), 7.91 (d, 1H), 7.80 (d, 1H), 7.54 (d, 1H), 7.45 (m, 2H), 6.72 (d, 1H), 6.57 (t, 1H), 6.32 (d, 1H), 4.72 (m, 1H), 4.58 (t, 2H), 3.89 (m, 1H), 3.76 (m, 1H), 3.19 (t, 2H), 2.30 (m, 3H), 2.19 (m, 1H), 2.0-1.2 (m, 10H); Mass spectrum (ESI) m/z 549.5 (100%, M+H$^+$).

E. 3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2(S)-(cyclohexylcarbonylamino)propionic acid trifluoroacetate. Using the procedure of Example 1081 Part H, the product prepared according to Example

1108b Part D (60 mg, 110 µmol) was converted to the title product: ^{1}H NMR (DMSO-d_6) δ 8.54 (m, 1H), 8.28 (s, 1H), 8.25 (s, 1H), 8.02 (d, 1H), 7.9-7.7 (m, 4H), 6.90 (m, 1H), 6.77 (m, 1H), 4.55 (t, 2H), 4.44 (m, 1H), 3.61 (m, 2H), 3.26 (m, 2H), 2.16 (m, 3H), 2.0-1.0 (m, 10H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 493.2563, found 493.2559.

Example 1110a

3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(phenylaminocarbonylamino)-propionic acid trifluoroacetate

A. tert-Butyl 3-[1-[3-(N-tert-butyloxycarbonyl-N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(phenylaminocarbonylamino)propionate. A solution of the product prepared according to Example 1094 Part A (105 mg, 195 µmol) in dichloromethane (5 mL) was treated sequentially with diisopropylethylamine (69 µL, 385 µmol) and phenyl isocyanate (49 µl, 448 µmol). The solution was stirred at room temperature for 1 h, then was concentrated under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate, 50:50) to provide the title product (72 mg, 56%): ^{1}H NMR ($CDCl_3$) δ 8.25 (d, 1H), 8.18 (s, 1H), 7.95 (m, 1H), 7.86 (s, 1H), 7.75 (d, 1H), 7.70 (bm, 1H), 7.57 (m, 2H), 7.17 (m, 3H), 7.10 (m, 2H), 6.95 (m, 1H), 6.92 (m, 1H), 6.63 (m, 1H), 4.79 (m, 1H), 4.34 (t, 2H), 3.96 (m, 2H), 3.86 (m, 2H), 2.25 (m, 2H), 1.46 (s, 9H), 1.41 (s, 9H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 658.3353, found 658.3342.

B. 3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2(S)-(phenylaminocarbonylamino)propionic acid trifluoroacetate Using the procedure of Example

1081 Part H, the product prepared according to Example 1110a Part A (68 mg, 104 μmol) was converted to the title product (44 mg, 68%) as a white solid after preparative reverse phase high pressure liquid chromatography (acetonitrile:water containing 0.05% trifluoroacetic acid, gradient from 1:9 to 9:1): ^1H NMR (MeOH-d_4) δ 8.24 (s, 1H), 8.09 (s, 1H), 7.85-7.70 (m, 2H), 7.68 (d, 1H), 7.55 (d, 1H), 7.29 (m, 2H), 7.17 (t, 2H), 6.91 (m, 2H), 6.79 (t, 1H), 4.66 (m, 1H), 4.54 (t, 2H), 3.88 (dd, 1H), 3.77 (dd, 1H), 3.27 (m, 2H), 2.28 (m, 2H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 502.2203, found 502.2196.

Example 1129

3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2(S)-(1-naphthalene-sulfonylamino)-propionic acid trifluoroacetate

A. 1-(2-cyanoethyl)-5-ethoxycarbonylindazole. A mixture of the product prepared according to Example 1050e Part C (3.80 g, 20 mmol), acrylonitrile (7.9 mL, 120 mmol), sodium bis-(trimethylsilyl)amide (1.0 M in tetrahydrofuran; 1.0 mL, 1.0 mmol) and ethanol (40 mL) was heated to reflux. After 2 h, the solution was cooled to room temperature and treated with aqueous hydrochloric acid (1.0 M; 1.5 mL, 1.5 mmol). After the mixture was partially concentrated under vacuum, a solid formed. Water (100 mL) was added and the mixture was stirred briefly. The resulting solid was collected by filtration, rinsed with water and dried to provide the title product (4.38 g, 90%) as a pale yellow fluffy solid: mp 106-109 °C; ^1H NMR ($CDCl_3$) δ 8.54 (s, 1H), 8.16 (s, 1H), 8.13 (d, 1H), 7.48 (d, 1H), 4.70 (t, 2H), 4.42 (q, 2H), 3.03 (t, 2H), 1.43 (t, 3H); High

resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 244.1086, found 244.1070.

B. 1-(3-aminopropyl)-5-ethoxycarbonylindazole hydrochloride. A mixture of the product prepared according to Example 1129 Part A (60 g, 260 mmol), platinum oxide (5.0 g), ethanol (1600 mL) and chloroform (200 mL) was placed in a pressure bottle and agitated under an atmosphere of hydrogen (40 psig) for 19 h. The mixture was filtered through Celite® and the solids were washed with ethanol. The filtrate was concentrated under vacuum and the residue was dissolved in aqueous sodium bicarbonate and washed with ethyl acetate. The aqueous phase was acidified with hydrochloric acid and concentrated to a solid. This was dissolved in hot ethanol, filtered, and the filtrate cooled. The resulting crystals were collected by filtration to provide the title product. Repeating the reaction twice more starting with 57 g of the nitrile provided a total of 115 g (57%) of the title product as a white solid: mp 198-200 °C; ^{1}H NMR (DMSO-d_6) δ 8.49 (s, 1H), 8.32 (s, 1H), 8.07 (bs, 3H), 7.98 (d, 1H), 7.85 (d, 1H), 4.58 (t, 2H), 4.34 (q, 2H), 2.80 (bm, 2H), 2.14 (m, 2H), 1.34 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 248.1399, found 248.1396.

C. 1-[3-[N-(1-oxido)pyridin-2-ylamino]propyl]-5-ethoxycarbonylindazole. Using the procedure of Example 1081 Part C, the product prepared according to Example 1129 Part B (566 mg, 2.0 mmol) was converted to the title product (470 mg, 69%). This product is the same as the product of Example 1081 Part C.

D. 1-[3-[N-(1-oxido)pyridin-2-ylamino]propyl]-5-carboxyindazole. A mixture of the product prepared according to Example 1129 Part C (470 mg, 1.3 mmol),

aqueous sodium hydroxide (1.0 M; 4.0 mL, 4.0 mmol), water (10 mL) and ethanol (10 mL) was heated to reflux. After 30 h, additional aqueous sodium hydroxide (1.0 M; 2.0 mL) was added and heating was continued. After 48 h more, the mixture was cooled to room temperature and treated with aqueous hydrochloric acid (1.0 M; 6.0 mL) to give a precipitate. The solid was collected by filtration, rinsed with water and dried to provide the title product (369 mg, 91%) as a white solid: ^1H NMR (DMSO-d_6) δ 12.70 (bs, 1H), 8.45 (s, 1H), 8.27 (s, 1H), 8.11 (d, 1H), 7.92 (d, 1H), 7.73 (d, 1H), 7.32 (bt, 1H), 7.15 (t, 1H), 6.70 (d, 1H), 6.59 (t, 1H), 4.53 (t, 2H), 3.24 (q, 2H), 2.14 (m, 2H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 313.1301, found 313.1299.

E. <u>tert-Butyl 3-[1-[3-(N-(1-oxido)pyridin-2-ylamino)-propyl]indazol-5-ylcarbonylamino]-2-(S)-(benzyloxy-carbonylamino)propionate.</u> A mixture of the product prepared according to Example 1129 Part D (312 mg, 1.0 mmol), tert-butyl 3-amino-2(S)-benzyloxycarbonylamino-propionate (prepared according to Mokitoff and Logue, *J. Med. Chem.* 1981, <u>24</u>, 554; 294 mg, 1.0 mmol), 1-hydroxybenzotriazole hydrate (135 mg, 1.0 mmol), tetrahydrofuran (4 mL) and dry N,N-dimethylformamide (1 mL) was stirred on an ice bath. Dicyclohexylcarbodiimide (227 mg, 1.1 mmol) was added, and the mixture was stirred for 1 h. The ice bath was removed and stirring was continued for 3.5 h more. The mixture was filtered, and the solid was rinsed with tetrahydrofuran. The filtrate was concentrated under vacuum, and the residue was taken up in ethyl acetate. The solution was washed with water, dried over anhydrous sodium sulfate, filtered and concentrated under vacuum. The residue was purified by flash chromatography (dichloromethane/methanol; 96:4, then 94:6) to provide

the title product (304 mg, 52%) as an off-white glass: ^{1}H NMR ($CDCl_3$) δ 8.00 (s, 1H), 8.11 (d, 1H), 8.07 (s, 1H), 7.76 (d, 1H), 7.4-7.2 (m, 6H), 7.18 (bt, 1H), 7.12 (t, 1H), 6.95 (bt, 1H), 6.53 (t, 1H), 6.39 (d, 1H), 6.10 (d, 1H), 5.11 (s, 2H), 4.50 (t, 3H),3.88 (m, 2H), 3.21 (q, 2H), 2.31 (m, 2H), 1.48 (s, 9H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 589.2775, found 589.2804.

F. tert-Butyl 3-[1-[3-(N-pyridin-2-ylamino)-propyl]-indazol-5-ylcarbonylamino]-2-(S)-aminopropionate. A mixture of the product prepared according to Example 1129 Part E (266 mg, 452 µmol) and 10% palladium on charcoal (65 mg) in ethanol (20 mL) was placed in a pressure bottle and agitated under an atmosphere of hydrogen (55 psig) for 100 h. The mixture was filtered through Celite® and the solids were rinsed with ethanol. The filtrate was concentrated under vacuum, and the residue was purified by flash chromatography (dichloromethane:methanol, step gradient from 96:4, to 92.5:7.5) to provide the title product (100 mg, 50%) as a colorless glass: ^{1}H NMR ($CDCl_3$) δ 8.21 (s, 1H), 8.10 (s, 1H), 8.07 (d, 1H), 7.80 (d, 1H), 7.42 (d, 1H), 7.39 (t, 1H), 6.88 (bt, 1H), 6.56 (t, 1H), 6.33 (d, 1H), 4.90 (bt, 1H), 4.53 (t, 2H), 3.86 (m, 1H), 3.63 (m,1H), 3.52 (m, 1H), 3.28 (q, 2H), 2.26 (m, 2H), 1.90 (b, 2H), 1.48 (s, 9H); High resolution mass spectrum (NH_3-CI)calculated ($M+H^+$) 439.2458, found 439.2457.

G. tert-Butyl 3-[1-[3-(N-pyridin-2-ylamino)-propyl]-indazol-5-ylcarbonylamino]-2-(S)-(1-naphthalenesulfonyl-amino)propionate. A solution of the product prepared according to Example 1129 Part F (77 mg, 176 µmol) in dry tetrahydrofuran (2 mL) was treated with 4-(N,N-dimethylamino)pyridine (24 mg, 193 µmol), 1-naphthalene-sulfonyl chloride (44 mg, 193 µmol) and pyridine (16 µL,

193 μmol). The mixture was stirred at room temperature for 20 h, then was concentrated under vacuum. The residue was purified by flash chromatography (dichloromethane-methanol, 96:4) and rotary thin-layer chromatography (dichloromethane-methanol, 96:4) to provide the title product (90 mg, 82%) as a colorless glass: ^1H NMR ($CDCl_3$) δ 8.67 (d, 1H), 8.26 (d, 1H), 8.1-8.0 (m, 4H), 7.88 (d, 1H), 7.70 (m, 2H), 7.56 (m, 2H), 7.20 (m, 2H), 6.60 (m, 2H), 6.34 (d, 1H), 6.10 (bs, 1H), 5.35 (bs, 1H), 4.53 (t, 2H), 3.95 (b, 1H), 3.80 (m, 1H), 3.63 (m, 1H), 3.28 (q, 2H), 2.28 (m, 2H), 1.12 (s, 9H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 629.2546, found 629.2526.

H. <u>3-[1-[3-(N-pyridin-2-ylamino)-propyl]indazol-5-ylcarbonylamino]-2-(S)-(1-naphthalenesulfonylamino)-propionic acid trifluoroacetate.</u> A solution of the product prepared according to Example 1129 Part G (77 mg, 122 μmol) in dichloromethane (2 mL) was treated with trifluoroacetic acid (1 mL) and stirred at room temperature for 3 h. The solution was concentrated under vacuum, toluene was added, and the solvent was again removed under vacuum. The residue was triturated in ether, and the resulting solid was collected by filtration to provide the title product (81 mg, 96%) as a white powder: ^1H NMR (DMSO-d_6) δ 8.60 (m, 3H), 8.39 (bt, 1H), 8.21 (s, 1H), 8.09 (d, 2H), 8.05 (s, 1H), 7.90 (t, 2H), 7.83 (t, 1H), 7.67 (m, 3H), 7.55 (m, 2H), 6.97 (d, 1H), 6.81 (t, 1H), 4.56 (t, 2H), 4.08 (q, 1H), 3.53 (m, 1H), 3.30 (m, 3H), 2.18 (m, 2H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 573.1947, found 573.1928.

<u>Example 1129a</u>

3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2(S)-(4-phenylbenzenesulfonylamino)-propionic acid trifluoroacetate

A. tert-Butyl 3-[1-[3-(N-tert-butyloxycarbonyl-N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(4-phenylbenzenesulfonylamino)propionate. Using the procedure of Example 1129 Part G, the product prepared according to Example 1094 Part A (86 mg, 159 µmol) and 4-phenylbenzenesulfonyl chloride were converted to the title product (116 mg, 97%): ^{1}H NMR ($CDCl_3$) δ 8.28 (m, 1H), 8.23 (2, 1H), 8.06 (s, 1H), 7.92 (d, 2H), 7.81 (d, 1H), 7.68 (d, 2H), 7.60 (m, 2H), 7.53 (m, 2H), 7.45 (m, 3H), 7.37 (d, 1H), 6.99 (m, 1H), 6.88 (bt, 1H), 5.75 (d, 1H), 4.45 (t, 2H), 4.01 (m, 4H), 3.62 (m, 1H), 2.31 (m, 2H), 1.43 (s, 9H), 1.30 (s, 9H); High resolution mass spectrum (FAB) calculated (M+H$^+$) 755.3227, found 755.3200.

B. 3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2(S)-(4-phenylbenzenesulfonylamino)-propionic acid trifluoroacetate Using the procedure of Example 1129 Part H, the product prepared according to Example 1129a Part A (108 mg, 143 µmol) was converted to the title product: ^{1}H NMR (MeOH-d_4) δ 8.16 (s, 1H), 8.08 (s, 1H), 7.85 (d, 2H), 7.8-7.7 (m, 4H), 7.58 (d, 2H), 7.5-7.3 (m, 6H), 6.9-6.75 (m, 2H), 4.48 (t, 2H), 4.23 (m, 1H), 3.78 (dd, 1H), 3.50 (dd, 1H), 3.26 (m, 2H), 2.26 (m, 2H); High resolution mass spectrum (FAB) calculated (M+H$^+$) 599.2077, found 599.2062.

Example 1155

3-[1-[3-(N-pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2(S)-(benzylaminosulfonylamino)propionic acid trifluoroacetate

A. <u>tert-Butyl 3-[1-[3-(N-(tert-butyloxycarbonyl-N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(benzylaminosulfonylamino)propionate.</u> A solution of the product prepared according to Example 1094 Part A (131 mg, 188 μmol) in anhydrous tetrahydrofuran (5 mL) was treated with N-benzylsulfamoyl chloride (prepared according to the procedures of Audrieth and Sveda, *J. Org. Chem.* 1944, <u>9</u>, 89-101, and Kloeck and Leschinsky, *J. Org. Chem.* 1976, <u>41</u>, 4028-4029; 51 mg, 248 μmol), then with 4-(N,N-dimethylamino)pyridine (37 mg, 193 μmol) and pyridine (19 μL, 252 μmol). The resulting mixture was stirred at room temperature for 24 h, then was concentrated under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate 45:55) to provide the title product (92 mg, 70%) as a white solid: ^{1}H NMR ($CDCl_3$) δ 8.27 (m, 1H), 8.18 (s, 1H), 8.04 (s, 1H), 7.78 (d, 1H), 7.60 (m, 2H), 7.36 (d, 1H), 7.29 (m, 5H), 6.99 (m, 1H), 6.79 (bt, 1H), 5.62 (d, 1H), 4.75 (t, 1H), 4.44 (t, 2H), 4.23 (t, 2H), 4.15 (m, 1H), 4.00 (m, 2H), 3.95 (m, 1H), 3.76 (m, 1H), 2.31 (m, 2H), 1.48 (s, 9H), 1.43 (s, 9H); High resolution mass spectrum (FAB) calculated (M+H$^+$) 708.3179, found 708.3205

B. <u>3-[1-[3-(N-pyridin-2-yl)aminopropyl]indazol-5-yl]-carbonylamino-2(S)-benzylaminosulfonylaminopropionic acid trifluoroacetate.</u> Using the procedure of Example 1129 Part H, the product prepared according to Example 1155 Part A (21 mg, 30 μmol) was converted to the title product (19 mg, 96%): ^{1}H NMR (DMSO-d_6) δ 8.56 (m, 2H), 8.33 (s, 1H), 8.24 (s, 1H), 7.90-7.70 (m, 4H), 7.49 (d, 1H), 7.43 (t, 1H), 7.23 (m, 5H), 6.96 (d, 1H), 6.80 (t, 1H), 4.56 (t, 2H), 4.20-3.60 (m, 5H), 3.59 (m, 2H), 2.18 (t, 2H); High resolution mass spectrum (FAB) calculated (M+H$^+$) 552.2029, found 552.2042.

Example 1178b

3-[1-[3-(N-3,4,5,6-Tetrahydropyrimidin-2-ylamino)-propyl]indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonylamino)propionic acid trifluoroacetate

A. 1-(3-Benzyloxycarbonylaminopropyl)-5-ethoxycarbonyl-indazole. A mixture of the product prepared according to Example 1129 Part B (5.0 g, 18 mmol) and triethylamine (7.5 mL, 19 mmol) in dichloromethane (100 mL) was cooled on an ice bath and treated with benzyl chloroformate (2.7 mL, 19 mmol). The mixture was stirred at room temperature for 16 h, then was concentrated under vacuum. The residue was dissolved in dichloromethane and washed with water several times, then was dried over anhydrous magnesium sulfate, filtered and concentrated to provide the title product (3.4 g, 49%) as a white solid. While this material was suitable for further use, it could be purified by flash chromatography (dichloromethane:methanol 95:5): ^1H NMR ($CDCl_3$) δ 8.50 (s, 1H), 8.06 (m, 2H), 7.38 (m, 6H), 5.20 (bm, 1H), 5.02 (s, 2H), 4.42 (m, 4H), 3.18 (m, 2H), 2.18 (m, 2H), 1.40 (m, 3H); Mass spectrum (ESI) m/z 382.5 (100%, $M+H^+$).

B. 1-(3-Benzyloxycarbonylaminopropyl)-5-carboxy-indazole. A mixture of the product prepared according to Example 1178b Part A (3.08 g, 8.07 mmol), lithium hydroxide hydrate (678 mg, 16.2 mmol), ethanol (160 mL) and water (40 mL) was stirred at room temperature. Tetrahydrofuran was added until the mixture was homogeneous, then stirring was continued for 5 days. The solution was concentrated, and the residue was taken up in water. The mixture was washed with ethyl acetate, and the aqueous phase was acidified to pH 4-5 with aqueous hydrochloric acid (1.0 M). This mixture was

then extracted with ethyl acetate. The extract was dried over anhydrous magnesium sulfate, filtered and concentrated to provide the title product (1.6 g, 56%) as a sticky solid: ^1H NMR (DMSO-d_6) δ 8.44 (s, 1H), 8.26 (s, 1H), 7.93 (d, 1H), 7.72 (d, 1H), 7.35 (m, 5H), 5.00 (s, 2H), 4.46 (t, 2H), 3.01 (m, 2H), 1.98 (m, 2H).

C. N[2]-(2,4,6 trimethylbenzenesulfonyl)-L-asparagine. L- Asparagine (20.0 g, 0.15 mol) was suspended in a mixture of tetrahydrofuran (130 mL) and water (250 mL). Triethylamine (68 mL, 0.48 mol) was added, followed by mesitylenesulfonyl chloride (49.7 g, 0.23 mol) added over 20 min. The reaction mixture became slightly warmer and the solids dissolved to yield a yellow solution. The reaction mixture was stirred for 3 h at room temperature, then washed twice with ether, and twice with dichloromethane. The aqueous layer was acidified to pH 1.5 with concentrated aqueous HCl, during which time a thick precipitate formed. After being stirred for 30 min the solid was collected by filtration, washed with water and dried to yield the title product (34.1 g, 72%) as a white solid: m.p.193.5-195°C; ^1H NMR (DMSO-d_6) δ12.58 (bs, 1H), 7.82 (d, 1H), 7.32 (bs, 1H), 6.99 (s, 2H), 6.88 (bs, 1H), 3.98 (m, 1H), 2.55 (s, 6H), 2.45 (dd, 1H), 2.28 (dd, 1H), 2.24 (s, 3H); Mass spectrum (ESI) m/z 315.2, (100%, $M+H^+$).

D. 3-Amino-2-(S)-(2,4,6-trimethylbenzenesulfonylamino)-propionic acid. Sodium hydroxide (32 g, 0.80 mol), was dissolved in water (200 mL) and cooled in an ice bath. Bromine (6.2 mL, 0.12 mol) was added dropwise over 5 min and the mixture was allowed to stir for 15 min. The product prepared according to Example 1178b Part C (31.44 g, 0.10 mol) was added in several portions over a period of ca. 10 min, during which time the yellow color faded. After stirring for 15 min more, the reaction

mixture was heated rapidly to an internal temperature of ca. 85°C. After 1h, the reaction mixture was allowed to cool to room temperature, then cooled in an ice bath. The reaction mixture was cautiously acidified to pH 6 with concentrated aqueous HCl, during which time a solid formed and gas was evolved. The solid was collected by filtration, washed with cold water, and allowed to dry overnight to provide the title product (23.9 g, 83%) as a white solid: ^{1}H NMR (DMSO-d_6) δ 7.06 (s, 2H), 3.07 (dd, 1H), 3.35 (broad), 2.94 (dd, 1H), 2.80 (dd, 1H), 2.59 (s, 6H), 2.26 (s, 3H); Mass spectrum (ESI) m/z 287.2 (100%, M+H$^+$).

E. <u>tert-Butyl 3-amino-2-(S)-(2,4,6-trimethylbenzenesulfonylamino)propionate.</u> The product prepared according to Example 1178b Part D (11.45 g, 0.04 mol) was placed in a pressure bottle and dissolved in dioxane (170 mL). Concentrated sulfuric acid (11 mL) was added and the reaction mixture was cooled in a dry ice-acetone bath. Liquid isobutylene (ca. 185 mL) was added, and the bottle was sealed and agitated for 114 h. The bottle was de-pressurized, then purged with nitrogen for a brief time. The reaction mixture was poured into a rapidly stirred mixture of water (225 mL) containing sodium hydroxide (17 g) and ether (600 mL) which had been pre-cooled in an ice bath. The layers were separated, and the aqueous layer was extracted with additional ether. These organic extracts were discarded. The pH of the aqueous layer was carefully adjusted with concentrated aqueous HCl to pH 11.0 and extracted four times with ether. The organic layers from the pH 11 extraction were combined, dried with anhydrous sodium sulfate, filtered and concentrated to yield the title product (8.64g, 63%) as a viscous oil which gradually solidified: ^{1}H NMR ($CDCl_3$) δ 6.95 (s, 2H), 3.69 (m, 1H), 2.93 (m, 2H), 2.67 (s, 6H), 2.28 (s, 3H),

1.28 (s, 9H); Mass spectrum (ESI) m/z 343.3 (100%, M+H$^+$).

F. tert-Butyl 3-[1-(3-benzyloxycarbonylaminopropyl)-indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzene-sulfonylamino)propionate. Using the procedure of Example 1129 Part E, the product prepared according to Example 1178b Part B (100 mg, 283 μmol) and the product prepared according to Example 1178b Part E (107 mg, 283 μmol) were converted to the title product (130 mg, 68%) as a yellowish solid: ^{1}H NMR ($CDCl_3$) δ 8.24 (s, 1H), 8.09 (s, 1H), 7.85 (d, 1H), 7.42 (d, 1H), 7.36 (m, 5H), 6.93 (s, 2H), 6.83 (m, 1H), 5.78 (d, 1H), 5.09 (s, 2H), 4.47 (t, 2H), 4.02 (m, 1H), 3.84 (m, 1H), 3.7-3.4 (m, 2H), 3.18 (m, 2H), 2.66 (s, 6H), 2.26 (s, 3H), 2.15 (m, 2H), 1.21 (s, 9H); Mass spectrum (ESI) m/z 678.4 (41%, M+H$^+$).

G. tert-Butyl 3-[1-(3-aminopropyl)-indazol-5-yl-carbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonyl-amino)propionate. A mixture of the product prepared according to Example 1178b Part F (50 mg, 74 μmol), palladium hydroxide on charcoal (Pearlman's catalyst; 15 mg), 1,4-cyclohexa-diene (1 mL) and methanol (2 mL) was heated at reflux. After 4 h, the mixture was cooled and filtered through Celite®, and the solids were rinsed with methanol. The filtrate was concentrated under vacuum to provide the title product (34 mg, 85%) as a solid which was used in subsequent reactions without further purification: ^{1}H NMR ($CDCl_3$) δ 8.03 (s, 1H), 7.80-7.65 (m, 3H), 7.31 (d, 1H), 6.84 (s,H), 4.40 (m, 2H), 4.02 (m, 1H), 3.78 (m, 2H), 3.06 (m, 2H), 2.63 (m, 1H), 2.59 (s, 6H), 2.27 (m, 2H), 2.19 (s, 3H), 1.23 (s, 9H); Mass spectrum (ESI) m/z 544.5 (100%, M+H$^+$).

H. <u>tert-Butyl 3-[1-[3-(N-3,4,5,6-tetrahydropyrimidin-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonylamino)propionate hydriodide.</u> A mixture of the product prepared according to Example 1178b Part G (100 mg, 184 μmol) and 2-methylthio-3,4,5,6-tetrahydropyrimidine hydriodide (57 mg, 221 μmol) in pyridine (5 mL) was heated at 120 °C. After 16 h, the mixture was cooled to room temperature and concentrated under vacuum. The residue was purified by flash chromatography (dichloromethane:methanol, step gradient from 95:5 to 90:10) to provide the title product (37 mg, 27%): ^1H NMR ($CDCl_3$) δ 8.30 (s, 1H), 8.10 (bm, 1H), 8.08 (s, 1H), 7.92 (d, 1H), 7.85 (t, 1H), 7.51 (d, 1H), 7.10 (bt, 1H), 6.95 (s, 2H), 4.47 (m, 2H), 3.95 (m, 1H), 3.85 (m, 1H), 3.61 (m, 1H), 3.44 (m, 4H), 3.27 (m, 2H), 2.64 (s, 6H), 2.28 (s, 3H), 2.15 (m, 2H), 2.00 (m, 2H), 1.30 (s, 9H); Mass spectrum (ESI) m/z 626.5 (100%, $M+H^+$).

I. <u>3-[1-[3-(N-3,4,5,6-Tetrahydropyrimidin-2-ylamino)-propyl]indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethyl-benzenesulfonylamino)propionic acid trifluoroacetate.</u> Using the procedure of Example 1129 Part H, the product prepared according to Example 1178b Part H was converted to the title product: ^1H NMR (DMSO-d_6) δ 8.46 (bt, 1H), 8.24 (s, 1H), 8.19 (s, 1H), 8.07 (d, 1H), 7.79 (d, 1H), 7.32 (bt, 1H), 6.84 (s, 2H), 4.47 (t, 2H), 4.02 (m, 1H), 3.6-3.4 (m, 2H), 3.21 (m, 4H), 3.03 (m, 2H), 2.52 (s, 6H), 2.07 (s, 3H), 2.05 (m, 2H), 1.78 (m, 2H); Mass spectrum (ESI) m/z 570.5 (100%, $M+H^+$).

Example 1198

3-[1-[3-(N-4,5-Dihydroimidazol-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(benzyloxycarbonylamino)-propionic acid trifluoroacetate

A. 1-(3-aminopropyl)-5-ethoxycarbonylindazole. A mixture of the product prepared according to Example 1081 Part A (4.20 g, 11.1 mmol), ethanol (75 mL), dry tetrahydrofuran (75 mL) and anhydrous hydrazine (1.5 mL) was stirred at room temperature for 16 h. Dry tetrahydrofuran (100 mL) was added, the mixture was filtered and the filtrate was concentrated to provide the title product, which was used directly in the subsequent reaction without purification: ^{1}H NMR ($CDCl_3$) δ 8.51 (s, 1H), 8.10 (s, 1H), 8.06 (d, 1H), 7.46 (d, 1H), 4.52 (t, 2H), 4.41 (q, 2H), 2.68 (t, 2H), 2.06 (m, 2H), 1.72 (bs, 2H), 1.43 (t, 3H).

B. 1-[3-(N-4,5-Dihydroimidazol-2-ylamino)propyl]-5-ethoxycarbonylindazole hydriodide. The crude product of Example 1198 Part A was combined with 2-methylthio-4,5-dihydroimidazole hydriodide (2.71 g, 11.1 mmol) and pyridine (125 mL), and the mixture was heated at 80°C for 5 h. The mixture was allowed to cool to room temperature and concentrated under vacuum. The residue was purified by flash chromatography (dichloromethane: methanol 80:20) to provide the title product (3.73 g, 75%) as a gum: ^{1}H NMR (DMSO-d_6) δ 8.50 (s, 1H), 8.30 (s, 1H), 8.24 (bs, 1H), 7.98 (d, 1H), 7.75 (d, 1H), 4.49 (t, 2H), 4.34 (q, 2H), 3.57 (s, 4H), 3.13 (m, 2H), 2.05 (m, 2H), 1.35 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 316.1774, found 316.1765.

C. tert-Butyl 3-[1-[3-(N-4,5-Dihydroimidazol-2-yl-amino)propyl]indazol-5-ylcarbonylamino]-2(S)-(benzyloxycarbonylamino)propionate hydrochloride. A mixture of the product prepared according to Example 1198 Part B (3.39 g, 7.64 mmol), aqueous sodium hydroxide (1.0 M; 16 mL, 16 mmol) and ethanol (35 mL) was stirred at reflux for 16 h. The mixture was allowed

to cool to room temperature and was treated with aqueous hydrochloric acid (1.0 M; 16 mL, 16 mmol). The solvent was evaporated under vacuum, benzene was added and solvent was again evaporated. A portion of the resulting residue (77 mg, 240 µmol) was combined with tert-butyl 3-amino-2(S)-benzyloxycarbonylamino-propionate (prepared according to Mokotoff and Logue, *J. Med. Chem.* 1981, 24, 554; 70 mg, 240 µmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (60 mg, 313 µmol), 1-hydroxybenzotriazole hydrate (10 mg), dry N,N-dimethylformamide (5 mL) and triethylamine (0.1 mL), and the resulting mixture was stirred at room temperature for 16 h. The mixture was concentrated under vacuum and benzene (20 mL) was added. The solvent was evaporated and the residue was purified by flash chromatography (dichloromethane:methanol 90:10) to provide the title product (122 mg, 85%) as a yellow gum: ^{1}H NMR (DMSO-d_6) δ 8.53 (bt, 1H), 8.30 (s, 1H), 8.24 (s+m, 2H), 7.88 (d, 1H), 7.71 (d, 1H), 7.70 (m, 1H), 7.34 (m, 5H), 5.04 (s, 2H), 4.47 (t, 2H), 4.23 (m, 1H), 3.75-3.50 (m, 2H), 3.55 (s, 4H), 3.12 (q, 2H), 2.06 (m, 2H), 1.33 (s, 9H); High resolution mass spectrum (NH_3-CI) calculated (M+H$^+$) 564.2934, found 564.2959.

D. <u>3-[1-[3-(N-4,5-Dihydroimidazol-2-ylamino)propyl]-indazol-5-ylcarbonylamino)-2(S)-(benzyloxycarbonyl-amino]-propionic acid trifluoroacetate.</u> Using the procedure of Example 1081 Part H, the product prepared according to Example 1198 Part C (108 mg, 180 µmol) was converted to the title product (74 mg, 75%) as a hygroscopic, off-white solid: ^{1}H NMR (DMSO-d_6) δ 8.57 (bt, 1H), 8.31 (s, 1H), 8.28 (m, 1H), 8.24 (s, 1H), 7.88 (d, 1H), 7.72 (d, 1H), 7.62 (m, 1H), 7.32 (m, 5H), 5.02 (s, 2H), 4.47 (t, 2H), 4.29 (m, 1H), 3.65 (m, 2H), 3.55 (s, 4H), 3.11 (q, 2H), 2.06 (m, 2H); High resolution

mass spectrum (FAB) calculated $(M+H^+)$ 508.2308, found 508.2323.

Example 1213

3-[1-[3-(N-4,5-Dihydroimidazol-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(benzenesulfonylamino)propionic acid trifluoroacetate

A. tert-Butyl 3-[1-[3-(N-4,5-dihydroimidazol-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(benzenesulfonylamino)propionate hydrochloride. A mixture of tert-butyl 3-benzyloxycarbonylamino-2-(S)-benzenesulfonylaminopropionate (200 mg, 460 μmol), methanol (15 mL) and 10% palladium on charcoal (25 mg) was stirred at room temperature. Hydrogen gas was bubbled through the solution for 5 minutes, and a hydrogen-filled balloon was then placed on the reaction flask. The mixture was stirred at room temperature for 3 h, then was filtered through Celite®. The solids were washed with methanol and the filtrate was concentrated. The residue was mixed with a portion of the intermediate residue obtained in Example 1198 Part C (149 mg, 460 μmol), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (120 mg, 626 μmol), 1-hydroxybenzotriazole hydrate (20 mg), dry N,N-dimethylformamide (10 mL) and triethylamine (0.2 mL). The mixture was stirred at room temperature for 16 h. The solvent was removed under vacuum and the residue was purified by flash chromatography (dichloromethane:ethanol 75:25) to provide the title product (220 mg, 78%) as a gum: ^1H NMR ($CDCl_3$) δ 8.66-7.04 (m, 13H), 5.99 (bs, 1H), 4.52-1.98 (m, 15H), 1.30 (s, 9H); High resolution mass spectrum calculated $(M+H^+)$ 570.2499, found 570.2503.

B. <u>3-[1-[3-(N-4,5-Dihydroimidazol-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(benzenesulfonylamino)-propionic acid trifluoroacetate.</u> Using the procedure of Example 1081 Part H, the product prepared according to Example 1213 Part A (202 mg, 333 µmol) was converted to the title product (151 mg, 82%) as a hygroscopic solid: ^{1}H NMR (DMSO-d_6) δ 8.56-7.08 (m, 15H), 4.54-2.01 (m, 13H); High resolution mass spectrum calculated (M+H$^+$) 514.1873, found 514.1879.

<u>Example 1216b</u>

<u>3-[1-[3-(N-4,5-Dihydroimidazol-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonyl-amino)propionic acid trifluoroacetate</u>

A. <u>tert-Butyl 3-[1-[3-(N-4,5-dihydroimidazol-2-yl-amino)propyl]indazol-5-ylcarbonylamino-2(S)-(2,4,6-trimethylbenzenesulfonylamino)propionate hydriodide.</u> A mixture of the product prepared according to Example 1178b Part G (60 mg, 110 µmol), 2-methylthioimidazoline hydriodide (32 mg, 130 µmol) and pyridine (5 mL) was heated on an oil bath at 120 °C. After 16 h, the mixture was cooled to room temperature and concentrated under vacuum. The residue was purified by flash chromatography (dichloromethane:methanol, step gradient from 98:2 to 90:10) to provide the title product (30 mg, 37%): ^{1}H NMR ($CDCl_3$) δ 8.03 (s, 1H), 7.82 (s, 1H), 7.73 (d, 1H), 7.70 (bm, 1H), 7.31 (d, 1H), 6.84 (s, 1H), 4.39 (m, 2H), 3.99 (m, 1H), 3.78 (m, 2H), 3.48 (s, 4H), 3.01 (m, 2H), 2.60 (s, 6H), 2.21 (m, 2H), 2.17 (s, 3H), 1.24 (s, 9H); High resolution mass spectrum (FAB) calculated (M+H$^+$) 612.2968, found 612.2975.

B. <u>3-[1-[3-(N-4,5-Dihydroimidazol-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzene-</u>

sulfonylamino)propionic acid trifluoroacetate. Using the procedure of Example 1129 Part H, followed by purification by preparative reverse phase high pressure liquid chromatography (acetonitrile:water containing 0.05% trifluoroacetic acid; gradient from 10:90 to 90:10), the product prepared according to Example 1216b Part A was converted to the title product (15 mg, 48%): ^{1}H NMR (MeOH-d_4) δ 8.16 (s, 2H), 7.79 (d, 1H), 7.59 (d, 1H), 6.76 (s, 2H), 4.52 (t, 2H), 4.16 (dd, 1H), 3.77 (dd, 1H), 3.59 (s, 4H), 3.47 (dd, 1H), 3.16 (m, 2H), 2.57 (s, 6H), 2.18 (m, 2H), 2.02 (s, 3H); High resolution mass spectrum (FAB) calculated (M+H$^+$) 556.2372, found 556.2342.

Example 1326b

3-[1-[1-(RS)-Methyl-3-(N-pyridin-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid trifluoroacetate

A. 1-(1-(RS)-methyl-2-cyanoethyl)-5-ethoxycarbonyl-indazole. A mixture of the product prepared according to Example 1050e Part C (1.90 g, 10 mmol), crotono-nitrile (4.9 mL, 60 mmol), sodium bis(trimethylsilyl)-amide (1.0 M in tetrahydrofuran; 0.5 mL, 0.5 mmol) and ethanol (20 mL) was heated at reflux for 18 h. The solution was cooled to room temperature and treated with aqueous hydrochloric acid (1.0 M; 0.5 mL). The solvent was removed under vacuum, and the residue was taken up in dichloromethane and washed with water. The organic phase was dried over anhydrous magnesium sulfate, filtered, and concentrated under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate 60:40) to provide the title product (2.49 g, 96%) as a viscous syrup which gradually solidified on standing: ^{1}H NMR ($CDCl_3$) δ 8.53 (s, 1H), 8.17 (s, 1H),

8.11 (d, 1H), 7.45 (d, 1H), 5.03 (m, 1H), 4.41 (q, 2H), 3.05 (m, 2H), 1.74 (d, 3H), 1.43 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 258.1243, found 258.1248.

B. <u>1-(1-(RS)-methyl-3-aminopropyl)-5-ethoxycarbonyl-indazole hydrochloride.</u> Using the procedure of Example 1129 Part B, the product prepared according to Example 1326b Part A (2.0 g, 7.8 mmol) was converted into the title product (2.22 g, 96%) as a pale yellow, hygroscopic glass: ^{1}H NMR (DMSO-d_6) δ 8.48 (s, 1H), 8.33 (s, 1H), 8.10 (bs, 3H), 7.96 (d, 1H), 7.88 (d, 1H), 5.11 (m, 1H), 4.34 (q, 2H), 2.75 (bm, 1H), 2.45 (bm, 1H), 2.30 (bm, 1H), 2.15 (bm, 1H), 1.49 (d, 3H), 1.35 (t, 3H); high resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 262.1556, found 262.1561.

C. <u>1-(1-(RS)-methyl-3-[N-(1-oxido)pyridin-2-ylamino]-propyl)-5-ethoxycarbonylindazole.</u> Using the procedure of Example 1081 Part C, the product prepared according to Example 1326b Part B (596 mg, 2.0 mmol) was converted into the title product (312 mg, 44%) as a tan glass: ^{1}H NMR ($CDCl_3$) δ 8.52 (s, 1H), 8.18 (s, 1H), 8.09 (d, 1H), 7.98 (d, 1H), 7.38 (d, 1H), 6.99 (t, 1H), 6.82 (bt, 1H), 6.51 (d, 1H), 4.90 (m, 1H), 4.41 (q, 2H), 3.12 (m, 1H), 2.95 (m, 1H), 2.61 (m, 1H), 2.22 (m, 1H), 1.62 (d, 3H), 1.42 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 355.1770, found 355.1771.

D. <u>1-(1-(RS)-methyl-3-[N-pyridin-2-ylamino]propyl)-5-ethoxycarbonylindazole.</u> A mixture of the product prepared according to Example 1326b Part C (292 mg, 824 µmol), polymer-supported triphenylphosphine (550 mg, ca. 1.65 mmol) and N,N-dimethylformamide (5 mL) was heated on an oil bath at 160 °C. After 18.5 h, an additional aliquot of polymer-supported triphenylphosphine (550 mg)

was added, and the reaction was heated for 24 h more. The mixture was cooled to room temperature and filtered. The solid was washed with N,N-dimethylformamide, and the filtrate was concentrated under vacuum. The residue was purified by flash chromatography (dichloromethane: methanol 96:4) and rotary thin-layer chromatography (dichloromethane: methanol 97:3) to provide the title product (189 mg, 67%) as a pale yellow gum which gradually solidified on standing: ^1H NMR ($CDCl_3$) δ 8.52 (s, 1H), 8.16 (s, 1H), 8.05-8.00 (m, 2H), 7.41 (d, 1H), 7.33 (t, 1H), 6.54 (t, 1H), 6.19 (d, 1H), 4.87 (m, 1H), 4.50-4.30 (m, 3H), 3.16 (m, 1H), 3.05 (m, 1H), 2.45 (m, 1H), 2.23 (m, 1H), 1.61 (d, 3H), 1.42 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 339.1821, found 339.1832.

E. <u>1-(1-(RS)-methyl-3-[N-pyridin-2-ylamino]propyl)-5-carboxyindazole.</u> A mixture of the product prepared according to Example 1326b Part D (180 mg, 532 μmol), aqueous sodium hydroxide (1.0 M; 2.13 mL, 2.13 mmol) and ethanol (4 mL) was heated to reflux. After 4.25 h, the solution was cooled to room temperature and concentrated under vacuum. The residue was used directly in the next reaction without purification or characterization.

F. <u>tert-Butyl 3-[1-[1-(RS)-methyl-3-(N-pyridin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(S)-(2,4,6-trimethylbenzenesulfonylamino)propionate.</u> The product of Example 1326b Part E was combined with the product prepared according to Example 1178b Part E (183 mg, 535 μmol), 1-hydroxybenzotriazole hydrate (72 mg, 535 μmol), N,N-dimethylformamide (8 mL), and triethylamine (1 drop), and the mixture was treated with dicyclohexylcarbodiimide (121 mg, 589 μmol) and stirred at room temperature. After 21.75 h, the mixture was diluted with ethyl acetate and filtered. The filtrate

was concentrated under vacuum, and the residue was purified by flash chromatography (dichloromethane: methanol 97:3) to provide the title product (286 mg, 85%) as a colorless glass: ^1H NMR ($CDCl_3$) δ 8.21 (s, 1H), 8.12 (s, 1H), 8.04 (dd, 1H), 7.77 (dt, 1H), 7.40 (d, 1H), 7.33 (t, 1H), 6.93 (s, 2H), 6.87 (bt, 1H), 6.53 (dd, 1H), 6.18 (d, 1H), 6.01 (bs, 1H), 4.86 (bm, 1H), 4.51 (m, 1H), 4.0-3.8 (m, 2H), 3.65 (m, 1H), 3.15 (m, 1H), 3.03 (m, 1H), 2.66 (s, 6H), 2.44 (m, 1H), 2.26 (s, 3H), 2.22 (m, 1H) 1.61 (d, 3H), 1.30 (s, 9H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 635.3016, found 635.3019.

G. <u>3-[1-[1-(RS)-Methyl-3-(N-pyridin-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzene-sulfonyl)aminopropionic acid trifluoroacetate</u> Using the procedure of Example 1129 Part H, the product prepared according to Example 1326b Part F (109 mg, 172 µmol) was converted to the title product (92 mg, 77%) as a white powder: ^1H NMR (DMSO-d_6) δ 8.43 (bt, 2H), 8.27 (s, 1H), 8.17 (s, 1H), 8.06 (d, 1H), 7.8-7.6 (m, 4H), 6.87 (d, 1H), 6.82 (d, 2H), 6.74 (t, 1H), 5.02 (m, 1H), 4.02 (q, 1H), 3.57 (m, 1H), 3.40 (m, 1H), 3.07 (m, 2H), 2.53 (s, 6H), 2.37 (m, 1H), 2.21 (m, 1H), 2.05 (s, 3H), 1.52 (d, 3H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 579.2390, found 579.2405.

<u>Example 1326f</u>

<u>3-[1-[3-(N-pyridin-2-ylamino)propyl]-3-phenylindazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonyl-amino)propionic acid trifluoroacetate</u>

A. <u>3-Bromo-5-ethoxycarbonylindazole.</u> A solution of the product prepared according to Example 1050e Part C (3.80 g, 20 mmol) in acetic acid (120 mL) was stirred at room

temperature and treated with bromine (1.55 mL, 30 mmol). The mixture was stirred in the dark for 51 h, then was poured into water (600 mL). The resulting slurry was stirred at room temperature and treated with small portions of solid sodium bisulfite, whereupon the original orange color faded to almost white. After stirring 20 min more, the solid was collected by filtration, rinsed with water and dried to provide the title product (5.14 g, 96%) as a white solid. While pure enough for use in subsequent reactions, this material could be purified further by flash chromatography (hexanes:ethyl acetate 70:30): ^{1}H NMR (DMSO-d_6) δ 13.80 (bs, 1H), 8.20 (d, 1H), 8.01 (dd, 1H), 7.69 (d, 1H), 4.36 (q, 2H), 1.37 (t, 3H); Mass spectrum (NH_3-CI) m/z 269 (100%), 271 (95%) ($M+H^+$).

B. <u>3-Phenyl-5-ethoxycarbonylindazole.</u> A mixture of the product prepared according to Example 1326f Part A (2.69 g, 10.0 mmol), phenylboronic acid (1.71 g, 14.0 mmol), triethylamine (5.6 mL, 40.0 mmol), and N,N-dimethylformamide (20 mL) was purged of oxygen by bubbling with nitrogen for 20 min. Tetrakis-(triphenylphosphine)palladium (580 mg, 500 µmol) was added, and the mixture was heated on an oil bath at 110 °C under nitrogen. After 48 h, the mixture was cooled to room temperature and diluted with water. The mixture was extracted with ethyl acetate, and the organic phase was dried over anhydrous magnesium sulfate, filtered and concentrated under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate 80:20) to provide the title product (542 mg, 20%) as a white solid: ^{1}H NMR ($CDCl_3$) δ 11.44 (bs, 1H), 8.78 (s, 1H), 8.06 (d, 1H), 8.01 (d, 2H), 7.57 (t, 2H), 7.49 (t, 1H), 7.30 (d, 1H), 4.44 (q, 2H), 1.43 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 267.1134, found 267.1132.

C. 1-(2-Cyanoethyl)-3-phenyl-5-ethoxycarbonylindazole. Using the procedure of Example 1129 Part A, followed by purification by flash chromatography (hexanes:ethyl acetate 70:30),the product prepared according to Example 1326f Part B (266 mg, 1.0 mmol) was converted to the title product (263 mg, 82%) as a white solid: mp 99-102 °C; ^{1}H NMR ($CDCl_3$) δ 8.77 (s, 1H), 8.16 (d, 1H), 7.96 (m, 2H), 7.60-7.40 (m, 4H), 4.73 (t, 2H), 4.43 (q, 2H), 3.10 (t, 2H), 1.44 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 320.1399, found 320.1386.

D. 1-(3-aminopropyl)-3-phenyl-5-ethoxycarbonylindazole hydrochloride. Using the procedure of Example 1129 Part B, the product prepared according to Example 1326f Part C (214 mg, 670 μmol) was converted to the title product (260 mg, >100%) as a tan solid which was not purified, but was used directly in subsequent reactions: ^{1}H NMR (DMSO-d_6) δ 8.64 (s, 1H), 8.05 (d, 1H), 8.0-7.9 (m), 7.60 (t, 2H), 7.49 (m, 1H), 4.63 (t, 2H), 4.37 (q, 2H), 2.90 (m, 2H), 2.18 (m, 2H), 1.36 (t, 3H); High resolution mass spectrum (ESI) calculated ($M+H^+$) 323.1634, found 323.1645.

E. 1-[3-(N-(1-oxido)pyridin-2-ylamino)propyl]-3-phenyl-5-ethoxycarbonylindazole. Using the procedure of Example 1081 Part C, the crude product of Example 1326f Part D was converted into the title product (122 mg, 43%) as a tan glass: ^{1}H NMR ($CDCl_3$) δ 8.78 (s, 1H), 8.13 (d, 1H), 8.07 (d, 1H), 7.99 (d, 2H), 7.55 (t, 2H), 7.47 (d, 1H), 7.42 (d, 1H), 7.09 (t, 1H), 6.97 (bt, 1H), 6.56 (t, 1H), 6.47 (d, 1H), 4.59 (t, 2H), 4.43 (q, 2H), 3.32 (q, 2H), 2.41 (m, 2H), 1.43 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 417.1927, found 417.1918.

F. <u>1-[3-(N-pyridin-2-ylamino)propyl]-3-phenyl-5-ethoxycarbonylindazole.</u> Using the procedure of Example 1129 Part F, the product prepared according to Example 1326f Part E (106 mg, 255 µmol) was converted to the title product (39 mg, 38%) as a glass: ^{1}H NMR ($CDCl_3$) δ 8.77 (s, 1H), 8.08 (m, 2H), 7.98 (d, 2H), 7.54 (t, 2H), 7.5-7.3 (m, 3H), 6.56 (t, 1H), 6.32 (d, 1H), 4.77 (bt, 1H), 4.55 (t, 2H), 4.42 (q, 2H), 3.35 (q, 2H), 2.30 (m, 2H), 1.43 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated (M+H$^+$) 401.1978, found 401.1977.

G. <u>tert-Butyl 3-[1-[3-(N-pyridin-2-ylamino)propyl]-3-phenylindazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonylamino)propionate.</u> Using the procedures of Example 1326b Parts E and F, the product prepared according to Example 1326f Part F (38 mg, 95 µmol) was converted to the title product (59 mg, 89%) as a glass: ^{1}H NMR ($CDCl_3$) δ 8.57 (s, 1H), 8.08 (d, 1H), 8.01 (d, 2H), 7.85 (d, 1H), 7.53 (t, 2H), 7.5-7.4 (m, 3H), 6.97 (m, 1H), 6.92 (s, 2H), 6.57 (dd, 1H), 6.33 (d, 1H), 5.86 (d, 1H), 4.57 (t, 2H), 3.98 (m, 1H), 3.83 (m, 1H), 3.53 (m, 1H), 3.35 (q, 2H), 2.65 (s, 6H), 2.31 (m, 2H), 2.24 (s, 3H), 1.31 (s, 9H); High resolution mass spectrum (FAB) calculated (M+H$^+$) 697.3172, found 692.3184.

H. <u>3-[1-[3-(N-pyridin-2-yl)aminopropyl]-3-phenyl-indazol-5-yl]carbonylamino-2(S)-(2,4,6-trimethylbenzene-sulfonyl)aminopropionic acid trifluoroacetate.</u> Using the procedure of Example 1129 Part H, the product prepared according to Example 1326f Part G (44 mg, 63 µmol) was converted to the title product (32 mg, 80%) as an off-white powder: ^{1}H NMR (DMSO-d_6) δ 8.61 (bt, 1H), 8.38 (s, 1H), 8.08 (d, 1H), 8.01 (d, 2H), 7.88 (d, 1H), 7.82 (d, 1H), 7.75 (d, 1H), 7.71 (bm, 1H), 7.57 (t, 2H), 7.47 (t, 1H), 6.86 (bd, 1H), 6.72 (bt, 1H), 6.70 (s,

2H), 4.61 (t, 2H), 4.07 (m, 1H), 3.58 (m, 1H), 3.5-3.3 (m, 3H), 2.51 (s, 6H), 2.23 (m, 2H), 1.92 (s, 3H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 641.2546, found 641.2569.

Example 1326g

3-[1-[3-(N-pyridin-2-ylamino)propyl]-3-(2-phenylethyl)-indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid trifluoroacetate

A. 3-Phenylethynyl-5-ethoxycarbonylindazole. A mixture of the product prepared according to Example 1326f Part A (269 mg, 1.0 mmol), triphenylphosphine (21 mg, 80 µmol), copper(I) iodide (8 mg, 40 µmol), phenylacetylene (165 µL, 1.5 mmol) and diethylamine (5 mL) was purged of oxygen by bubbling with nitrogen for 35 min. Bis(tri-phenylphosphine)palladium(II) chloride (14 mg, 20 µmol) was then added, and the mixture was heated to reflux under nitrogen. After 16.5 h, the mixture was cooled to room temperature and concentrated under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate 80:20) to provide the title product (227 mg, 78%) as a yellowish solid: ^1H NMR ($CDCl_3$) δ 8.66 (s, 1H), 8.13 (d, 1H), 7.68 (m, 2H), 7.55 (d, 1H), 7.42 (m, 3H), 4.45 (q, 2H), 1.45 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 291.1134, found 291.1111.

B. 1-(2-Cyanoethyl)-3-(2-phenylethynyl)-5-ethoxy-carbonylindazole. Using the procedure of Example 1129 Part A, the product prepared according to Example 1326g Part A (278 mg, 958 µmol) was converted to the title product (254 mg, 77%) as a tan solid: mp 90-94 °C; ^1H NMR ($CDCl_3$) δ 8.63 (s, 1H), 8.17 (d, 1H), 7.67 (m, 2H), 7.52 (d, 1H), 7.42 (m, 3H), 4.70 (t, 2H), 4.45 (q, 2H),

3.09 (t, 2H), 1.46 (t, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 344.1399, found 344.1391.

C. <u>1-(3-Aminopropyl)-3-(2-phenylethyl)-5-ethoxycarbonylindazole hydrochloride.</u> Using the procedure of Example 1129 Part B, the product prepared according to Example 1326g Part B (240 mg, 699 μmol) was converted to the title product (277 mg, >100%) as a pale yellow solid which was not purified, but was used directly in subsequent reactions: ^{1}H NMR (DMSO-d_6) δ 4.50 (m, 2H), 3.28 (t, 2H), 3.05 (t, 2H), 2.80 (m, 2H), 2.10 (m, 2H).

D. <u>1-[3-[N-(1-oxido)pyridin-2-ylamino]propyl]-3-(2-phenylethyl)-5-ethoxycarbonylindazole.</u> Using the procedure of Example 1081 Part C, the crude product of Example 1326g Part C was converted into the title product (145 mg, 46%) as a pale yellow glass which was not purified but was used in subsequent reactions: Mass spectrum (ESI) m/z 445.4 (100%, $M+H^+$).

E. <u>1-[3-[N-pyridin-2-ylamino]propyl]-3-(2-phenylethyl)-5-ethoxycarbonylindazole.</u> Using the procedure of Example 1326b Part D, the impure product of Example 1326g Part D was converted to the title product (90 mg, 70%) as a yellow gum, which impure but was used in subsequent reactions without further purification.

F. <u>tert-Butyl 3-[1-[3-(N-pyridin-2-ylamino)propyl]-3-(2-phenylethyl)indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonylamino)propionate.</u> Using the procedures of Example 1326b Parts E and F, the impure product of Example 1326g Part E was converted to the title product (98 mg, 64%) as a glass, which was impure but was used without further purification in the subsequent reaction.

G. <u>3-[1-[3-(N-pyridin-2-ylamino)propyl]-3-(2-phenylethyl)indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonylamino)propionic acid trifluoroacetate.</u> Using the procedure of Example 1129 Part H, the impure product of Example 1326g Part F was converted to the title product. The crude material was purified by preparative reverse-phase high pressure liquid chromatography (acetonitrile-water containing 0.05% trifluoroacetic acid, gradient from 10:90 to 90:10) to provide the title product (20 mg, 20%) as an off-white powder: High resolution mass spectrum (FAB) calculated ($M+H^+$) 669.2859, found 669.2881.

Example 1327b

3-[1-[2-(N-Imidazol-2-ylaminocarbonyl)ethyl]indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonylamino)propionic acid trifluoroacetate

A. <u>1-(2-tert-Butyloxycarbonylethyl)-5-ethoxycarbonylindazole.</u> A mixture of the product prepared according to Example 1050e Part C (2.0 g, 10.5 mmol), tert-butyl acrylate (9.3 mL, 63.5 mmol) and ethanol (21 mL) was treated with sodium bis(trimethylsilyl)amide (1.0 M in tetrahydrofuran; 530 µL, 530 µmol). The resulting solution was heated at reflux for 3 h, then was cooled to room temperature. Aqueous hydrochloric acid (1.0 M; 550 µL, 550 µmol) was added, and the mixture was concentrated. The residue was partitioned between ether and water, and the aqueous phase was extracted further with ether. The combined organic phases were dried over anhydrous sodium sulfate, filtered and concentrated under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate 85:15) to provide the title product (830 mg, 25%): ^1H NMR ($CDCl_3$) δ 8.49

(s, 1H), 8.10 (s, 1H), 8.07 (d, 1H), 7.50 (d, 1H), 4.64 (t, 2H), 4.41 (q, 2H), 2.91 (t, 2H), 1.42 (t, 3H), 1.33 (s, 9H); high resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 319.1658, found 319.1655.

B. 1-(2-Carboxyethyl)-5-ethoxycarbonylindazole. A solution of the product prepared according to Example 1327b Part A (791 mg, 2.49 mmol) in dichloromethane (28 mL) was treated with trifluoroacetic acid (6 mL). The mixture was stirred at room temperature for 16 h, then was concentrated under vacuum. Addition of ether to the residue produced, after filtering and drying, the title product (571 mg, 88%) as a white solid: ^1H NMR ($CDCl_3$) δ 8.52 (s, 1H), 8.12 (s, 1H), 8.09 (d, 1H), 7.49 (d, 1H), 4.67 (t, 2H), 4.41 (q, 2H), 3.07 (t, 2H), 1.42 (t, 3H); Mass spectrum (ESI) m/z 263.3 (100%, $M+H^+$).

C. 1-(2-(N-imidazol-2-ylaminocarbonyl)ethyl)-5-ethoxycarbonylindazole. A mixture of the product prepared according to Example 1327b Part B (352 mg, 1.34 mmol), 2-aminoimidazole sulfate (0.55 g, 4.15 mmol), diisopropylethylamine (1.17 mL, 6.7 mL) and N,N-dimethylformamide (7 mL) was treated with benzotriazol-1-yloxy-tris(dimethylamino)phosphonium hexafluorophosphonate (BOP Reagent; 891 mg, 2.0 mmol) and warmed to 70 °C on an oil bath. The mixture was stirred at this temperature for 18 h, then was cooled to room temperature and diluted with water (75 mL). The resulting precipitate was collected by filtration to provide the title product (310 mg, 71%) which was used in subsequent reactions without further purification: ^1H NMR ($CDCl_3$) δ 8.49 (s, 1H), 8.11 (s, 1H), 8.07 (d, 1H), 7.88 (b, 1H), 7.55 (d, 1H), 7.40 (b, 1H), 4.75 (t, 2H), 4.41 (q, 2H), 3.01 (t, 2H), 1.42 (t, 3H); high resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 328.1046, found 328.1031.

D. 1-(2-(N-imidazol-2-ylaminocarbonyl)ethyl)-5-carboxyindazole. A mixture of the product of Example 1327b Part C (145 mg, 443 µmol), tetrahydrofuran (2 mL) and water (2 mL) was treated with aqueous lithium hydroxide (1.0 M; 0.56 mL, 560 µmol) and stirred at room temperature for 21 h. The reaction was incomplete by thin-layer chromatography, so additional lithium hydroxide solution (a total of 1.35 mL) was added in four portions over the next 8 h. After stirring for 16 h more, the reaction was acidified with aqueous hydrochloric acid (1.0 M) and concentrated under vacuum. The residue was partitioned between water and dichloromethane, and the organic phase was dried over anhydrous magnesium sulfate, filtered and concentrated to provide the title product (49 mg, 37%): ^{1}H NMR (DMSO-d_6) δ 8.41 (s, 1H), 8.24 (s, 1H), 7.94 (d, 1H), 7.76 (d, 1H), 6.67 (s, 2H), 4.73 (t, 2H), 3.00 (t, 2H); High resolution mass spectrum (NH_3-CI) calculated (M+H$^+$) 300.1097, found 300.1097.

E. tert-Butyl 3-[1-[2-(N-imidazol-2-ylaminocarbonyl)-ethyl]indazol-5-ylcarbonylamino]-2-(S)-(2,4,6-trimethyl-benzenesulfonylamino)propionate. Using the procedure of Example 1326b Part F, the product prepared according to Example 1327b Part D (48 mg, 160 µmol) was converted to the title product (32 mg, 32%): Mass spectrum (ESI) m/z 624.4 (100%, M+H$^+$).

F. 3-[1-[2-(N-Imidazol-2-ylaminocarbonyl)ethyl]indazol-5-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid trifluoroacetate. Using the procedure of Example 1081 Part H followed by purification by preparative reverse phase high pressure liquid chromatography (acetonitrile:water containing 0.05% trifluoroacetic acid, gradient from 10:90 to

90:10), the product prepared according to Example 1327b Part E (32 mg, 52 µmol) was converted to the title product (28 mg, 95%) as a white powder after lyophilization: ^1H NMR ($MeOH-d_4$) δ 8.11 (s, 1H), 8.09 (s, 1H), 7.77 (d, 1H), 7.68 (d, 1H), 7.10 (s, 2H), 6.73 (s, 2H), 4.81 (t, 2H), 4.14 (dd, 1H), 3.75 (dd, 1H), 3.47 (dd, 1H), 3.19 (t, 1H), 2.56 (s, 6H), 1.97 (s, 3H); high resolution mass spectrum (FAB) calculated ($M+H^+$) 568.1978, found 568.1972.

Example 2328

3-[1-[4-(N-4,5-Dihydroimidazol-2-ylamino)butyl]indazol-4-ylcarbonylamino]-2(S)-(benzyloxycarbonylamino)-propionic acid trifluoroacetate

A. Methyl 2-methyl-3-aminobenzoate. A mixture of methyl 2-methyl-3-nitrobenzoate (30 g, 154 mmol), 10% palladium on charcoal (3.0 g) and ethanol (350 mL) was shaken under hydrogen at 50 psig. After 4 h, the mixture was filtered through Celite® and the solids were washed with additional ethanol. The filtrate was concentrated to provide the title product (24.4 g, 96%) as a tan oil: ^1H NMR ($CDCl_3$) δ 7.18 (m, 1H), 7.06 (m, 1H), 6.78 (m, 1H), 3.85 (s, 3H), 2.34 (s, 3H); high resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 166.0868, found 166.0866.

B. 4-Methoxycarbonylindazole. The product prepared according to Example 2328 Part A (24.25 g, 147 mmol) was combined with concentrated hydrochloric acid (30.1 mL) and water (170 mL). Ammonium tetrafluoroborate (20.62 g, 197 mmol) was added and the mixture was stirred at 0 °C. A solution of sodium nitrite (10.14 g, 147 mmol) in water (25 mL) was added dropwise, and the mixture was stirred for 40 min after addition was complete. The

white precipitate was collected by filtration and washed with water (3 x 80 mL), then with methanol (80 mL) and finally with ether (3 x 60 mL). The resulting solid was added to a stirred mixture of potassium acetate (17.89 g, 182 mmol), 18-crown-6 (1.20 g, 4.5 mmol) and chloroform (360 mL) at room temperature. The resulting mixture was stirred for 50 min, then water (250 mL) was added and the layers were separated. The organic phase was washed with water (250 mL) and brine (300 mL), and dried over anhydrous magnesium sulfate, filtered and concentrated under reduced pressure. The residue was triturated with hexanes and filtered to provide after drying the title product (16.96 g, 62%) as an orange solid: ^1H NMR ($CDCl_3$) δ 8.60 (s, 1H), 7.98 (d, 1H), 7.74 (d, 1H), 7.42 (t, 1H), 4.01 (s, 3H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 177.0664, found 177.0669.

C. <u>1-[4-(N-phthalimido)butyl]-4-methoxycarbonyl-indazole.</u> Following the procedure of Example 1081 Part A, the product prepared according to Example 2328 Part B (2.97 g, 16.9 mmol) and N-(4-bromobutyl)phthalimide (4.99 g, 16.9 mmol) were converted to the title product (1.88 g, 29%) as an orange oil: ^1H NMR ($CDCl_3$) δ 8.45 (s, 1H), 7.91 (d, 1H), 7.82 (m, 2H), 7.72 (m, 2H), 7.66 (d, 1H), 7.43 (t, 1H), 4.46 (t, 2H), 4.02 (t, 3H), 3.75 (t, 2H), 1.99 (m, 2H), 1.72 (m, 2H); Mass spectrum (NH_3-CI) m/z 378.0 (100%, $M+H^+$).

D. <u>1-[4-(Aminobutyl)-4-methoxycarbonylindazole.</u> Using the procedure of Example 1081 Part B, the product prepared according to Example 2328 Part C (1.81 g, 4.8 mmol) was converted to the title product (0.72 g, 60%) as a yellow oil: ^1H NMR ($CDCl_3$) δ 8.48 (s, 1H), 7.93 (d, 1H), 7.64 (d, 1H), 7.44 (t, 1H), 4.44 (t, 2H), 4.02 (s, 3H), 2.74 (t, 2H), 2.00 (m, 2H), 1.84 (bs, 2H), 1.47 (m,

2H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$); 248.1399, found 248.1391.

E. 1-[4-(N-4,5-Dihydroimidazol-2-ylamino)butyl]-4-methoxycarbonylindazole hydriodide. Using the procedure of Example 1198 Part B, the product prepared according to Example 2328 Part D (247 mg, 1.0 mmol) was converted to the title product (223 mg, 50%) as a gum. ^1H NMR (DMSO-d_6) δ 8.37 (s, 1H), 8.11 (bs, 1H), 8.01 (d, 1H), 7.82 (d, 1H), 7.51 (t, 1H), 4.46 (t, 2H), 3.90 (s, 3H), 3.53 (s, 4H), 3.08 (m, 2H), 1.81 (m, 2H), 1.38 (m, 2H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 316.1774, found 316.1772.

F. tert-Butyl 3-[1-[4-(N-4,5-dihydroimidazol-2-yl-amino)butyl]indazol-4-ylcarbonylamino]-2(S)-(benzyloxy-carbonylamino)propionate hydrochloride. Using the procedure of Example 1198 Part C, the product prepared according to Example 2328 Part E (215 mg, 485 µmol) was converted to the title product (178 mg, 59%) as a clear gum: ^1H NMR (DMSO-d_6) δ 8.52 (m, 1H), 8.32 (s, 1H), 8.13 (bm, 1H), 7.85 (d, 1H), 7.69 (d, 1H), 7.50 (t, 2H), 7.45 (m, 1H), 7.30 (m, 5H), 5.01 (s, 2H), 4.44 (t, 2H), 4,24 (m, 1H), 3.75-3.50 (m, 2H), 3.50 (s, 4H), 3.19 (m, 2H), 1.80 (m, 2H), 1.37 (m, 2H), 1.31 (s, 9H); High resolution mass spectrum (FAB) calculated ($M+H^+$); 578.3091, found 578.3119.

G. 3-[1-[4-(N-4,5-Dihydroimidazol-2-ylamino)butyl]-indazol-4-ylcarbonylamino]-2(S)-(benzyloxycarbonyl-amino)propionic acid hydrochloride. Using the procedure of Example 1081 Part H, the product prepared according to Example 2328 Part F (121 mg, 197 µmol) was converted to the title product (88 mg, 80%) as a hygroscopic white solid: ^1H NMR (DMSO-d_6) δ 8.57 (m, 1H), 8.31 (s, 1H), 8.18 (bm, 1H), 7.86 (d, 1H), 7.63 (d, 1H), 7.50-7.35 (m,

3H), 7.30 (m, 5H), 5.00 (s, 2H), 4.43 (t, 2H), 4.28 (m, 1H), 3.75-3.40 (m, 6H), 3.07 (m, 2H), 1.78 (m, 2H), 1.38 (m, 2H); High resolution mass spectrum (FAB) calculated ($M+H^+$); 522.2465, found 522.2484.

Example 3093

3-[1-Methyl-3-[3-(N-imidazol-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2(S)-(2,6-dimethylbenzenesulfonylamino)propionic acid trifluoroacetate

A. 6-Methoxycarbonylindazole. Using the procedure of Example 2328 Part B, methyl 3-amino-4-methylbenzoate (12.39 g, 75 mmol) was converted to the title product (8.85 g, 67%) which could be recrystallized from acetonitrile to give pale orange crystals: mp 142-144 °C; ^1H NMR ($CDCl_3$) δ 11.17 (bs, 1H), 8.30 (s, 1H), 8.18 (s, 1H), 7.83 (m, 2H), 3.97 (s, 3H); Mass spectrum (NH_3-CI) m/z 177 (100%, $M+H^+$).

B. 3-Bromo-6-methoxycarbonylindazole. Using the procedure of Example 1326f Part A, the product prepared according to Example 3093 Part A (3.52 g, 20 mmol) was converted to the title product (4.46 g, 87%) as a light yellow powder: mp 186-189 °C; ^1H NMR ($CDCl_3$) δ 8.24 (s, 1H), 7.91 (d, 1H), 7.70 (d, 1H), 3.92 (s, 3H); Mass spectrum (NH_3-CI) m/z 255 (100%), 257 (96%) ($M+H^+$); High resolution mass spectrum (EI) calculated (M^+) 253.9691, found 253.9694.

C. 1-Methyl-3-bromo-6-methoxycarbonylindazole. Sodium hydride (60% in mineral oil; 600 mg, 15 mmol) was placed in a dry flask under nitrogen and suspended in dry N,N-dimethylformamide (20 mL). The suspension was stirred on an ice bath and treated with a solution of the product prepared according to Example 3093 Part B (2.55

g, 10 mmol) in dry N,N-dimethylformamide (20 mL) over ca. 3 min. The resulting yellow solution was stirred for 10 min more, then was treated with iodomethane (0.7 mL, 11 mmol). The mixture was stirred at room temperature for 22.5 h, then was poured into water (ca. 600 mL). After being stirred for 10 min, the suspension was filtered, and the solid was washed with water and dried to provide the title product (2.57 g, 95%) as a yellow solid, which could be recrystallized from ethanol: mp 122-125 °C; ^{1}H NMR ($CDCl_3$) δ 8.16 (s, 1H), 7.87 (d, 1H), 7.65 (d, 1H), 4.13 (s, 3H), 3.99 (s, 3H); Mass spectrum (NH_3-CI) m/z 269 (100%), 271 (92%) ($M+H^+$); High resolution mass spectrum (NH_3-CI) calculated 268.9926, found 268.9914.

D. <u>1-Methyl-3-(3,3-diethoxypropynyl)-6-methoxycarbonyl-indazole.</u> A mixture of the product prepared according to Example 3093 Part C (1.93 g, 7.2 mmol), 3,3-diethoxypropyne (1.65 mL, 11.5 mmol), triphenylphosphine (190 mg, 720 µmol), copper(I) iodide (68 mg, 360 µmol) and triethylamine (60 mL) was purged of oxygen by bubbling with nitrogen for 25 min. Bis(triphenyl-phosphine)palladium(II) chloride (126 mg, 180 µmol) was added, and the mixture was heated at 100 °C. After 14 h, the mixture was concentrated under a nitrogen stream and cooled to room temperature. The residue was purified by flash chromatography (hexanes:ethyl acetate 85:15) to provide an orange, sticky solid. This was recrystallized (methanol) to provide the title product (1.26 g, 56%) as light yellow fibrous needles: mp 91-93 °C; ^{1}H NMR ($CDCl_3$) δ 8.18 (s, 1H), 7.88 (d, 1H), 7.83 (d, 1H), 5.59 (s, 1H), 4.14 (s, 3H), 3.98 (s, 3H), 3.89 (m, 2H), 3.72 (m, 2H), 1.30 (t, 6H); Mass spectrum (ESI) m/z 317.4 (100%, $M+H^+$).

E. 1-Methyl-3-(3,3-diethoxypropyl)-6-methoxycarbonylindazole. A mixture of the product prepared according to Example 3093 Part D (1.24 g, 3.92 mmol), 10% palladium on charcoal (130 mg), methanol (40 mL) and tetrahydrofuran (60 mL) was placed in a pressure bottle and shaken under an atmosphere of hydrogen (60 psig). After 60 min, the bottle was vented and the mixture was filtered through Celite.® The solids were rinsed with methanol and tetrahydrofuran, and the filtrate was concentrated under vacuum to provide the title product (1.31 g, >100%) as a slightly cloudy oil which was not purified further: ^1H NMR ($CDCl_3$) δ 8.11 (s, 1H), 7.77 (d, 1H), 7.72 (d, 1H), 4.57 (t, 1H), 4.08 (s, 3H), 3.97 (s, 3H), 3.69 (m, 2H), 3.52 (m, 2H), 3.06 (t, 2H), 2.13 (m, 2H), 1.22 (t, 6H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 321.1814, found 321.1830.

F. 1-Methyl-3-(3-oxopropyl)-6-methoxycarbonylindazole. A mixture of the product prepared according to Example 3093 Part E (1.29 g, 4.0 mmol), acetic acid (20 mL) and water (30 mL) was heated on an oil bath at 80 °C. After 30 min, the solvent was removed under vacuum, and the residue was dissolved in ethyl acetate. The solution was washed with saturated aqueous sodium bicarbonate, dried over anhydrous magnesium sulfate, filtered and concentrated under vacuum to provide a light brown oil. On further concentration under vacuum, a tan solid slowly formed, which was the title product (982 mg, 98%): mp 80-83 °C; ^1H NMR ($CDCl_3$) δ 9.92 (s, 1H), 8.11 (s, 1H), 7.79 (d, 1H), 7.71 (d, 1H), 4.07 (s, 3H), 3.98 (s, 3H), 3.31 (t, 2H), 3.03 (t, 2H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 247.1083, found 247.1077.

G. 1-Methyl-3-[3-[N-(1-triphenylmethylimidazol-2-yl-amino)propyl]-6-methoxycarbonylindazole. A solution of

the product prepared according to Example 3093 Part F (900 mg, 3.65 mmol) and the product prepared according to Example 1050e Part I (1.19 g, 3.65 mmol) in toluene (130 mL) was heated at reflux under an empty Dean-Stark water trap. After 22.5 h, additional toluene (ca. 40 mL) was removed by distillation, and the solution was cooled to room temperature under a nitrogen atmosphere. The solution was then cooled on an ice bath and treated with sodium triacetoxyborohydride (3.09 g, 14.6 mmol) and the mixture was stirred at room temperature for 21.75 h. Water (ca. 4 mL) was added cautiously and the mixture was stirred for 15 min. Additional water (75 mL) was added, and the layers were separated. The aqueous phase was extracted with ethyl acetate, and the combined organic phases were dried over anhydrous magnesium sulfate, filtered and concentrated under vacuum. The residue was purified by flash chromatography (toluene:ethyl acetate 50:50) to provide the title product (1.56 g, 77%) as a pale tan glass: ^1H NMR ($CDCl_3$) δ 8.07 (s, 1H), 7.72 (d, 1H), 7.43 (d, 1H), 7.30 (m, 9H), 7.20 (m, 6H), 6.68 (d, 1H), 6.38 (d, 1H), 4.01 (s, 3H), 3.97 (s, 3H), 3.13 (q, 2H), 2.96 (t, 1H), 2.61 (t, 2H), 1.61 (m, 2H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 556.2713, found 556.2732.

H. <u>Methyl 3-amino-2-(S)-benzoyloxycarbonylamino-propionate, hydrochloride salt.</u> A suspension of 3-amino-2-(S)-N-benzyloxycarbonyl-aminopropionic acid (11.0 g, 46.2 mmol) in methanol (165 mL) was stirred on an ice/acetone bath until the internal temperature was below 0 °C. Thionyl chloride (3.7 mL, 50.8 mmol) was added dropwise over 10 min. The mixture was stirred for an additional 10 min at 0 °C, then for 17.25 h at room temperature. The mixture was concentrated under vacuum and the gummy residue was stirred in ether (300 mL) to

provide a white solid. This was collected by filtration, rinsed with additional ether and dried to provide the title product (12.9 g, 97%) as a white powder: ^1H NMR (DMSO-d_6) δ 8.32 (bs, 3H), 7.94 (d, 1H), 7.37 (5H), 5.07 (s, 2H), 4.45 (m, 1H), 3.68 (s, 3H), 3.22 (m, 1H), 3.07 (m, 1H).

I. Methyl 3-(tert-butyloxycarbonylamino)-2-(benzyloxy-carbonylamino)propionate. A suspension of the product prepared according to Example 3093 Part H (8.00 g, 27.7 mmol) in dichloromethane (140 mL) and saturated aqueous sodium bicarbonate (85 mL) was stirred at room temperature and treated with di-tert-butyldicarbonate (6.11 g, 28 mmol). The mixture was stirred at room temperature for 16.5 h, then filtered and the layers were separated. The aqueous layer was extracted with additional dichloromethane, and the combined organics were washed with brine, dried over magnesium sulfate, and concentrated under vacuum. The resulting viscous oil was stirred in hexane (ca. 200 mL) overnight. The resulting solid was collected by filtration, washed with hexane and dried to provide the title product (7.66 g, 78%) as a white powder: ^1H NMR ($CDCl_3$) δ 7.36 (5H), 5.80 (bd, 1H), 5.12 (s, 2H), 4.84 (b, 1H), 4.41 (b, 1H), 3.77 (s, 3H), 3.55 (b, 2H), 1.42 (s, 9H).

J. Methyl 3-(tert-butyloxycarbonylamino)-2-amino-propionate. A solution of the product prepared according to Example 3093 Part I (7.50 g, 21.3 mmol) in ethanol (200 mL) was treated with 10% palladium on charcoal (0.75 g) and stirred under hydrogen (1 atmosphere) for 8.5 h. The mixture was filtered through Celite® and the solids were rinsed with additional ethanol. The filtrate was concentrated to provide the title product (4.65 g, 100%) as a viscous oil: ^1H NMR

($CDCl_3$) δ 5.02 (bs, 1H), 3.75 (s, 3H), 3.59 (t, 1H), 3.50 (m, 1H), 3.27 (m, 1H), 1.67 (bs, 2H), 1.44 (s, 9H).

K. Methyl 3-(tert-butyloxycarbonylamino)-2-(S)-(2,6-dimethylbenzenesulfonylamino)propionate. A solution of the product prepared according to Example 3093 Part J (6.24 g, 24.5 mmol), and diisopropylamine (6.34 g, 49 mmol) in dichloromethane (25 mL) was cooled on an ice bath. A solution of 2,6-dimethylbenzenesulfonyl chloride (prepared according to Wagenaar and Engberts, *J. Royal Neth. Chem. Soc.* 1982, 101(5), 91-94; 5.01 g, 24.5 mmol) in dichloromethane (75 mL) was added over 15 min. The ice bath was removed and the mixture was stirred at room temperature for 18 h. Additional dichloromethane was added and the solution was washed with water. The organic phase was dried over anhydrous magnesium sulfate, filtered and concentrated under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate, step gradient from 80:20 to 60:40) to provide the title product (7.25 g, 76%) as a colorless gum: ^1H NMR ($CDCl_3$) δ 7.29 (t, 1H), 7.14 (d, 2H), 5.78 (bd, 1H), 4.89 (bt, 1H), 3.92 (m, 1H), 3.55 (s, 3H), 3.47 (m, 2H), 2.68 (s, 6H), 1.42 (s, 9H).

L. Methyl 3-amino-2-(S)-(2,6-dimethylbenzenesulfonylamino)propionate (+)-camphorsulfonate. The product prepared according to Example 3093, Part K (7.25 g, 18.8 mmol) was dissolved in HCl/dioxane (4.0 M; 50 mL) and the solution was stirred at room temperature for 18 h. The mixture was concentrated under vacuum to yield a hygroscopic solid (6.63 g) which was dissolved in tetrahydrofuran and treated with triethylamine (1.0 equiv.). The resulting solid was removed by filtration, and the filtrate was treated with (+)-camphorsulfonic acid (1.0 equiv.). The mixture was stirred at room

temperature for 15 min, and the resulting solid was collected by filtration, rinsed with tetrahydrofuran, and dried to provide the title product (6.63 g, 68%) as a white solid: ^{1}H NMR (DMSO-d_6) δ 8.30 (bs, 1H), 7.94 (bs, 3H), 7.33 (t, 1H), 7.19 (d, 2H), 4.09 (bt, 1H), 3.21 (s, 3H), 3.10 (dd, 1H), 2.93 (dd, 1H), 2.83 (d, 1H), 2.64 (t, 1H), 2.56 (s, 6H), 2.34 (d, 1H), 2.20 (dm, 1H), 1.90 (m, 2H), 1.80 (d, 1H), 1.24 (dd, 2H), 1.01 (s, 3H), 0.70 (s, 3H).

M. <u>Methyl 3-[1-methyl-3-[3-(N-(1-triphenylmethyl-imidazol-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2(S)-(2,6-dimethylbenzenesulfonylamino)propionate.</u> A mixture of the product prepared according to Example 3093 Part G (1.43 g, 2.57 mmol), aqueous sodium hydroxide (1.0 M; 13 mL, 13 mmol) and ethanol (32 mL) was heated at reflux. After 80 min, the mixture was cooled to room temperature and aqueous hydrochloric acid (1.0 M; 13 mL, 13 mmol) was added. The mixture was concentrated under vacuum and dried. A portion of this material (which contains sodium chloride; 77 mg, 92 μmol) was combined with the product prepared according to Example 3093 Part L (52 mg, 101 μmol), 1-hydroxy-benzotriazole hydrate (13 mg, 92 μmol), and triethyl-amine (25 μL, 184 μmol) in N,N-dimethylformamide (5 mL) and treated with dicyclohexylcarbodiimide (19 mg, 92 μmol). The mixture was stirred at room temperature for 2.5 days, then was concentrated under vacuum. The residue was partially purified by flash chromatography (dichloromethane:methanol 95:5) to provide the title product (75 mg, 100%) which was impure but was used directly in the subsequent reaction.

N. <u>3-[1-Methyl-3-[3-(N-imidazol-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2(S)-(2,6-dimethylbenzene-sulfonylamino)propionic acid trifluoroacetate.</u> Using

the procedure of Example 1050e Part M, the product prepared according to Example 3093 Part M (75 mg, 92 μmol) was converted to the title product as a white powder (after lyophilization): ^1H NMR (MeOH-d_4) δ 7.90 (s, 1H), 7.76 (d, 1H), 7.47 (d, 1H), 7.09 (m, 1H), 7.01 (m, 2H), 6.81 (s, 2H), 4.16 (m, 1H), 4.04 (s, 3H), 3.78 (dd, 1H), 3.52 (dd, 1H), 3.34 (t, 2H), 3.09 (t, 2H), 2.62 (s, 6H), 2.14 (m, 2H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 554.2186, found 554.2184.

Example 3142

3-[1-Methyl-3-[3-(N-pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonylamino)propionic acid trifluoroacetate

A. 1-Methyl-3-[3-[N-pyridin-2-ylamino)propyl]-6-methoxycarbonylindazole. A solution of the product prepared according to Example 3093 Part F (201 mg, 816 μmol) and 2-aminopyridine (154 mg, 1.63 mmol) in dichloroethane (4 mL) was stirred at room temperature and treated with sodium triacetoxyborohydride (346 mg, 1.63 mmol). After 16.5 h, the mixture was diluted with water (ca. 5 mL) and saturated aqueous sodium bicarbonate (ca. 2 mL) and stirred for 15 min. The mixture was extracted three times with dichloromethane, and the combined organic phases were dried over anhydrous magnesium sulfate, filtered and concentrated under vacuum. The residue was purified by flash chromatography (dichloromethane:isopropanol 95:5) to provide the title product (214 mg, 81%) as a white solid: mp 101-104 °C; ^1H NMR ($CDCl_3$) δ 8.13 (s, 1H), 8.07 (d, 1H), 7.76 (d, 1H), 7.67 (d, 1H), 7.39 (t, 1H), 6.56 (dd, 1H), 6.36 (d, 1H), 4.65 (bt, 1H), 4.08 (s, 3H), 3.98 (s, 3H), 3.38 (q, 2H), 3.10 (t, 3H), 2.16 (m,

2H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 325.1665, found 325.1653.

B. tert-Butyl 3-[1-methyl-3-[3-(N-pyridin-2-ylamino)-propyl]indazol-6-ylcarbonylamino]-2(S)-(2,4,6-trimethyl-benzenesulfonyl)aminopropionate. Using the procedures of Example 1326b Parts E and F, the product prepared according to Example 3142 Part A (59 mg, 182 µmol) was converted to the title product (108 mg, 93%) as a colorless glass: ^1H NMR ($CDCl_3$) δ 8.08 (d, 1H), 7.95 (s, 1H), 7.70 (d, 1H), 7.46 (d, 1H), 7.40 (m, 1H), 6.94 (s, 2H), 6.92 (m, 1H), 6.56 (m, 1H), 6.37 (d, 1H), 5.79 (d, 1H), 4.67 (m, 1H), 4.08 (s, 3H), 3.95 (m, 1H), 3.83 (m, 1H), 3.61 (m, 1H), 3.38 (q, 2H), 3.10 (t, 2H), 2.66 (s, 6H), 2.27 (s, 3H), 2.16 (m, 2H), 1.32 (s, 9H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 635.3016, found 635.3028.

C. 3-[1-Methyl-3-[3-(N-pyridin-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid trifluoroacetate. Using the procedure of Example 1129 Part H, the product prepared according to Example 3142 Part B (100 mg, 158 µmol) was converted to the title product (84 mg, 77%) as a white powder: ^1H NMR (DMSO-d_6) δ 8.52 (m, 2H), 8.08 (d, 1H), 7.95 (s, 1H), 7.90 (d, 1H), 7.82 (t, 1H), 7.77 (d, 1H), 7.46 (d, 1H), 6.97 (d, 1H), 6.79 (s+m, 3H), 4.05 (m, 1H), 4.01 (s, 3H), 3.59 (m, 2H), 3.39 (m, 2H), 3.03 (t, 2H), 2.52 (s, 6H), 2.07 (m, 2H), 2.00 (s, 3H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 579.2390, found 579.2400.

Example 3339

3-[1-Benzyl-3-[3-(N-pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2(S)-(2,4,6-trimethylbenzenesulfonylamino)propionic acid trifluoroacetate

A. 3-(3,3-Diethoxypropynyl)-6-methoxycarbonylindazole. Using the procedure of Example 3093 Part D, the product prepared according to Example 3093 Part B (2.55 g, 10 mmol) was converted to the title product (1.49 g, 49%) as a brown gum: ^1H NMR ($CDCl_3$) δ 8.28 (s, 1H), 7.90 (d, 1H), 7.85 (d, 1H), 5.61 (s, 1H), 3.98 (s, 3H), 3.88 (m, 2H), 3.75 (m, 2H), 1.31 (t, 6H); Mass spectrum (NH_3-CI) m/z 257 (100%, $(M+H-EtOH)^+$).

B. 3-(3,3-Diethoxypropyl)-6-methoxycarbonylindazole. Using the procedure of Example 3093 Part E, the product prepared according to Example 3339 Part A (263 mg, 870 µmol) was converted to the title product (106 mg, 40%) as an orange oil, which contained a contaminant but was used directly in the subsequent reaction: ^1H NMR ($CDCl_3$) δ 8.20 (s, 1H), 7.81 (d, 1H), 7.76 (d, 1H), 4.60 (t, 1H), 3.96 (s, 3H), 3.68 (m, 2H), 3.51 (m, 2H), 3.09 (m, 2H), 2.17 (m, 2H), 1.22 (t, 6H); High resolution mass spectrum (NH_3-CI) calculated ($M+H^+$) 307.1658, found 307.1636.

C. 1-Benzyl-3-(3,3-diethoxypropyl)-6-methoxycarbonylindazole. A solution of the product prepared according to Example 3339 Part B (230 mg, 750 µmol) and benzyl chloride (95 µL, 826 µmol) in dry N,N-dimethylformamide (4 mL) was stirred on an ice bath and treated with sodium hydride (60% in mineral oil; 36 mg, 900 µmol). The mixture was stirred 10 min, then was allowed to warm to room temperature and stirred for 23 h. The mixture was diluted with water and extracted three times with ethyl acetate. The combined organic phases were washed twice with water, then dried over magnesium sulfate,

filtered and concentrated under vacuum. The residue was purified by flash chromatography (hexanes:ethyl acetate 85:15) to provide the title product (152 mg, 51%) as an oil, which was impure but was used directly in the subsequent reaction: ^1H NMR ($CDCl_3$) δ 8.08 (s, 1H), 7.75 (m, 2H), 7.25 (m, 3H), 7.17 (m, 2H), 5.59 (s, 2H), 4.56 (t, 1H), 3.94 (s, 3H), 3.67 (m, 2H), 3.50 (m, 2H), 3.08 (m, 2H), 2.2-2.05 (m, 2H), 1.22 (t, 6H); Mass spectrum (NH_3-CI) m/z 397.5 (10%, $M+H^+$), 351 (100%, $(M+H-EtOH)^+$).

D. 1-Benzyl-3-(3-oxopropyl)-6-methoxycarbonylindazole. Using the procedure of Example 3093 Part F, the product of Example 3339 Part C (115 mg, 567 µmol) was converted to the title product (110 mg, 60%) as an oil which solidified on standing: ^1H NMR ($CDCl_3$) δ 9.91 (s, 1H), 8.08 (s, 1H), 7.78 (d, 1H), 7.72 (d, 1H), 7.27 (m, 3H), 7.16 (m, 2H), 5.57 (s, 2H), 3.93 (s, 3H), 3.33 (t, 2H), 3.05 (t, 2H); Mass spectrum (ESI) m/z 323.4 (24%, $M+H^+$).

E. 1-Benzyl-3-[3-(N-pyridin-2-ylamino)propyl]-6-methoxycarbonylindazole. Using the procedure of Example 3142 Part A, the product prepared according to Example 3339 Part D (91 mg, 282 µmol) was converted to the title product (90 mg, 80%) as a viscous oil which solidified on standing. This material contained a contaminant but was used directly in the subsequent reaction: ^1H NMR ($CDCl_3$) δ 8.08 (m, 2H), 7.77 (d, 1H), 7.70 (d, 1H), 7.36 (m, 1H), 7.3-7.2 (m, 3H), 7.17 (m, 2H), 6.54 (dd, 1H), 6.30 (d, 1H), 5.60 (s, 2H), 4.65 (bt, 1H), 3.93 (s, 3H), 3.37 (q, 2H), 3.13 (t, 2H), 2.16 (m, 2H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 401.1978, found 401.1982.

F. tert-Butyl 3-[1-benzyl-3-[3-(N-pyridin-2-ylamino)-propyl]indazol-6-ylcarbonylamino]-2(S)-(2,4,6-trimethyl-

benzenesulfonyl)aminopropionate. Using the procedure of Example 1326b Parts E and F, the product prepared according to Example 3339 Part E (81 mg, 202 μmol) was converted to the title product (162 mg, >100%) as a colorless glass which contained a contaminant but was used directly in the subsequent reaction: ^{1}H NMR ($CDCl_3$) δ 8.07 (m, 1H), 7.94 (s, 1H), 7.71 (d, 1H), 7.47 (d, 1H), 7.38 (m, 1H), 7.26 (m, 5H), 6.92 (s+bm, 3H), 6.55 (m, 1H), 6.33 (d, 1H), 5.81 (d, 1H), 5.59 (s, 2H), 4.69 (bt, 1H), 3.94 (m, 1H), 3.81 (m, 1H), 3.56 (m, 1H), 3.38 (q, 2H), 3.13 (t, 2H), 2.63 (s, 6H), 2.26 (s, 3H), 2.17 (m, 2H), 1.29 (s, 9H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 711.3329, found 711.3341.

G. 3-[1-Benzyl-3-[3-(N-pyridin-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2(S)-(2,4,6-trimethyl-benzenesulfonylamino)propionic acid trifluoroacetate. Using the procedure of Example 1129 Part H, the product prepared according to Example 3339 Part F (136 mg, 191 μmol) was converted to the title product (110 mg, 75%) as a white powder: ^{1}H NMR (DMSO-d_6) δ 8.49 (t, 1H), 8.07 (d, 1H), 8.05 (s, 1H), 7.92 (d, 1H), 7.81 (d, 1H), 7.72 (m, 1H), 7.45 (d, 1H), 7.35-7.20 (m, 5H), 6.88 (d, 1H), 6.73 (s+m, 3H), 5.62 (s, 2H), 4.05 (m, 1H), 3.58 (m, 1H), 3.5-3.3 (m, 3H), 3.05 (t, 2H), 2.52 (s, 6H), 2.07 (m, 2H), 1.95 (s, 3H); High resolution mass spectrum (FAB) calculated ($M+H^+$) 655.2703, found 655.2701.

Using the methods described above and modifications thereof known to one skilled in the art of organic synthesis, the following additional examples in Tables 1-8 can be prepared.

Utility

The compounds of Formula Ia, Ib or Ic of the present invention possess activity as antagonists of integrins such as, for example, the $\alpha_v\beta_3$ or vitronectin receptor, $\alpha_v\beta_5$ or $\alpha_5\beta_1$, and as such have utility in the treatment and diagnosis of cell adhesion, angiogenic disorders, inflammation, bone degradation, cancer metastases, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis. The integrin antagonist activity of the compounds of the present invention is demonstrated using assays which measure the binding of a specific integrin to a native ligand, for example, using the ELISA assay described below for the binding of vitronectin to the $\alpha_v\beta_3$ receptor.

The compounds of the present invention possess selectivity for the $\alpha_v\beta_3$ receptor relative to the GPIIb/IIIa receptor as demonstrated by their reduced activity in standard assays of platelet aggregation, such as the platelet aggregation assay described below.

One of the major roles of integrins *in vivo* is to mediate cellular interactions with adjacent cells. Cell based adhesion assays can be used to mimic these interactions *in vitro*. A cell based assay is more representative of the *in vivo* situation than an ELISA since the receptor is maintained in membranes in the native state. The compounds of the present invention have activity in cell-based assays of adhesion, for example as demonstrated in using the cell adhesion assays described below.

The compounds of Formula Ia, Ib or Ic of the present invention may be useful for the treatment or prevention of other diseases which involve cell adhesion processes, including, but not limited to, osteoporosis,

rheumatoid arthritis, autoimmune disorders, bone degradation, rheumatoid arthritis, asthma, allergies, adult respiratory distress syndrome, graft versus host disease, organ transplantation, septic shock, psoriasis, eczema, contact dermatitis, osteoarthritis, atherosclerosis, metastasis, wound healing, inflammatory bowel disease and other angiogenic disorders.

The compounds of Formula Ia, Ib or Ic have the ability to suppress/inhibit angiogenesis *in vivo*, for example, as demonstrated using animal models of ocular neovascularization.

The compounds provided by this invention are also useful as standards and reagents in determining the ability of a potential pharmaceutical to inhibit integrin-ligand binding. These may be provided in a commercial kit comprising a compound of this invention.

As used herein "μg" denotes microgram, "mg" denotes milligram, "g" denotes gram, "μL" denotes microliter, "mL" denotes milliliter, "L" denotes liter, "nM" denotes nanomolar, "μM" denotes micromolar, "mM" denotes millimolar, "M" denotes molar and "nm" denotes nanometer. "Sigma" stands for the Sigma-Aldrich Corp. of St. Louis, MO.

The utility of the compounds of the present invention may be assessed by testing in one or more of the following assays as described in detail below: Purified $\alpha_v\beta_3$ (human placenta) - Vitronectin ELISA, $\alpha_v\beta_3$-Vitronectin Binding Assay, Human Aortic Smooth Muscle Cell Migration Assay, In Vivo Angiogenesis Model, Pig Restenosis Model, Mouse Retinopathy Model. A compound of the present invention is considered to be active if it has an IC_{50} or K_i value of less than about 10 μM for the inhibition of $\alpha_v\beta_3$-Vitronectin Binding Assay, with compounds preferably having K_i values of

less than about 0.1 μM. Tested compounds of the present invention are active in the $\alpha_v\beta_3$-Vitronectin Binding Assay.

Purified $\alpha_v\beta_3$ (human placenta) - Vitronectin ELISA

The $\alpha_v\beta_3$ receptor was isolated from human placental extracts prepared using octylglucoside. The extracts were passed over an affinity column composed of anti-$\alpha_v\beta_3$ monoclonal antibody (LM609) bound to Affigel. The column was subsequently washed extensively at pH 7 and pH 4.5 followed by elution at pH 3. The resulting sample was concentrated by wheat germ agglutinin chromatography to provide two bands by SDS gel electrophoresis which were confirmed as $\alpha_v\beta_3$ by western blotting.

Affinity purified protein was diluted at different levels and plated to 96 well plates. ELISA was performed using fixed concentration of biotinylated vitronectin (approximately 80 nM/well). This receptor preparation contains the $\alpha_v\beta_3$ with no detectable levels of $\alpha_v\beta_5$ according to the gel and according to effects of blocking antibodies for the $\alpha_v\beta_3$ or $\alpha_v\beta_5$ integrins in the ELISA.

A submaximal concentration of biotinylated vitronectin was selected based on a concentration response curve with fixed receptor concentration and variable concentrations of biotinylated vitronectin.

$\alpha_v\beta_3$-Vitronectin Binding Assay

The purified receptor is diluted with coating buffer (20 mM Tris HCl, 150 mM NaCl, 2.0 mM $CaCl_2$, 1.0 mM $MgCl_2\cdot 6H_2O$, 1.0 mM $MnCl_2\cdot 4H_2O$) and coated (100 μL/well) on Costar (3590) high capacity binding plates overnight at 4°C. The coating solution is discarded and the plates washed once with blocking/binding buffer (B/B buffer, 50 mM Tris HCl, 100 mM NaCl, 2.0 mM $CaCl_2$,1.0 mM

$MgCl_2 \cdot 6H_2O$, 1.0 mM $MnCl_2 \cdot 4H_2O$). Receptor is then blocked (200 µL/well) with 3.5% BSA in B/B buffer for 2 hours at room temperature. After washing once with 1.0% BSA in B/B buffer, biotinylated vitronectin (100 µL) and either inhibitor (11 µL) or B/B buffer w/1.0% BSA (11 µL) is added to each well. The plates are incubated 2 hours at room temperature. The plates are washed twice with B/B buffer and incubated 1 hour at room temperature with anti-biotin alkaline phosphatase (100 µL/well) in B/B buffer containing 1.0% BSA. The plates are washed twice with B/B buffer and alkaline phosphatase substrate (100 µL) is added. Color is developed at room temperature. Color development is stopped by addition of 2N NaOH (25 µL/well) and absorbance is read at 405 nm. The IC_{50} is the concentration of test substance needed to block 50% of the vitronectin binding to the receptor.

Integrin Cell-Based Adhesion Assays

In the adhesion assays, a 96 well plate was coated with the ligand (i.e., fibrinogen) and incubated overnight at 4° C. The following day, the cells were harvested, washed and loaded with a fluorescent dye. Test compounds and cells were added together and then were immediately added to the coated plate. After incubation, loose cells are removed from the plate, and the plate (with adherent cells) is counted on a fluorometer. The ability of test compounds to inhibit cell adhesion by 50% is given by the IC_{50} value and represents a measure of potency of inhibition of integrin mediated binding. Compounds were tested for their ability to block cell adhesion using assays specific for $\alpha_v\beta_3$, $\alpha_v\beta_5$ and $\alpha_5\beta_1$ integrin interactions.

Platelet Aggregation Assay

Venous blood was obtained from anesthetized mongrel dogs or from healthy human donors who were drug- and

aspirin-free for at least two weeks prior to blood collection. Blood was collected into citrated Vacutainer tubes. The blood was centrifuged for 15 minutes at 150 x g (850 RPM in a Sorvall RT6000 Tabletop Centrifuge with H-1000 B rotor) at room temperature, and platelet-rich plasma (PRP) was removed. The remaining blood was centrifuged for 15 minutes at 1500 x g (26,780 RPM) at room temperature, and platelet-poor plasma (PPP) was removed. Samples were assayed on a PAP-4 Platelet Aggregation Profiler, using PPP as the blank (100% transmittance). 200 µL of PRP ($5x10^8$ platelets/mL) were added to each micro test tube, and transmittance was set to 0%. 20 µL of ADP (10 µM) was added to each tube, and the aggregation profiles were plotted (% transmittance versus time). Test agent (20 µL) was added at different concentrations prior to the addition of the platelet agonist. Results are expressed as % inhibition of agonist-induced platelet aggregation.

Human Aortic Smooth Muscle Cell Migration Assay

A method for assessing $\alpha_v\beta_3$-mediated smooth muscle cell migration and agents which inhibit $\alpha_v\beta_3$-mediated smooth muscle cell migration is described in Liaw et al., *J. Clin. Invest.* (1995) 95: 713-724).

In Vivo Angiogenesis Model

A quantitative method for assessing angiogenesis and antiangiogenic agents is described in Passaniti et al., *Laboratory Investigation* (1992) 67: 519-528

Pig Restenosis Model

A method for assessing restenosis and agents which inhibit restenosis is described in Schwartz et al., *J. Am. College of Cardiology* (1992) 19: 267-274.

Mouse Retinopathy Model

A method for assessing retinopathy and agents which inhibit retinopathy is described in Smith et al., *Invest. Ophthal. & Visual Science* (1994) 35: 101-111.

Dosage and Formulation

The compounds of this invention can be administered by any means that produces contact of the active agent with the agent's site of action, the $\alpha_v\beta_3$ integrin, in the body of a mammal. They can be administered by any conventional means available for use in conjunction with pharmaceuticals, either as individual therapeutic agents or in a combination of therapeutic agents, such as a antiplatelet agent such as aspirin, piroxicam, or ticlopidine which are agonist-specific, or an anti-coagulant such as warfarin or heparin, or a thrombin inhibitor such as a boropeptide, hirudin or argatroban, or a thrombolytic agent such as tissue plasminogen activator, anistreplase, urokinase or streptokinase, or combinations thereof. The compounds of the invention, or compounds of the invention in combination with other therapeutic agents, can be administered alone, but generally administered with a pharmaceutical carrier selected on the basis of the chosen route of administration and standard pharmaceutical practice.

The dosage of the novel compounds of this invention administered will, of course, vary depending upon known factors, such as the pharmacodynamic characteristics of the particular agent and its mode and route of administration; the age, health and weight of the recipient; the nature and extent of the symptoms; the kind of concurrent treatment; the frequency of treatment; and the effect desired. A daily dosage of

active ingredient can be expected to be about 0.001 to 10 milligrams per kilogram of body weight.

Dosage forms (compositions suitable for administration) contain from about 0.1 milligram to about 100 milligrams of active ingredient per unit. In these pharmaceutical compositions the active ingredient will ordinarily be present in an amount of about 0.5-95% by weight based on the total weight of the composition.

The active ingredient can be administered orally in solid dosage forms, such as capsules, tablets, and powders, or in liquid dosage forms, such as elixirs, syrups, and suspensions. It can also be administered by injection, in sterile liquid dosage forms.

Gelatin capsules contain the active ingredient and powdered carriers, such as lactose, starch, cellulose derivatives, magnesium stearate, stearic acid, and the like. Similar diluents can be used to make compressed tablets. Both tablets and capsules can be manufactured as sustained release products to provide for continuous release of medication over a period of hours. Compressed tablets can be sugar coated or film coated to mask any unpleasant taste and protect the tablet from the atmosphere, or enteric coated for selective disintegration in the gastrointestinal tract.

Liquid dosage forms for oral administration can contain coloring and flavoring to increase patient acceptance.

In general, water, a suitable oil, saline, aqueous dextrose (glucose), and related sugar solutions and glycols such as propylene glycol or polyethylene glycols are suitable carriers for parenteral solutions. Solutions for parenteral administration preferably contain a water soluble salt of the active ingredient, suitable stabilizing agents, and if necessary, buffer substances. Antioxidizing agents such as sodium bisulfite, sodium sulfite, or ascorbic acid, either

alone or combined, are suitable stabilizing agents. Also used are citric acid and its salts and sodium EDTA. In addition, parenteral solutions can contain preservatives, such as benzalkonium chloride, methyl- or propyl-paraben, and chlorobutanol.

Suitable pharmaceutical carriers are described in *Remington's Pharmaceutical Sciences*, Mack Publishing Company, a standard reference text in this field.

Useful pharmaceutical dosage-forms for administration of the compounds of this invention can be illustrated as follows:

Capsules

A large number of unit capsules are prepared by filling standard two-piece hard gelatin capsules each with 10 milligrams of powdered active ingredient, 150 milligrams of lactose, 50 milligrams of cellulose, and 6 milligrams magnesium stearate.

Soft Gelatin Capsules

A mixture of active ingredient in a digestable oil such as soybean oil, cottonseed oil or olive oil is prepared and injected by means of a positive displacement pump into gelatin to form soft gelatin capsules containing 10 milligrams of the active ingredient. The capsules are washed and dried.

Tablets

A large number of tablets are prepared by conventional procedures so that the dosage unit was 10 milligrams of active ingredient, 0.2 milligrams of colloidal silicon dioxide, 5 milligrams of magnesium stearate, 275 milligrams of microcrystalline cellulose, 11 milligrams of starch and 98.8 milligrams of lactose. Appropriate coatings may be applied to increase palatability or delay absorption.

The combination products of this invention, such as the novel $\alpha_v\beta_3$ antagonist compounds of this invention in combination with an anti-coagulant agent such as warfarin or heparin, or an anti-platelet agent such as aspirin, piroxicam or ticlopidine, or a thrombin inhibitor such as a boropeptide, hirudin or argatroban, or a thrombolytic agent such as tissue plasminogen activator, anistreplase, urokinase or streptokinase, or combinations thereof, can be in any dosage form, such as those described above, and can also be administered in various ways, as described above.

In a preferred embodiment, the combination products of the invention are formulated together, in a single dosage form (that is, combined together in one capsule, tablet, powder, or liquid, etc.). When the combination products are not formulated together in a single dosage form, the $\alpha_v\beta_3$ antagonist compounds of this invention and the anti-coagulant agent, anti-platelet agent, thrombin inhibitor, and/or thrombolytic agent may be administered at the same time (that is, together), or in any order, for example the compounds of this invention are administered first, followed by administration of the anti-coagulant agent, anti-platelet agent, thrombin inhibitor, and/or thrombolytic agent. When not administered at the same time, preferably the administration of the compound of this invention and any anti-coagulant agent, anti-platelet agent, thrombin inhibitor, and/or thrombolytic agent occurs less than about one hour apart, more preferably less than about 30 minutes apart, even more preferably less than about 15 minutes apart, and most preferably less than about 5 minutes apart. Preferably, administration of the combination products of the invention is oral. The terms oral agent, oral inhibitor, oral compound, or the like, as used herein, denote compounds which may be

orally administered. Although it is preferable that the $\alpha_v\beta_3$ antagonist compounds of this invention and the anti-coagulant agent, anti-platelet agent, thrombin inhibitor, and/or thrombolytic agent are both administered in the same fashion (that is, for example, both orally), if desired, they may each be administered in different fashions (that is, for example, one component of the combination product may be administered orally, and another component may be administered intravenously). The dosage of the combination products of the invention may vary depending upon various factors such as the pharmacodynamic characteristics of the particular agent and its mode and route of administration, the age, health and weight of the recipient, the nature and extent of the symptoms, the kind of concurrent treatment, the frequency of treatment, and the effect desired, as described above.

As discussed above, where two or more of the foregoing therapeutic agents are combined or co-administered with the compounds of this invention, generally the amount of each component in a typical daily dosage and typical dosage form may be reduced relative to the usual dosage of the agent when administered alone, in view of the additive or synergistic effect which would be obtained as a result of addition of further agents in accordance with the present invention.

Particularly when provided as a single dosage form, the potential exists for a chemical interaction between the combined active ingredients (for example, a novel compound of this invention and an anti-coagulant such as warfarin or heparin, or a novel compound of this invention and an anti-platelet agent such as aspirin, piroxicam or ticlopidine, or a novel compound of this invention and a thrombin inhibitor such as a boropeptide, hirudin or argatroban, or a novel compound

of this invention and a thrombolytic agent such as tissue plasminogen activator, anistreplase, urokinase or streptokinase, or combinations thereof). For this reason, the preferred dosage forms of the combination products of this invention are formulated such that although the active ingredients are combined in a single dosage form, the physical contact between the active ingredients is minimized (that is, reduced).

In order to minimize contact, one embodiment of this invention where the product is orally administered provides for a combination product wherein one active ingredient is enteric coated. By enteric coating one of the active ingredients, it is possible not only to minimize the contact between the combined active ingredients, but also, it is possible to control the release of one of these components in the gastrointestinal tract such that one of these components is not released in the stomach but rather is released in the intestines. Another embodiment of this invention where oral administration is desired provides for a combination product wherein one of the active ingredients is coated with a sustained-release material which effects a sustained-release throughout the gastrointestinal tract and also serves to minimize physical contact between the combined active ingredients. Furthermore, the sustained-released component can be additionally enteric coated such that the release of this component occurs only in the intestine. Still another approach would involve the formulation of a combination product in which the one component is coated with a sustained and/or enteric release polymer, and the other component is also coated with a polymer such as a low viscosity grade of hydroxypropyl methylcellulose (HPMC) or other appropriate materials as known in the art, in order to further separate the active components. The polymer

coating serves to form an additional barrier to interaction with the other component.

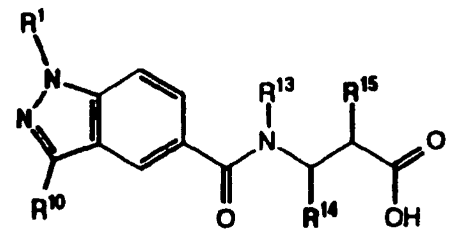
Dosage forms of the combination products of the present invention wherein one active ingredient is enteric coated can be in the form of tablets such that the enteric coated component and the other active ingredient are blended together and then compressed into a tablet or such that the enteric coated component is compressed into one tablet layer and the other active ingredient is compressed into an additional layer. Optionally, in order to further separate the two layers, one or more placebo layers may be present such that the placebo layer is between the layers of active ingredients. In addition, dosage forms of the present invention can be in the form of capsules wherein one active ingredient is compressed into a tablet or in the form of a plurality of microtablets, particles, granules or non-perils, which are then enteric coated. These enteric coated microtablets, particles, granules or non-perils are then placed into a capsule or compressed into a capsule along with a granulation of the other active ingredient.

These as well as other ways of minimizing contact between the components of combination products of the present invention, whether administered in a single dosage form or administered in separate forms but at the same time by the same manner, will be readily apparent to those skilled in the art, once armed with the present disclosure.

Pharmaceutical kits useful in, for example, the inhibition of thrombus formation, the prevention of blood clots, and/or the treatment of thromboembolic disorders, which comprise a therapeutically effective amount of a compound according to the method of the present invention along with a therapeutically effective

amount of an anti-coagulant agent such as warfarin or heparin, or an antiplatelet agent such as aspirin, piroxicam or ticlopidine, or a thrombin inhibitor such as a boropeptide, hirudin or argatroban, or a thrombolytic agent such as tissue plasminogen activator, anistreplase, urokinase or streptokinase, or combinations thereof, in one or more sterile containers, are also within the ambit of the present invention. Sterilization of the container may be carried out using conventional sterilization methodology well known to those skilled in the art. The sterile containers of materials may comprise separate containers, or one or more multi-part containers, as exemplified by the UNIVIAL™ two-part container (available from Abbott Labs, Chicago, Illinois), as desired. The compounds according to the method of the invention and the anti-coagulant agent, anti-platelet agent, thrombin inhibitor, thrombolytic agent, and/or combinations thereof, may be separate, or combined into a single dosage form as described above. Such kits may further include, if desired, one or more of various conventional pharmaceutical kit components, such as for example, one or more pharmaceutically acceptable carriers, additional vials for mixing the components, etc., as will be readily apparent to those skilled in the art. Instructions, either as inserts or as labels, indicating quantities of the components to be administered, guidelines for administration, and/or guidelines for mixing the components, may also be included in the kit.

Table 1



Ex. No.	R^1	R^{10}	R^{13}	R^{14}	R^{15}	MS
1001	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	H	
1002	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2Ph$	
1003	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(2-CH_3)	
1004	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)	
1005	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)	
1006	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-pyridinyl)	
1007	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(3-pyridinyl)	
1008	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-pyridinyl)	
1009	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thiazolyl)	
1010	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-thiazolyl)	
1011	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(5-thiazolyl)	
1012	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-isoxazolyl)	

1013	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thienyl)
1014	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(5-isoxazolyl)
1015	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$n-Bu
1016	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu
1017	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$t-Bu
1017a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	NHCOPh
1018	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2Ph$
1019	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2C_6H_4$-(2-CH_3)
1020	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2C_6H_4$-(3-CH_3)
1021	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2C_6H_4$-(4-CH_3)
1021a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2CH_2Ph$
1021b	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	NHCOCH=CHPh
1022	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(2-pyridinyl)
1023	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(3-pyridinyl)
1024	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(4-pyridinyl)
1025	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(2-thiazolyl)
1026	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(4-thiazolyl)
1027	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(5-thiazolyl)
1028	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(4-isoxazol)
1029	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(2-thienyl)
1029a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(cyclohexyl)
1029b	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	NHCO-cyclohexyl
1030	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	NHCOn-Bu

1031	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	NHCOt-Bu	
1031a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	NHCONHPh	
1031b	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCONHCH_2Ph$	
1032	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$	512.3
1033	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-CH_3)	
1034	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-CH_3)	
1035	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-CH_3)	
1035a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-CH_3)$_2$	540.4
1035b	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$	554.4
1036	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-pyridyl)	
1037	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)	
1038	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-pyridyl)	
1038a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thienyl)	
1038b	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[3-(2,5-dichloro)thienyl]	
1039	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiaz-olyl)	
1040	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(3-thiazolyl)	
1040a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[5-(4-methyl-2-amino)thiazolyl]	
1041	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)	
1042	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]	
1043	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-Br)	

1044	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-Br)	
1045	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Br)	
1046	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-F)	
1047	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-F)	
1048	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-F)	
1048a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)	580.2
1049	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)	
1050	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)	562.4
1050a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)	588.4
1050b	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(4-pyridyl)	
1050c	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)	
1050d	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)	
1050e	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dimethyl	616.3
1050f	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl	
1050g	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl	
1050h	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl	

1050i	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dichloro	
1050j	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-furyl)	578.3
1050k	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-furyl)	
1050l	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)	
1050m	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(4-pyridyl)-2,6-dimethyl	
1050n	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-furyl)-2,6-dimethyl	
1050o	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-furyl)-2,6-dichloro	
1051	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH$=CHPh	
1052	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$	
1053	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2CH$=CH-Ph	
1054	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-n-Bu	
1055	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-i-Bu	
1056	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-t-Bu	
1057	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$	
1058	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(2-CH_3)	
1059	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(3-CH_3)	
1060	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)	
1060a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)	

1060b	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
1061	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-pyridyl)
1062	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(3-pyridyl)
1063	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(4-pyridyl)
1064	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-thiazolyl)
1065	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(4-thiazolyl)
1066	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(4-isoxazolyl)
1067	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
1068	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(2-Br)
1069	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(3-Br)
1070	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Br)
1071	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(3-F)
1072	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-F)
1073	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)
1074	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(1-naphthyl)
1074a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)
1074b	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
1074c	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
1075	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH=CH-Ph$

1076	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2Ph$	
1077	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2CH{=}CH{-}Ph$	
1077a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-cyclohexyl	
1078	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-n-Bu	
1079	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-i-Bu	
1080	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-t-Bu	
1081	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2Ph$	517.3
1082	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(2-CH_3)	
1083	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)	
1084	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)	
1085	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-pyridinyl)	
1086	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(3-pyridinyl)	
1087	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-pyridinyl)	
1088	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thiazolyl)	
1089	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-thiazolyl)	
1090	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(5-thiazolyl)	
1091	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-isoxazolyl)	
1092	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thienyl)	
1093	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$n-Bu	
1094	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu	483.5
1095	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$t-Bu	
1095a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	NHCOPh	487.3
1096	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2Ph$	501.4

1097	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2C_6H_4$-(2-CH_3)	
1098	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$-C_6H_4-(3-CH_3)	
1099	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2C_6H_4$-(4-CH_3)	
1099a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2CH_2Ph$	515.4
1099b	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	NHCOCH=CHPh	513.3
1100	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(2-pyridinyl)	
1101	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(3-pyridinyl)	
1102	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(4-pyridinyl)	
1103	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(2-thiazolyl)	
1104	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(4-thiazolyl)	
1105	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(5-thiazolyl)	
1106	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2CH_2CH(CH_3)_2$	481.4
1107	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(4-isoxazolyl)	
1108	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(2-thienyl)	
1108a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(cyclohexyl)	507.3
1108b	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	NHCO-cyclohexyl	493.4
1109	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	NHCOn-Bu	
1110	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	NHCOt-Bu	
1110a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	NHCONHPh	502.4
1110b	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCONHCH_2Ph$	516.5
1111	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$	523.2
1112	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-CH_3)	
1113	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-CH_3)	

1114	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-CH_3)	
1114a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-$CH_3)_2$	
1114b	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	565.2
1115	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-pyridyl)	
1116	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)	
1117	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-pyridyl)	
1117a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thienyl)	529.2
1117b	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[3-(2,5-dichloro)thienyl]	597.1
1118	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)	
1119	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-thiazolyl)	
1119a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[5-(4-methyl-2-amino)thiazolyl]	559.2
1120	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)	
1121	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]	542.2
1122	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-Br)	
1123	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-Br)	
1124	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Br)	
1125	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-F)	
1126	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-F)	
1127	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-F)	
1127a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)	591.3

1128	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)	573.4
1129	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)	573.2
1129a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)	599.4
1129b	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(4-pyridyl)	
1129c	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)	
1129d	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)	
1129e	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dimethyl	
1129f	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl	
1129g	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl	
1129h	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl	
1129i	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dichloro	
1129j	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-furyl)	
1129k	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-furyl)	
1129l	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)	

1129m	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(4-pyridyl)-2,6-dimethyl	
1129n	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-furyl)-2,6-dimethyl	
1129o	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-furyl)-2,6-dichloro	
1130	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH$=CH-Ph	
1131	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$	537.4
1132	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-CH_2CH=CH-Ph	
1133	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-n-Bu	503.3
1134	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-i-Bu	
1135	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-t-Bu	
1136	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$	
1137	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(2-CH_3)	
1138	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(3-CH_3)	
1139	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)	
1139a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)	
1139b	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)	580.3
1140	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-pyridyl)	
1141	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(3-pyridyl)	
1142	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(4-pyridyl)	
1143	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-thiazolyl)	

1144	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-(4-thiazolyl)	
1145	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(4-isoxazolyl)	
1146	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]	
1147	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(2-Br)	
1148	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(3-Br)	
1149	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Br)	
1150	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(3-F)	
1151	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-F)	
1152	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)	
1153	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$)1-naphthyl)	
1153a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)	
1153b	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)	
1153c	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)	
1154	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH$=CH-Ph	
1155	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2Ph$	552.4
1156	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2CH$=CH-Ph	
1156a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-cyclohexyl	544.4
1157	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-n-Bu	
1158	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-i-Bu	518.4
1159	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-t-Bu	

1160	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOOCH_2Ph$	
1161	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(2-CH_3)	
1162	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)	
1163	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)	
1164	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-pyridinyl)	
1165	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(3-pyridinyl)	
1166	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-pyridinyl)	
1167	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thiazolyl)	
1168	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-thiazolyl)	
1169	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(5-thiazolyl)	
1170	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-isoxazolyl)	
1171	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thienyl)	
1172	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$n-Bu	
1173	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu	
1174	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$t-Bu	
1175	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$	
1176	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-CH_3)	
1177	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-CH_3)	
1178	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-CH_3)	
1178a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Me_2)	
1178b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)	570.5

1179	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-pyridyl)
1180	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)
1181	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-pyridyl)
1181a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thienyl)
1181b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[3-(2,5-dichloro)thienyl]
1182	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)
1183	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-thiazolyl)
1184	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)
1185	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
1186	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-Br)
1187	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-Br)
1188	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-F)
1189	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-F)
1190	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-F)
1190a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
1191	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)
1192	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)
1192a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)
1192b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(4-pyridyl)

1192c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
1192d	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)
1192e	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dimethyl
1192f	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl
1192g	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl
1192h	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl
1192i	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dichloro
1192j	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-furyl)
1192k	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-furyl)
1192l	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)
1192m	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(4-pyridyl)-2,6-dimethyl
1192n	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-furyl)-2,6-dimethyl

1192o	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-furyl)-2,6-dichloro
1193	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH$=CHPh
1194	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$
1195	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2CH$=CHPh
1196	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-n-Bu
1197	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-i-Bu
1197a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$
1197b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(2-CH_3)
1197c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(3-CH_3)
1197d	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)
1197e	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
1197f	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
1197g	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
1197h	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)
1197j	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(1-naphthyl)
1197k	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)
1197m	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
1197n	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)

1197p	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2Ph$	
1198	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOOCH_2Ph$	508.6
1199	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(2-CH_3)	
1200	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)	
1201	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)	
1202	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-pyridinyl)	
1203	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(3-pyridinyl)	
1204	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-pyridinyl)	
1205	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thiazolyl)	
1206	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-thiazolyl)	
1207	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(5-thiazolyl)	
1208	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-isoxazolyl)	
1209	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thienyl)	
1210	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$n-Bu	
1211	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu	
1212	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$t-Bu	
1213	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$	514.3
1214	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-CH_3)	
1215	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-CH_3)	
1216	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-CH_3)	
1216a	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Me_2)	
1216b	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)	556.4

1217	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-pyridyl)
1218	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)
1219	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-pyridyl)
1219a	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thienyl)
1219b	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[3-(2,5-dichloro)thienyl]
1220	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)
1220a	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[5-(4-methyl-2-amino)thiazolyl]
1221	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)
1222	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
1223	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-Br)
1224	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-Br)
1225	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-F)
1226	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-F)
1227	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-F)
1227a	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
1228	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)
1229	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)
1229a	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)

1229b	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(4-pyridyl)
1229c	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
1229d	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)
1229e	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dimethyl
1229f	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl
1229g	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl
1229h	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl
1229i	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dichloro
1229j	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-furyl)
1229k	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-furyl)
1229l	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)
1229m	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(4-pyridyl)-2,6-dimethyl

1229n	imidazolin-_ ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-furyl)-2,6-dimethyl
1229o	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-furyl)-2,6-dichloro
1230	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH$=CHPh
1231	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$
1232	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2CH$=CHPh
1233	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-n-Bu
1234	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-i-Bu
1234a	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$
1234b	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(2-CH_3)
1234c	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(3-CH_3)
1234d	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)
1234e	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
1234f	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
1234g	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)
1234h	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$)1-naphthyl)
1234j	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)
1234m	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
1234n	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)

1234p	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2Ph$
1235	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$
1236	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-CH_3)
1237	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-CH_3)
1238	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-CH_3)
1238a	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Me_2)
1238b	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
1239	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-pyridyl)
1240	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)
1241	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-pyridyl)
1241a	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thienyl)
1241b	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[3-(2,5-dichloro)thienyl]
1242	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)
1242a	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[5-(4-methyl-2-amino)thiazolyl]
1243	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)
1244	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
1245	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-Br)
1246	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-Br)
1247	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-F)

1248	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-F)
1249	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-F)
1249a	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
1249b	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)
1249c	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)
1249d	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)
1249e	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
1249f	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
1249g	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH$=CHPh
1249h	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$
1249j	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2CH$=CHPh
1249k	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-n-Bu
1249m	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-i-Bu
1249n	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$
1249p	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(2-CH_3)
1249q	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(3-CH_3)
1249r	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)
1249s	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
1249t	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
1249u	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)

1249v	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH)$1-naphthyl)
1249w	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)
1249x	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
1249y	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
1249z	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2Ph$
1250	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2Ph$
1251	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$n-Bu
1252	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu
1253	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2Ph$
1254	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-CH_3)
1255	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-CH_3)
1256	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-CH_3)
1256a	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Me_2)
1256b	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
1257	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(2-pyridyl)
1258	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)
1259	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(4-pyridyl)
1260	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)
1261	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)
1262	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]

1263	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-Br)
1264	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-Br)
1265	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-F)
1266	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-F)
1267	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-F)
1267a	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
1267b	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)
1267c	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)
1267d	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)
1267e	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
1267f	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
1267g	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2CH$=CHPh
1267h	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$
1267j	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2CH_2CH$=CHPh
1267k	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$-n-Bu
1267m	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$-i-Bu
1267n	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$
1267p	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(2-CH_3)
1267q	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(3-CH_3)
1267r	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)
1267s	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)

1267t	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
1267u	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)
1267v	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NH$)1-naphthyl)
1267w	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)
1267x	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
1267y	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
1268	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHCO_2CH_2Ph$
1269	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHCO_2$n-Bu
1270	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu
1271	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	H	$NHSO_2Ph$
1274	imidazol-4-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$
1279	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)
1282	imidazol-4-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)
1287	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
1290	imidazol-4-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
1295	imidazol-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H
1296	pyridin-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H
1297	imidazolin-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H

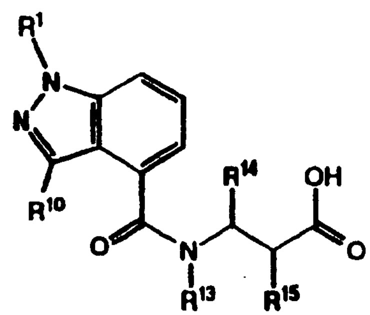
1298	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H
1299	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H
1300	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	3-pyridinyl	H
1301	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	3-pyridinyl	H
1304	imidazol-4-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H
1309	imidazol-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	H
1310	pyridin-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	H
1311	imidazolin-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	H
1312	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	H
1313	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	H
1314	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	H
1315	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	H
1318	imidazol-4-ylamino-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	H
1323	imidazol-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	$NHSO_2Ph$
1324	pyridin-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	$NHSO_2Ph$

1325	imidazol-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	$NHSO_2Ph$	
1326	pyridin-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	$NHSO_2Ph$	
1326a	pyridin-2-ylamino-$(CH_2)_2CH(Ph)$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	641.4
1326b	pyridin-2-ylamino-$(CH_2)_2CH(CH_3)$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	579.4
1326c	pyridin-2-ylamino-$CH_2CH(CH_3)CH_2$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	579.5
1326d	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	
1326e	pyridin-2-ylamino-$(CH_2)_3$	C_2H_5	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	
1326f	pyridin-2-ylamino-$(CH_2)_3$	Ph	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	641.4
1326g	pyridin-2-ylamino-$(CH_2)_3$	CH_2CH_2Ph	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	669.5
1326h	pyridin-2-ylamino-$(CH_2)_3$	H	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	579.4
1326i	imidazol-2-ylamino-$(CH_2)_2$	H	H	Me	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	568.3
1327	imidazol-2-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$	
1327a	imidazol-2-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$	
1327b	imidazol-2-ylamino-carbonyl-$(CH_2)_2$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	568.5
1328	pyridin-2-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$	
1328a	pyridin-2-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$	
1328b	pyridin-2-ylamino-carbonyl-$(CH_2)_2$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	
1329	imidazolin-2-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$	
1329a	imidazolin-2-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$	494.3
1330	tetrahydropyrimidin-2-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$	
1330a	tetrahydropyrimidin-2-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$	

1331	benzimidazol-2-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$	
1331a	benzimidazol-2-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$	
1331b	benzimidazol-2-ylamino-carbonyl-$(CH_2)_2$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$	
1332	2-aminopyridin-6-yl-$(CH_2)_2$	H	H	H	$NHSO_2Ph$	
1332a	2-aminopyridin-6-yl-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$	
1333	2-iminoazepin-7-yl-$(CH_2)_2$	H	H	H	$NHSO_2Ph$	
1333a	2-iminoazepin-7-yl-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$	
1336	imidazol-4-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$	
1336a	imidazol-4-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$	
1341	imidazol-2-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
1341a	imidazol-2-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
1342	pyridin-2-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
1342a	pyridin-2-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
1343	imidazolin-2-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
1343a	imidazolin-2-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	522.3
1344	tetrahydropyrimidin-2-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
1344a	tetrahydropyrimidin-2-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
1345	benzimidazol-2-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
1345a	benzimidazol-2-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
1346	2-aminopyridin-6-yl-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
1346a	2-aminopyridin-6-yl-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
1347	2-iminoazepin-7-yl-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	

1347a	2-iminoazepin-7-yl-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$
1350	imidazol-4-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$
1350a	imidazol-4-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$
1351	imidazol-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHSO_2$-(1-naphthyl)
1352	imidazol-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHCO_2CH_2Ph$
1353	imidazol-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
1354	pyridin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHSO_2$-(1-naphthyl)
1355	pyridin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHCO_2CH_2Ph$
1356	pyridin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
1357	imidazolin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHSO_2$-(1-naphthyl)
1358	imidazolin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHCO_2CH_2Ph$
1359	imidazolin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
1360	imidazolin-2-ylamino-(o-C_6H_4)-CH_2	H	H	H	$NHSO_2$-(1-naphthyl)
1361	imidazolin-2-ylamino-(o-C_6H_4)-CH_2	H	H	H	$NHCO_2CH_2Ph$
1362	imidazolin-2-ylamino-(o-C_6H_4)-CH_2	H	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)

Table 2



Ex. No.	R^{1a}	R^{10}	R^{13}	R^{14}	R^{15}	MS
2001	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	H	
2002	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOOCH_2Ph$	
2003	imidazolin-2-yl amino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(2-CH_3)	
2004	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)	
2005	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)	
2006	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-pyridinyl)	
2007	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(3-pyridinyl)	
2010	imidazol-4-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thiazolyl)	
2015	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-isoxazolyl)	
2016	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thienyl)	

2017	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$n-Bu
2018	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu
2019	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$t-Bu
2020	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$Ph
2021	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-CH_3)
2024	imidazol-4-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-pyridyl)
2029	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)
2030	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
2031	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-Br)
2032	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-Br)
2033	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Br)
2034	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-F)
2035	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-F)
2038	imidazol-4-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)
2043	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-i-Bu
2044	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-t-Bu
2045	imidazol-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylenedioxy)phenyl	H

2046	pyridin-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylenedioxy)phenyl	H
2047	imidazolin-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylenedioxy)phenyl	H
2048	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylenedioxy)phenyl	H
2049	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylenedioxy)phenyl	H
2050	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	(3,4-methylenedioxy)phenyl	H
2051	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	(3,4-methylenedioxy)phenyl	H
2054	imidazol-4-ylamino-$(CH_2)_3$	H	H	(3,4-methylenedioxy)phenyl	H
2059	imidazol-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H
2060	pyridin-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H

2061	imidazolin-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H	
2062	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H	
2063	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H	
2064	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	3-pyridinyl	H	
2065	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	3-pyridinyl	H	
2068	imidazol-4-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	H	
2073	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOOCH_2Ph$	508.3
2075	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2Ph$	
2076	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)	
2077	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(3-pyridinyl)	
2078	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thiazolyl)	
2079	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thienyl)	
2080	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(5-isoxazolyl)	
2081	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$n-Bu	
2082	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	NHCOPh	
2083	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2Ph$	
2084	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2CH_2Ph$	
2085	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	NHCOCH=CHPh	
2086	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(3-pyridinyl)	
2087	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(2-thienyl)	
2088	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(cyclohexyl)	
2089	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	NHCOn-Bu	
2090	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCONHCH_2Ph$	

2091	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$	
2092	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-CH_3)	
2093	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-$CH_3)_2$	540.3
2094	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	554.4
2095	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)	
2096	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thienyl)	
2097	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)	
2098	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]	
2099	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Br)	
2100	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-F)	
2101	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)	
2102	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)	
2103	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)	562.4
2104	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-Ph	588.4
2104a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(4-pyridyl)	
2104b	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)	
2104c	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)	
2105	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dimethyl	616.3

2105a	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl
2105b	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl
2105c	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl
2106	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dichloro
2107	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H$-4-Ph-2,6-dimethyl-3-chloro
2108	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$
2109	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-n-Bu
2110	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$
2111	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)
2112	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
2113	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
2114	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(3-pyridyl)
2115	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
2116	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Br)
2117	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-F)
2118	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)

2119	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(1-naphthyl)
2120	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)
2121	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
2122	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
2123	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2Ph$
2124	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-n-Bu
2125	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)
2126	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(3-pyridinyl)
2127	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thiazolyl)
2128	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(4-isoxazolyl)
2129	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu
2130	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	NHCOPh
2131	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2Ph$
2132	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2CH_2Ph$
2133	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	NHCOCH=CHPh
2134	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(3-pyridinyl)
2135	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(2-thienyl)
2136	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOCH_2$(cyclohexyl)
2137	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	NHCOn-Bu
2138	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCONHCH_2Ph$
2139	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$

2140	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-CH_3)	
2141	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-CH_3)$_2$	
2142	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$	565.4
2143	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)	
2144	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thienyl)	
2145	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)	
2146	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Br)	
2147	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-F)	
2148	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)	
2149	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)	
2150	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)	
2151	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)	
2151a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(4-pyridyl)	
2151b	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)	
2151c	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)	
2152	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dimethyl	
2152a	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl	

2152b	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl
2152c	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl
2153	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dichloro
2154	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$
2155	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-n-Bu
2156	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$
2157	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)
2158	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
2159	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
2160	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(3-pyridyl)
2161	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
2162	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Br)
2163	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-F)
2164	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)
2165	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$)1-naphthyl)
2166	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)
2167	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)

2168	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
2169	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2Ph$
2170	pyridin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$-n-Bu
2171	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCOOCH_2Ph$
2172	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)
2173	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(3-pyridinyl)
2173	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thiazolyl)
2175	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thienyl)
2176	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$n-Bu
2177	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$
2178	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-CH_3)
2179	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Me_2)
2180	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
2181	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)
2182	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thienyl)
2183	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)
2184	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(2-Br)
2185	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-F)
2186	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
2187	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)
2188	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)
2189	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)

2189a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(4-pyridyl)
2189b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
2189c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)
2190	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dimethyl
2190a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl
2190b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl
2190c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl
2191	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dichloro
2192	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$
2193	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-n-Bu
2194	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$
2195	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)
2196	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
2197	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)

2198	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
2199	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)
2200	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(1-naphthyl)
2201	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)
2202	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
2203	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
2204	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2Ph$
2205	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)
2206	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(3-pyridinyl)
2207	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thiazolyl)
2208	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2$(2-thienyl)
2209	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu
2210	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$
2211	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-CH_3)
2212	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Me_2)
2213	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
2214	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)
2215	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thienyl)
2216	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)

2217	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
2218	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-Br)
2218	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-F)
2219	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
2220	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)
2221	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)
2222	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)
2222a	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(4-pyridyl)
2222b	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
2222c	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)
2223	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dimethyl
2223a	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl
2223b	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl
2223c	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl

2224	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dichloro
2225	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$
2226	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-n-Bu
2227	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$
2228	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)
2229	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
2230	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
2231	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)
2232	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$)1-naphthyl)
2233	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)
2234	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-4-Ph-2,6-dimethyl
2235	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-4-Ph-2,6-dichloro
2236	imidazolin-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2Ph$
2237	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$
2238	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-CH_3)
2239	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Me_2)
2240	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
2241	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-pyridyl)
2242	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thienyl)

2243	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)
2244	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
2245	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-Br)
2246	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-F)
2247	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
2248	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)
2249	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)
2250	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)
2251	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dimethyl
2252	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dichloro
2253	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$
2254	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-i-Bu
2255	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$
2256	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)
2257	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
2258	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
2259	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)
2260	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NH$)1-naphthyl)
2261	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)

2262	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-4-Ph-2,6-dimethyl
2263	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-4-Ph-2,6-dichloro
2264	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2NHCH_2Ph$
2265	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2CH_2Ph$
2266	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu
2267	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-CH_3)
2268	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Me_2)
2269	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
2270	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(3-pyridyl)
2271	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(2-thiazolyl)
2272	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)
2273	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-Br)
2274	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(3-F)
2275	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
2276	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(2-naphthyl)
2277	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(1-naphthyl)
2278	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_4$-(4-Ph)
2279	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dimethyl
2280	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2C_6H_2$-4-Ph-2,6-dichloro

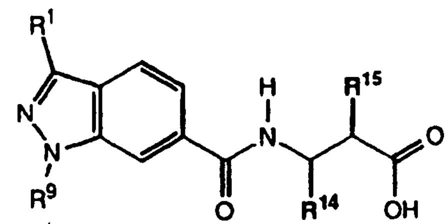
2281	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2CH_2Ph$
2282	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2$-i-Bu
2283	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHPh$
2284	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-CH_3)
2285	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
2286	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
2287	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NH$(2-naphthyl)
2288	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NH$)1-naphthyl)
2289	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_4$-(4-Ph)
2290	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-4-Ph-2,6-dimethyl
2291	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHSO_2NHC_6H_2$-4-Ph-2,6-dichloro
2292	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHCO_2$n-Bu
2293	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	H	$NHCO_2$i-Bu
2294	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	H	$NHSO_2Ph$
2295	imidazol-4-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2Ph$
2296	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)
2297	imidazol-4-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$(4-isoxazolyl)
2298	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]

2299	imidazol-4-ylamino-$(CH_2)_3$	H	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
2300	imidazol-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	$NHSO_2Ph$
2301	pyridin-2-ylamino-$(CH_2)_3$	H	H	3-pyridinyl	$NHSO_2Ph$
2302	imidazol-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	$NHSO_2Ph$
2303	pyridin-2-ylamino-$(CH_2)_3$	H	H	(3,4-methylene-dioxy)phenyl	$NHSO_2Ph$
2304	imidazol-2-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$
2305	imidazol-2-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$
2306	imidazol-2-ylamino-carbonyl-$(CH_2)_2$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-CH_3)3
2307	pyridin-2-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$
2308	pyridin-2-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$
2309	pyridin-2-ylamino-carbonyl-$(CH_2)_2$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-CH_3)3
2310	imidazolin-2-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$
2311	imidazolin-2-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$
2312	tetrahydropyrimidin-2-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$
2313	tetrahydropyrimidin-2-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$
2314	benzimidazol-2-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$
2315	benzimidazol-2-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$
2316	benzimidazol-2-ylamino-carbonyl-$(CH_2)_2$	H	H	H	$NHSO_2C_6H_2$-(2,4,6-CH_3)3
2317	2-aminopyridin-6-yl-$(CH_2)_2$	H	H	H	$NHSO_2Ph$
2318	2-aminopyridin-6-yl-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$

2319	2-iminoazepin-7-yl-$(CH_2)_2$	H	H	H	$NHSO_2Ph$	
2320	2-iminoazepin-7-yl-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$	
2321	imidazol-4-ylamino-$(CH_2)_2$	H	H	H	$NHSO_2Ph$	
2322	imidazol-4-ylamino-$(CH_2)_2$	H	H	H	$NHCO_2CH_2Ph$	
2323	imidazol-2-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
2324	imidazol-2-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
2325	pyridin-2-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
2326	pyridin-2-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
2327	imidazolin-2-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
2328	imidazolin-2-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	522.3
2329	tetrahydropyrimidin-2-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
2330	tetrahydropyrimidin-2-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
2331	benzimidazol-2-ylamino-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
2332	benzimidazol-2-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
2333	2-aminopyridin-6-yl-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
2334	2-aminopyridin-6-yl-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
2335	2-iminoazepin-7-yl-$(CH_2)_4$	H	H	H	$NHSO_2Ph$	
2336	2-iminoazepin-7-yl-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
2337	imidazol-4-ylamino-$(CH_2)_4$		H	H	$NHSO_2Ph$	
2338	imidazol-4-ylamino-$(CH_2)_4$	H	H	H	$NHCO_2CH_2Ph$	
2339	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)\text{-}CH_2$	H	H	H	$NHSO_2$-(1-naphthyl)	
2340	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)\text{-}CH_2$	H	H	H	$NHCO_2CH_2Ph$	
2341	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)\text{-}CH_2$	H	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)	

2342	pyridin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHSO_2$-(1-naphthyl)
2343	pyridin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHCO_2CH_2Ph$
2344	pyridin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
2345	imidazolin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHSO_2$-(1-naphthyl)
2346	imidazolin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHCO_2CH_2Ph$
2347	imidazolin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
2348	imidazolin-2-ylamino-(o-C_6H_4)-CH_2	H	H	H	$NHSO_2$-(1-naphthyl)
2349	imidazolin-2-ylamino-(o-C_6H_4)-CH_2	H	H	H	$NHCO_2CH_2Ph$
2350	imidazolin-2-ylamino-(o-C_6H_4)-CH_2	H	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)

Table 3



Ex. No.	R^1	R^9	R^{14}	R^{15}	MS
3001	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	
3002	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHCOOCH_2Ph$	
3002a	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHCOOCH_2Ph$	
3002b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2Ph$	
3002c	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2Ph$	
3003	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHCO_2CH_2C_6H_4$-(2-CH_3)	
3004	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)	
3005	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)	
3006	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	$NHCO_2CH_2$(2-pyridinyl)	
3007	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	$NHCO_2CH_2$(3-pyridinyl)	
3010	imidazol-4-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2$(2-thiazolyl)	

3015	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2$(4-isoxazolyl)
3016	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2$(2-thienyl)
3017	imidazolin-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2$n-Bu
3018	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2$i-Bu
3019	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2$t-Bu
3020	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	$NHSO_2$Ph
3020a	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$Ph
3020b	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2$Ph
3020c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$Ph
3020d	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$Ph
3021	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(2-CH_3)
3021a	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_3$-(2,6-Me_2)
3021b	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
3021c	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-Ph)
3021d	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-Ph)
3021e	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-Ph)
3021f	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-Ph)
3021g	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(3-pyridyl))
3021h	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(4-pyridyl))
3021i	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(2-furyl))

3021j	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(3-furyl))
3021k	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(5-pyrazolyl))
3021l	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
3021m	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(3-pyridyl))
3021n	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(4-pyridyl))
3021o	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(2-furyl))
3021p	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(3-furyl))
3021q	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(5-pyrazolyl))
3021r	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
3021s	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(3-pyridyl))
3021t	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(4-pyridyl))
3021u	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(2-furyl))

3021v	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(3-furyl))
3021w	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-(5-pyrazolyl))
3024	imidazol-4-ylamino-$(CH_2)_3$	H	H	$NHSO_2$(2-pyridyl)
3029	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$(4-isoxazolyl)
3030	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
3030a	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
3030b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
3030c	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
3031	imidazolin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(2-Br)
3032	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(3-Br)
3033	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(4-Br)
3034	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(2-F)
3035	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(3-F)
3038	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$(1-naphthyl)
3038a	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
3038b	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Cl_2-4-Ph)
3043	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$-i-Bu

3044	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$-t-Bu
3045	imidazol-2-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
3046	pyridin-2-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
3047	imidazolin-2-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
3048	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
3049	benzimidazol-2-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
3050	2-aminopyridin-6-yl-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
3051	2-iminoazepin-7-yl-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
3054	imidazol-4-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
3059	imidazol-2-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
3060	pyridin-2-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
3061	imidazolin-2-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
3062	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
3063	benzimidazol-2-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
3064	2-aminopyridin-6-yl-$(CH_2)_3$	H	3-pyridinyl	H

3065	2-iminoazepin-7-yl-$(CH_2)_3$	H	3-pyridinyl	H
3068	imidazol-4-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
3068a	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	H	$NHSO_2$-(1-naphthyl)
3068b	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	H	$NHCO_2CH_2Ph$
3068c	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
3068d	pyridin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	H	$NHSO_2$-(1-naphthyl)
3068e	pyridin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	H	$NHCO_2CH_2Ph$
3068f	pyridin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
3068g	imidazolin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	H	$NHSO_2$-(1-naphthyl)
3068h	imidazolin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	H	$NHCO_2CH_2Ph$
3068i	imidazolin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
3068j	imidazolin-2-ylamino-$(m\text{-}C_6H_4)$	H	H	$NHSO_2$-(1-naphthyl)
3068k	imidazolin-2-ylamino-$(m\text{-}C_6H_4)$	H	H	$NHCO_2CH_2Ph$
3068l	imidazolin-2-ylamino-$(m\text{-}C_6H_4)$	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
3075	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2Ph$
3076	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)
3077	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(3-pyridinyl)
3078	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thiazolyl)
3079	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thienyl)
3080	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(5-isoxazolyl)
3081	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2$n-Bu
3082	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOPh
3083	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2Ph$

3084	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2CH_2Ph$	
3085	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOCH=CHPh	
3086	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(3-pyridinyl)	
3087	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(2-thienyl)	
3088	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(cyclohexyl)	
3089	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOn-Bu	
3090	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCONHCH_2Ph$	
3091	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$	
3092	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-CH_3)	
3093	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-CH_3)$_2$	554.4
3094	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$	568.4
3095	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(3-pyridyl)	
3096	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thienyl)	
3097	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)	
3098	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]	
3099	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Br)	
3100	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-F)	
3101	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)	594.3
3102	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)	
3103	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)	
3104	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)	
3104a	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(4-pyridyl)	

3104b	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)	
3104c	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)	
3105	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)	630.3
3105a	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl	
3105b	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl	
3105c	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl	
3105d	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(4-pyridyl)-2,6-dimethyl	
3105e	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-furyl)-2,6-dimethyl	
3105f	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-furyl)-2,6-dimethyl	
3106	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)	670.3
3107	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H$-(4-Ph-2,6-dimethyl-3-chloro)	
3108	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$	
3109	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-n-Bu	

3110	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
3111	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
3112	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
3113	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
3114	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(3-pyridyl)
3115	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
3116	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Br)
3117	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-F)
3118	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
3119	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(1-naphthyl)
3120	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
3121	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
3122	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
3123	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHCH_2Ph$
3124	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$-n-Bu
3125	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)
3126	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(3-pyridinyl)
3127	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thiazolyl)
3128	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(4-isoxazolyl)
3129	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2$i-Bu

3130	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOPh	
3131	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2Ph$	
3132	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2CH_2Ph$	
3133	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOCH=CHPh	
3134	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(3-pyridinyl)	
3135	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(2-thienyl)	
3136	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(cyclohexyl)	
3137	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOn-Bu	
3138	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCONHCH_2Ph$	
3139	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$	
3140	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-CH_3)	
3141	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-$CH_3)_2$	
3142	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	579.4
3143	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(3-pyridyl)	
3144	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thienyl)	
3145	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)	
3146	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Br)	
3147	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-F)	
3148	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)	
3149	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)	
3150	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)	
3151	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)	
3151a	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(4-pyridyl)	

3151b	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
3151c	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)
3152	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
3152a	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl
3152b	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl
3152c	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl
3152d	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(4-pyridyl)-2,6-dimethyl
3152e	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-furyl)-2,6-dimethyl
3152f	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-furyl)-2,6-dimethyl
3153	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
3154	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
3155	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-n-Bu
3156	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
3157	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)

3158	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
3159	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
3160	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(3-pyridyl)
3161	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
3162	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Br)
3163	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-F)
3164	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
3165	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$)1-naphthyl)
3166	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
3167	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
3168	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
3169	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHCH_2Ph$
3170	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$-n-Bu
3171	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOOCH_2Ph$
3172	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)
3173	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(3-pyridinyl)
3173	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thiazolyl)
3175	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thienyl)
3176	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2$n-Bu
3177	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$

3178	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-CH_3)
3179	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Me_2)
3180	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
3181	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(3-pyridyl)
3182	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thienyl)
3183	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)
3184	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(2-Br)
3185	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-F)
3186	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
3187	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)
3188	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)
3189	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)
3189a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(4-pyridyl)
3189b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
3189c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)
3190	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
3190a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl
3190b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl

3190c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl
3190d	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(4-pyridyl)-2,6-dimethyl
3190e	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-furyl)-2,6-dimethyl
3190f	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-furyl)-2,6-dimethyl
3191	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
3192	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
3193	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-n-Bu
3194	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
3195	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
3196	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
3197	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
3198	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
3199	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
3200	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(1-naphthyl)
3201	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
3202	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)

3203	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
3204	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHCH_2Ph$
3205	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)
3206	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(3-pyridinyl)
3207	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thiazolyl)
3208	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thienyl)
3209	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2$i-Bu
3210	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
3211	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-CH_3)
3212	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Me_2)
3213	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
3214	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(3-pyridyl)
3215	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thienyl)
3216	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)
3217	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
3218	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-Br)
3218a	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-F)
3219	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
3220	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)
3221	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)
3222	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)

3222a	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(4-pyridyl)
3222b	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
3222c	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)
3223	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
3223a	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl
3223b	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl
3223c	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl
3223d	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(4-pyridyl)-2,6-dimethyl
3223e	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-furyl)-2,6-dimethyl
3223f	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-furyl)-2,6-dimethyl
3224	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
3225	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
3226	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-n-Bu
3227	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$

3228	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
3229	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
3230	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
3231	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
3232	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$)1-naphthyl)
3233	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
3234	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
3235	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
3236	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHCH_2Ph$
3237	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
3238	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-CH_3)
3239	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Me_2)
3240	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
3241	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(4-pyridyl)
3242	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thienyl)
3243	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)
3244	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
3245	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-Br)
3246	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-F)
3247	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)

3248	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)
3249	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)
3250	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)
3251	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
3252	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
3253	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
3254	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-i-Bu
3255	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
3256	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
3257	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
3258	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
3259	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
3260	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$)1-naphthyl)
3261	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
3262	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
3263	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
3264	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHCH_2Ph$
3265	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2Ph$
3266	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2$i-Bu

3267	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-CH_3)
3268	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Me_2)
3269	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
3270	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(3-pyridyl)
3271	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)
3272	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(4-isoxazolyl)
3273	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-Br)
3274	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-F)
3275	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
3276	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)
3277	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)
3278	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)
3279	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
3280	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
3281	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
3282	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$-i-Bu
3283	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
3284	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
3285	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
3286	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
3287	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)

3288	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NH)$1-naphthyl)
3289	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
3290	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
3291	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
3292	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHCO_2$n-Bu
3293	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHCO_2$i-Bu
3294	2-iminoazepin-7-yl-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
3295	imidazol-4-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
3296	2-iminoazepin-7-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(4-isoxazolyl)
3297	imidazol-4-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(4-isoxazolyl)
3298	2-iminoazepin-7-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
3299	imidazol-4-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
3300	imidazol-2-ylamino-$(CH_2)_3$	CH_3	3-pyridinyl	$NHSO_2Ph$
3301	pyridin-2-ylamino-$(CH_2)_3$	CH_3	3-pyridinyl	$NHSO_2Ph$
3302	imidazol-2-ylamino-$(CH_2)_3$	CH_3	(3,4-methylenedioxy)-phenyl	$NHSO_2Ph$
3303	pyridin-2-ylamino-$(CH_2)_3$	CH_3	(3,4-methylenedioxy)-phenyl	$NHSO_2Ph$
3304	imidazol-2-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$

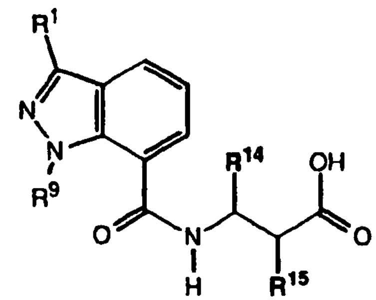
3305	imidazol-2-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
3306	imidazol-2-ylamino-carbonyl-$(CH_2)_2$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
3307	pyridin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
3308	pyridin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
3309	pyridin-2-ylamino-carbonyl-$(CH_2)_2$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
3310	imidazolin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
3311	imidazolin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
3312	tetrahydropyrimidin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
3313	tetrahydropyrimidin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
3314	benzimidazol-2-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
3315	benzimidazol-2-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
3316	benzimidazol-2-ylamino-carbonyl-$(CH_2)_2$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
3317	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
3318	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
3319	2-iminoazepin-7-yl-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
3320	2-iminoazepin-7-yl-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
3321	imidazol-4-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
3322	imidazol-4-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
3323	imidazol-2-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$
3324	imidazol-2-ylamino-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$
3325	pyridin-2-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$
3326	pyridin-2-ylamino-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$
3327	imidazolin-2-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$

3328	tetrahydropyrimidin-2-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$	
3329	tetrahydropyrimidin-2-ylamino-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$	
3330	benzimidazol-2-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$	
3331	benzimidazol-2-ylamino-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$	
3332	2-aminopyridin-6-yl-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$	
3333	2-aminopyridin-6-yl-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$	
3334	2-iminoazepin-7-yl-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$	
3335	2-iminoazepin-7-yl-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$	
3336	imidazol-4-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$	
3337	imidazol-4-ylamino-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$	
3338	imidazol-2-ylamino-$(CH_2)_3$	CH_2Ph	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	
3339	pyridin-2-ylamino-$(CH_2)_3$	CH_2Ph	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	655.3
3340	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	
3341	imidazol-2-ylamino-$(CH_2)_3$	$CH(CH_3)_2$	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	
3342	imidazol-2-ylamino-$(CH_2)_3$	cyclo-propyl	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	
3343	imidazol-2-ylamino-$(CH_2)_3$	CH_2-cyclo-propyl	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	
3344	imidazol-2-ylamino-$(CH_2)_3$	CH_2COOH	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	
3345	imidazol-2-ylamino-$(CH_2)_3$	$(CH_2)_2$-NMe_2	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	
3346	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2OMe	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$	

3347	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2Ph	H	$NHSO_2C_6H_2$-(2,4,6-CH_3)3
3348	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2OH	H	$NHSO_2C_6H_2$-(2,4,6-CH_3)3
3349	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_3	H	$NHSO_2C_6H_2$-(2,6-CH_3)2-4-Ph
3350	imidazol-2-ylamino-$(CH_2)_3$	$CH(CH_3)_2$	H	$NHSO_2C_6H_2$-(2,6-CH_3)2-4-Ph
3351	imidazol-2-ylamino-$(CH_2)_3$	cyclo-propyl	H	$NHSO_2C_6H_2$-(2,6-CH_3)2-4-Ph
3352	imidazol-2-ylamino-$(CH_2)_3$	CH_2-cyclo-propyl	H	$NHSO_2C_6H_2$-(2,6-CH_3)2-4-Ph
3353	imidazol-2-ylamino-$(CH_2)_3$	CH_2COOH	H	$NHSO_2C_6H_2$-(2,6-CH_3)2-4-Ph
3354	imidazol-2-ylamino-$(CH_2)_3$	$(CH_2)_2$-NMe_2	H	$NHSO_2C_6H_2$-(2,6-CH_3)2-4-Ph
3355	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2OMe	H	$NHSO_2C_6H_2$-(2,6-CH_3)2-4-Ph
3356	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2Ph	H	$NHSO_2C_6H_2$-(2,6-CH_3)2-4-Ph
3357	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2OH	H	$NHSO_2C_6H_2$-(2,6-CH_3)2-4-Ph
3358	imidazol-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHSO_2$-(1-naphthyl)
3359	imidazol-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHCO_2CH_2Ph$

3360	imidazol-2-ylamino-$CH_2(o-C_6H_4)$	CH_3	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
3361	pyridin-2-ylamino-$CH_2(o-C_6H_4)$	CH_3	H	$NHSO_2$-(1-naphthyl)
3362	pyridin-2-ylamino-$CH_2(o-C_6H_4)$	CH_3	H	$NHCO_2CH_2Ph$
3363	pyridin-2-ylamino-$CH_2(o-C_6H_4)$	CH_3	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
3364	imidazolin-2-ylamino-$CH_2(o-C_6H_4)$	CH_3	H	$NHSO_2$-(1-naphthyl)
3365	imidazolin-2-ylamino-$CH_2(o-C_6H_4)$	CH_3	H	$NHCO_2CH_2Ph$
3366	imidazolin-2-ylamino-$CH_2(o-C_6H_4)$	CH_3	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
3367	imidazolin-2-ylamino-$(m-C_6H_4)$	CH_3	H	$NHSO_2$-(1-naphthyl)
3368	imidazolin-2-ylamino-$(m-C_6H_4)$	CH_3	H	$NHCO_2CH_2Ph$
3369	imidazolin-2-ylamino-$(m-C_6H_4)$	CH_3	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)

Table 4



Ex. No.	R^1	R^9	R^{14}	R^{15}	MS
4001	imidazol-2-ylamino-$(CH_2)_3$	H	H	H	
4002	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHCOOCH_2Ph$	
4002a	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHCOOCH_2Ph$	
4002b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2Ph$	
4002c	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2Ph$	
4003	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHCO_2CH_2C_6H_4$-(2-CH_3)	
4004	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)	
4005	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)	
4006	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	$NHCO_2CH_2$(2-pyridinyl)	
4007	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	$NHCO_2CH_2$(3-pyridinyl)	

4010	imidazol-4-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2$(2-thiazolyl)
4015	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2$(4-isoxazolyl)
4016	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2CH_2$(2-thienyl)
4017	imidazolin-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2$n-Bu
4018	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2$i-Bu
4019	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	$NHCO_2$t-Bu
4020	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	$NHSO_2Ph$
4020a	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2Ph$
4020b	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2Ph$
4020c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2Ph$
4020d	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2Ph$
4021	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(2-CH_3)
4021a	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_3$-(2,6-Me_2)
4021b	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
4021c	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-Ph)
4021d	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-Ph)
4021e	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-Ph)
4021f	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Me_2-4-Ph)
4024	imidazol-4-ylamino-$(CH_2)_3$	H	H	$NHSO_2$(2-pyridyl)
4029	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$(4-isoxazolyl)
4030	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$-[4-(3,5-dim-ethyl)isoxazolyl]

4030a	imidazolin-2-yl amino-$(CH_2)_3$	H	H	$NHSO_2$-[4-(3,5-dim-ethyl)isoxazolyl]
4030b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$-[4-(3,5-dim-ethyl)isoxazolyl]
4030c	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$-[4-(3,5-dim-ethyl)isoxazolyl]
4031	imidazolin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(2-Br)
4032	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(3-Br)
4033	benzimidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(4-Br)
4034	2-aminopyridin-6-yl-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(2-F)
4035	2-iminoazepin-7-yl-$(CH_2)_3$	H	H	$NHSO_2C_6H_4$-(3-F)
4038	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$(1-naphthyl)
4038a	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
4038b	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2C_6H_2$-(2,6-Cl_2-4-Ph)
4043	imidazol-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$-i-Bu
4044	pyridin-2-ylamino-$(CH_2)_3$	H	H	$NHSO_2$-t-Bu
4045	imidazol-2-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
4046	pyridin-2-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
4047	imidazolin-2-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H

4048	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
4049	benzimidazol-2-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
4050	2-aminopyridin-6-yl-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
4051	2-iminoazepin-7-yl-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
4054	imidazol-4-ylamino-$(CH_2)_3$	H	(3,4-methylenedioxy)phenyl	H
4059	imidazol-2-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
4060	pyridin-2-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
4061	imidazolin-2-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
4062	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
4063	benzimidazol-2-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
4064	2-aminopyridin-6-yl-$(CH_2)_3$	H	3-pyridinyl	H
4065	2-iminoazepin-7-yl-$(CH_2)_3$	H	3-pyridinyl	H
4068	imidazol-4-ylamino-$(CH_2)_3$	H	3-pyridinyl	H
4068a	imidazol-2-ylamino-$CH_2(o-C_6H_4)$	H	H	$NHSO_2$-(1-naphthyl)
4068b	imidazol-2-ylamino-$CH_2(o-C_6H_4)$	H	H	$NHCO_2CH_2Ph$
4068c	imidazol-2-ylamino-$CH_2(o-C_6H_4)$	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
4068d	pyridin-2-ylamino-$CH_2(o-C_6H_4)$	H	H	$NHSO_2$-(1-naphthyl)
4068e	pyridin-2-ylamino-$CH_2(o-C_6H_4)$	H	H	$NHCO_2CH_2Ph$

4068f	pyridin-2-ylamino-CH_2(o-C_6H_4)	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
4068g	imidazolin-2-ylamino-CH_2(o-C_6H_4)	H	H	$NHSO_2$-(1-naphthyl)
4068h	imidazolin-2-ylamino-CH_2(o-C_6H_4)	H	H	$NHCO_2CH_2Ph$
4068i	imidazolin-2-ylamino-CH_2(o-C_6H_4)	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
4068j	imidazolin-2-ylamino-(m-C_6H_4)	H	H	$NHSO_2$-(1-naphthyl)
4068k	imidazolin-2-ylamino-(m-C_6H_4)	H	H	$NHCO_2CH_2Ph$
4068l	imidazolin-2-ylamino-(m-C_6H_4)	H	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
4075	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2Ph$
4076	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)
4077	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(3-pyridinyl)
4078	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thiazolyl)
4079	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thienyl)
4080	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(5-isoxazolyl)
4081	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2$n-Bu
4082	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOPh
4083	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2Ph$
4084	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2CH_2Ph$
4085	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOCH=CHPh
4086	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(3-pyridinyl)
4087	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(2-thienyl)
4088	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(cyclohexyl)
4089	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOn-Bu
4090	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCONHCH_2Ph$

4091	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
4092	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-CH_3)
4093	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-$CH_3)_2$
4094	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4095	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(3-pyridyl)
4096	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thienyl)
4097	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)
4098	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
4099	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Br)
4100	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-F)
4101	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
4102	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)
4103	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)
4104	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)
4104a	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(4-pyridyl)
4104b	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
4104c	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)
4105	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
4105a	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl

4105b	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl
4105c	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl
4106	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
4107	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H$-(4-Ph-2,6-dimethyl-3-chloro)
4108	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
4109	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-n-Bu
4110	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
4111	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
4112	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
4113	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
4114	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(3-pyridyl)
4115	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
4116	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Br)
4117	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-F)
4118	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
4119	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(1-naphthyl)
4120	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)

4121	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
4122	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
4123	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHCH_2Ph$
4124	imidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$-n-Bu
4125	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)
4126	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(3-pyridinyl)
4127	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thiazolyl)
4128	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(4-isoxazolyl)
4129	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2$i-Bu
4130	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOPh
4131	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2Ph$
4132	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2CH_2Ph$
4133	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOCH=CHPh
4134	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(3-pyridinyl)
4135	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(2-thienyl)
4136	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOCH_2$(cyclohexyl)
4137	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	NHCOn-Bu
4138	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCONHCH_2Ph$
4139	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
4140	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-CH_3)
4141	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-$CH_3)_2$

4142	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4143	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(3-pyridyl)
4144	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thienyl)
4145	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)
4146	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Br)
4147	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-F)
4148	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
4149	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)
4150	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)
4151	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)
4151a	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(4-pyridyl)
4151b	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
4151c	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)
4152	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
4152a	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl
4152b	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl
4152c	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl

4153	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
4154	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
4155	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-n-Bu
4156	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
4157	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
4158	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
4159	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
4160	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(3-pyridyl)
4161	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
4162	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Br)
4163	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-F)
4164	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
4165	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$)1-naphthyl)
4166	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
4167	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
4168	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
4169	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHCH_2Ph$
4170	pyridin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$-n-Bu
4171	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCOOCH_2Ph$

4172	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)
4173	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(3-pyridinyl)
4173	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thiazolyl)
4175	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thienyl)
4176	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2$n-Bu
4177	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
4178	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-CH_3)
4179	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Me_2)
4180	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
4181	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(3-pyridyl)
4182	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thienyl)
4183	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)
4184	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(2-Br)
4185	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-F)
4186	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
4187	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)
4188	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)
4189	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)
4189a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(4-pyridyl)
4189b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
4189c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)

4190	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
4190a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl
4190b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-oxa-zolyl)-2,6-dimethyl
4190c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyra-zolyl)-2,6-dimethyl
4191	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
4192	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
4193	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-n-Bu
4194	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
4195	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
4196	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
4197	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
4198	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
4199	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
4200	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(1-naphthyl)
4201	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
4202	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)

4203	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
4204	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHCH_2Ph$
4205	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)
4206	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(3-pyridinyl)
4207	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thiazolyl)
4208	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2$(2-thienyl)
4209	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2$i-Bu
4210	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
4211	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-CH_3)
4212	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Me_2)
4213	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
4214	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(3-pyridyl)
4215	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thienyl)
4216	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)
4217	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
4218	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-Br)
4218a	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-F)
4219	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
4220	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)
4221	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)
4222	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)

4223	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
4224	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
4225	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
4226	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-n-Bu
4227	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
4228	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
4229	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
4230	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
4231	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
4232	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$)1-naphthyl)
4233	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
4234	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
4235	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
4236	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHCH_2Ph$
4237	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
4238	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-CH_3)
4239	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Me_2)
4240	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
4241	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(4-pyridyl)

4242	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thienyl)
4243	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)
4244	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
4245	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-Br)
4246	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-F)
4247	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
4248	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)
4249	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)
4250	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)
4250a	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(4-pyridyl)
4250b	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(2-oxazolyl)
4250c	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-4-(3-pyrazolyl)
4251	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
4251a	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyridyl)-2,6-dimethyl)
4251b	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(2-oxazolyl)-2,6-dimethyl)
4251c	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-4-(3-pyrazolyl)-2,6-dimethyl)

4252	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
4253	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
4254	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-i-Bu
4255	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
4256	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
4257	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
4258	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
4259	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
4260	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NH$)1-naphthyl)
4261	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
4262	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
4263	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
4264	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2NHCH_2Ph$
4265	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2CH_2Ph$
4266	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	H	$NHCO_2$i-Bu
4267	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-CH_3)
4268	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Me_2)
4269	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-Me_3)
4270	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(3-pyridyl)
4271	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-thiazolyl)

4272	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(4-isoxazolyl)
4273	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-Br)
4274	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(3-F)
4275	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_3$-(2,6-Cl_2)
4276	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(2-naphthyl)
4277	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(1-naphthyl)
4278	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_4$-(4-Ph)
4279	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
4280	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
4281	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2CH_2Ph$
4282	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$-i-Bu
4283	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHPh$
4284	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-CH_3)
4285	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_3$-(2,6-Me_2)
4286	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6C_2$-(2,4,6-Me_3)
4287	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NH$(2-naphthyl)
4288	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NH$)1-naphthyl)
4289	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_4$-(4-Ph)
4290	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)

4291	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
4292	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHCO_2$n-Bu
4293	2-aminopyridin-6-yl-$(CH_2)_3$	CH_3	H	$NHCO_2$i-Bu
4294	2-iminoazepin-7-yl-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
4295	imidazol-4-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2Ph$
4296	2-iminoazepin-7-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$(4-isoxazolyl)
4297	imidazol-4-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$(4-isoxazolyl)
4298	2-iminoazepin-7-yl-$(CH_2)_3$	CH_3	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
4299	imidazol-4-ylamino-$(CH_2)_3$	CH_3	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
4300	imidazol-2-ylamino-$(CH_2)_3$	CH_3	3-pyridinyl	$NHSO_2Ph$
4301	pyridin-2-ylamino-$(CH_2)_3$	CH_3	3-pyridinyl	$NHSO_2Ph$
4302	imidazol-2-ylamino-$(CH_2)_3$	CH_3	(3,4-methylenedioxy)-phenyl	$NHSO_2Ph$
4303	pyridin-2-ylamino-$(CH_2)_3$	CH_3	(3,4-methylenedioxy)-phenyl	$NHSO_2Ph$
4304	imidazol-2-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
4305	imidazol-2-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
4306	imidazol-2-ylamino-carbonyl-$(CH_2)_2$	CH_3	H	$NHSO_2C_6H_2$-$(2,4,6\text{-}CH_3)_3$
4307	pyridin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
4308	pyridin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$

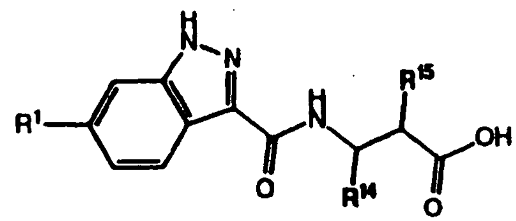
4309	pyridin-2-ylamino-carbonyl-$(CH_2)_2$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4310	imidazolin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
4311	imidazolin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
4312	tetrahydropyrimidin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
4313	tetrahydropyrimidin-2-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
4314	benzimidazol-2-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
4315	benzimidazol-2-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
4316	benzimidazol-2-ylamino-carbonyl-$(CH_2)_2$	CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4317	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
4318	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
4319	2-iminoazepin-7-yl-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
4320	2-iminoazepin-7-yl-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
4321	imidazol-4-ylamino-$(CH_2)_2$	CH_3	H	$NHSO_2Ph$
4322	imidazol-4-ylamino-$(CH_2)_2$	CH_3	H	$NHCO_2CH_2Ph$
4323	imidazol-2-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$
4324	imidazol-2-ylamino-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$
4325	pyridin-2-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$
4326	pyridin-2-ylamino-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$
4327	imidazolin-2-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$
4328	tetrahydropyrimidin-2-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$
4329	tetrahydropyrimidin-2-ylamino-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$
4330	benzimidazol-2-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$
4331	benzimidazol-2-ylamino-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$

4332	2-aminopyridin-6-yl-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$
4333	2-aminopyridin-6-yl-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$
4334	2-iminoazepin-7-yl-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$
4335	2-iminoazepin-7-yl-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$
4336	imidazol-4-ylamino-$(CH_2)_4$	CH_3	H	$NHSO_2Ph$
4337	imidazol-4-ylamino-$(CH_2)_4$	CH_3	H	$NHCO_2CH_2Ph$
4338	imidazol-2-ylamino-$(CH_2)_3$	CH_2Ph	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4339	pyridin-2-ylamino-$(CH_2)_3$	CH_2Ph	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4340	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_3	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4341	imidazol-2-ylamino-$(CH_2)_3$	$CH(CH_3)_2$	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4342	imidazol-2-ylamino-$(CH_2)_3$	cyclo-propyl	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4343	imidazol-2-ylamino-$(CH_2)_3$	CH_2-cyclo-propyl	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4344	imidazol-2-ylamino-$(CH_2)_3$	CH_2COOH	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4345	imidazol-2-ylamino-$(CH_2)_3$	$(CH_2)_2$-NMe_2	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4346	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2OMe	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4347	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2Ph	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4348	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2OH	H	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
4349	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_3	H	$NHSO_2C_6H_2$-(2,6-$CH_3)_2$-4-Ph

4350	imidazol-2-ylamino-$(CH_2)_3$	$CH(CH_3)_2$	H	$NHSO_2C_6H_2$-(2,6-$CH_3)_2$-4-Ph
4351	imidazol-2-ylamino-$(CH_2)_3$	cyclo-propyl	H	$NHSO_2C_6H_2$-(2,6-$CH_3)_2$-4-Ph
4352	imidazol-2-ylamino-$(CH_2)_3$	CH_2-cyclo-propyl	H	$NHSO_2C_6H_2$-(2,6-$CH_3)_2$-4-Ph
4353	imidazol-2-ylamino-$(CH_2)_3$	CH_2COOH	H	$NHSO_2C_6H_2$-(2,6-$CH_3)_2$-4-Ph
4354	imidazol-2-ylamino-$(CH_2)_3$	$(CH_2)_2$-NMe_2	H	$NHSO_2C_6H_2$-(2,6-$CH_3)_2$-4-Ph
4355	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2OMe	H	$NHSO_2C_6H_2$-(2,6-$CH_3)_2$-4-Ph
4356	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2Ph	H	$NHSO_2C_6H_2$-(2,6-$CH_3)_2$-4-Ph
4357	imidazol-2-ylamino-$(CH_2)_3$	CH_2CH_2OH	H	$NHSO_2C_6H_2$-(2,6-$CH_3)_2$-4-Ph
4358	imidazol-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHSO_2$-(1-naphthyl)
4359	imidazol-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHCO_2CH_2Ph$
4360	imidazol-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
4361	pyridin-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHSO_2$-(1-naphthyl)
4362	pyridin-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHCO_2CH_2Ph$
4363	pyridin-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)

4364	imidazolin-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHSO_2$-(1-naphthyl)
4365	imidazolin-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHCO_2CH_2Ph$
4366	imidazolin-2-ylamino-CH_2(o-C_6H_4)	CH_3	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
4367	imidazolin-2-ylamino-(m-C_6H_4)	CH_3	H	$NHSO_2$-(1-naphthyl)
4368	imidazolin-2-ylamino-(m-C_6H_4)	CH_3	H	$NHCO_2CH_2Ph$
4369	imidazolin-2-ylamino-(m-C_6H_4)	CH_3	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)

Table 5



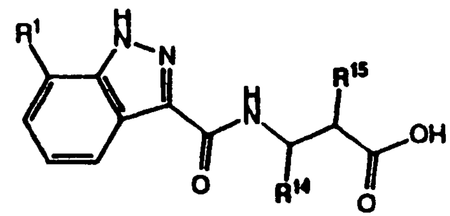
Ex. No.	R^1	R^{14}	R^{15}	MS
5001	imidazol-2-ylamino-$(CH_2)_3$	H	H	
5002	pyridin-2-ylamino-$(CH_2)_3$	H	$NHCOOCH_2Ph$	
5003	imidazolin-2-yl amino-$(CH_2)_3$	H	$NHCO_2CH_2C_6H_4$-(2-CH_3)	
5004	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)	
5005	benzimidazol-2-ylamino-$(CH_2)_3$	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)	
5006	2-aminopyridin-6-yl-$(CH_2)_3$	H	$NHCO_2CH_2$(2-pyridinyl)	
5007	2-iminoazepin-7-yl-$(CH_2)_3$	H	$NHCO_2CH_2$(3-pyridinyl)	
5010	imidazol-4-ylamino-$(CH_2)_3$	H	$NHCO_2CH_2$(2-thiazolyl)	
5015	imidazol-2-ylamino-$(CH_2)_3$	H	$NHCO_2CH_2$(4-isoxazolyl)	
5016	pyridin-2-ylamino-$(CH_2)_3$	H	$NHCO_2CH_2$(2-thienyl)	
5017	imidazolin-2-ylamino-$(CH_2)_3$	H	$NHCO_2$n-Bu	
5018	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	$NHCO_2$i-Bu	
5019	benzimidazol-2-ylamino-$(CH_2)_3$	H	$NHCO_2$t-Bu	

5020	2-aminopyridin-6-yl-$(CH_2)_3$	H	$NHSO_2Ph$
5021	2-iminoazepin-7-yl-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(2-CH_3)
5024	imidazol-4-ylamino-$(CH_2)_3$	H	$NHSO_2$(2-pyridyl)
5029	imidazol-2-ylamino-$(CH_2)_3$	H	$NHSO_2$(4-isoxazolyl)
5030	pyridin-2-ylamino-$(CH_2)_3$	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
5031	imidazolin-2-ylamino-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(2-Br)
5032	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(3-Br)
5033	benzimidazol-2-ylamino-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(4-Br)
5034	2-aminopyridin-6-yl-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(2-F)
5035	2-iminoazepin-7-yl-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(3-F)
5038	imidazol-4-ylamino-$(CH_2)_3$	H	$NHSO_2$(1-naphthyl)
5043	imidazol-2-ylamino-$(CH_2)_3$	H	$NHSO_2$-i-Bu
5044	pyridin-2-ylamino-$(CH_2)_3$	H	$NHSO_2$-t-Bu
5045	imidazol-2-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H
5046	pyridin-2-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H
5047	imidazolin-2-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H
5048	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H

5049	benzimidazol-2-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H
5050	2-aminopyridin-6-yl-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H
5051	2-iminoazepin-7-yl-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H
5054	imidazol-4-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H
5059	imidazol-2-ylamino-$(CH_2)_3$	3-pyridinyl	H
5060	pyridin-2-ylamino-$(CH_2)_3$	3-pyridinyl	H
5061	imidazolin-2-ylamino-$(CH_2)_3$	3-pyridinyl	H
5062	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	3-pyridinyl	H
5063	benzimidazol-2-ylamino-$(CH_2)_3$	3-pyridinyl	H
5064	2-aminopyridin-6-yl-$(CH_2)_3$	3-pyridinyl	H
5065	2-iminoazepin-7-yl-$(CH_2)_3$	3-pyridinyl	H
5068	imidazol-4-ylamino-$(CH_2)_3$	3-pyridinyl	H
5069	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHSO_2$-(1-naphthyl)
5070	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHCO_2CH_2Ph$
5071	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
5072	pyridin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHSO_2$-(1-naphthyl)
5073	pyridin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHCO_2CH_2Ph$
5074	pyridin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
5075	imidazolin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHSO_2$-(1-naphthyl)

5076	imidazolin-2-ylamino-CH_2(o-C_6H_4)	H	$NHCO_2CH_2Ph$
5077	imidazolin-2-ylamino-CH_2(o-C_6H_4)	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
5078	imidazolin-2-ylamino-(m-C_6H_4)	H	$NHSO_2$-(1-naphthyl)
5079	imidazolin-2-ylamino-(m-C_6H_4)	H	$NHCO_2CH_2Ph$
5080	imidazolin-2-ylamino-(m-C_6H_4)	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)

Table 6



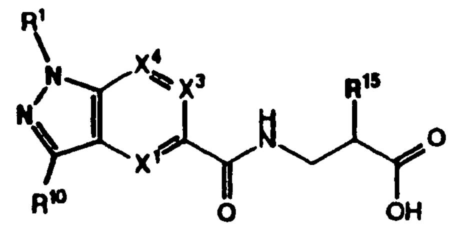
Ex. No.	R^1	R^{14}	R^{15}	MS
6001	imidazol-2-ylamino-$(CH_2)_3$	H	H	
6002	pyridin-2-ylamino-$(CH_2)_3$	H	$NHCOOCH_2Ph$	
6003	imidazolin-2-yl amino-$(CH_2)_3$	H	$NHCO_2CH_2C_6H_4$-(2-CH_3)	
6004	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	$NHCO_2CH_2C_6H_4$-(3-CH_3)	
6005	benzimidazol-2-ylamino-$(CH_2)_3$	H	$NHCO_2CH_2C_6H_4$-(4-CH_3)	
6006	2-aminopyridin-6-yl-$(CH_2)_3$	H	$NHCO_2CH_2$(2-pyridinyl)	
6007	2-iminoazepin-7-yl-$(CH_2)_3$	H	$NHCO_2CH_2$(3-pyridinyl)	
6010	imidazol-4-ylamino-$(CH_2)_3$	H	$NHCO_2CH_2$(2-thiazolyl)	
6015	imidazol-2-ylamino-$(CH_2)_3$	H	$NHCO_2CH_2$(4-isoxazolyl)	
6016	pyridin-2-ylamino-$(CH_2)_3$	H	$NHCO_2CH_2$(2-thienyl)	
6017	imidazolin-2-ylamino-$(CH_2)_3$	H	$NHCO_2$n-Bu	
6018	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	$NHCO_2$i-Bu	
6019	benzimidazol-2-ylamino-$(CH_2)_3$	H	$NHCO_2$t-Bu	

6020	2-aminopyridin-6-yl-$(CH_2)_3$	H	$NHSO_2Ph$
6021	2-iminoazepin-7-yl-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(2-CH_3)
6024	imidazol-4-ylamino-$(CH_2)_3$	H	$NHSO_2$(2-pyridyl)
6029	imidazol-2-ylamino-$(CH_2)_3$	H	$NHSO_2$(4-isoxazolyl)
6030	pyridin-2-ylamino-$(CH_2)_3$	H	$NHSO_2$-[4-(3,5-dimethyl)isoxazolyl]
6031	imidazolin-2-ylamino-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(2-Br)
6032	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(3-Br)
6033	benzimidazol-2-ylamino-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(4-Br)
6034	2-aminopyridin-6-yl-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(2-F)
6035	2-iminoazepin-7-yl-$(CH_2)_3$	H	$NHSO_2C_6H_4$-(3-F)
6038	imidazol-4-ylamino-$(CH_2)_3$	H	$NHSO_2$(1-naphthyl)
6043	imidazol-2-ylamino-$(CH_2)_3$	H	$NHSO_2$-i-Bu
6044	pyridin-2-ylamino-$(CH_2)_3$	H	$NHSO_2$-t-Bu
6045	imidazol-2-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H
6046	pyridin-2-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H
6047	imidazolin-2-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H
6048	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl	H

6049	benzimidazol-2-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl		H
6050	2-aminopyridin-6-yl-$(CH_2)_3$	(3,4-methylenedioxy)phenyl		H
6051	2-iminoazepin-7-yl-$(CH_2)_3$	(3,4-methylenedioxy)phenyl		H
6054	imidazol-4-ylamino-$(CH_2)_3$	(3,4-methylenedioxy)phenyl		H
6059	imidazol-2-ylamino-$(CH_2)_3$	3-pyridinyl		H
6060	pyridin-2-ylamino-$(CH_2)_3$	3-pyridinyl		H
6061	imidazolin-2-ylamino-$(CH_2)_3$	3-pyridinyl		H
6062	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	3-pyridinyl		H
6063	benzimidazol-2-ylamino-$(CH_2)_3$	3-pyridinyl		H
6064	2-aminopyridin-6-yl-$(CH_2)_3$	3-pyridinyl		H
6065	2-iminoazepin-7-yl-$(CH_2)_3$	3-pyridinyl		H
6068	imidazol-4-ylamino-$(CH_2)_3$	3-pyridinyl		H
6069	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHSO_2$-(1-naphthyl)	
6070	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHCO_2CH_2Ph$	
6071	imidazol-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)	
6072	pyridin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHSO_2$-(1-naphthyl)	
6073	pyridin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHCO_2CH_2Ph$	
6074	pyridin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)	
6075	imidazolin-2-ylamino-$CH_2(o\text{-}C_6H_4)$	H	$NHSO_2$-(1-naphthyl)	

6076	imidazolin-2-ylamino-CH_2(o-C_6H_4)	H	$NHCO_2CH_2Ph$
6077	imidazolin-2-ylamino-CH_2(o-C_6H_4)	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)
6078	imidazolin-2-ylamino-(m-C_6H_4)	H	$NHSO_2$-(1-naphthyl)
6079	imidazolin-2-ylamino-(m-C_6H_4)	H	$NHCO_2CH_2Ph$
6080	imidazolin-2-ylamino-(m-C_6H_4)	H	$NHSO_2C_6C_2$-(2,4,6-Me_3)

Table 7



Ex. No.	R^1	R^{10}	X^1	X^3	X^4	R^{15}	MS
7001	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHCO_2CH_2Ph$	
7002	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHCO_2$n-Bu	
7003	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHCO_2$i-Bu	
7004	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	NHCOPh	
7005	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCOCH_2Ph$	
7006	imidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHCOCH_2CH_2Ph$	
7007	imidazol-2-ylamino-$(CH_2)_3$	CH_3	N	CH	CH	NHCOCH=CHPh	
7008	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	NHCOn-Bu	
7009	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2Ph$	
7010	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-(2-CH_3)	
7011	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)	
7012	imidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)	

7013	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_3$-(2,6-CH_3)$_2$
7014	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$
7015	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(2-pyridyl)
7016	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2$(3-pyridyl)
7017	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(4-pyridyl)
7018	imidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$(2-thienyl)
7019	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
7020	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_3$-(2,6-Cl_2)
7021	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(2-naphthyl)
7022	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2$(1-naphthyl)
7023	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-(4-Ph)
7024	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
7025	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
7026	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)
7027	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl
7028	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
7029	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)

7030	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
7031	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro
7031a	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl
7031b	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
7031c	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl
7031d	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
7032	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2CH_2Ph$
7033	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$-n-Bu
7034	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NHPh$
7035	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_3$-(2,6-Me_2)
7036	imidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
7037	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$(2-naphthyl)
7038	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$(1-naphthyl)
7039	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHC_6H_4$-(4-Ph)
7040	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)

7041	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
7042	imidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHCH_2Ph$
7043	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$-n-Bu
7044	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$-i-Bu
7045	imidazol-2-ylamino-$(CH_2)_3$	CH_3	N	CH	CH	$NHSO_2NH$-t-Bu
7046	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHCO_2CH_2Ph$
7047	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCO_2$n-Bu
7048	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHCO_2$i-Bu
7049	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	NHCOPh
7050	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHCOCH_2Ph$
7051	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHCOCH_2CH_2Ph$
7052	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	NHCOCH=CHPh
7053	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	NHCOn-Bu
7054	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2Ph$
7055	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-(2-CH_3)
7056	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)
7057	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)
7058	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_3$-(2,6-CH_3)$_2$
7059	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$
7060	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(2-pyridyl)
7061	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHSO_2$(3-pyridyl)
7062	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$(4-pyridyl)

7063	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$(2-thienyl)
7064	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
7065	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_3$-(2,6-Cl_2)
7066	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(2-naphthyl)
7067	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2$(1-naphthyl)
7068	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-(4-Ph)
7069	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
7070	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
7071	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)
7072	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl
7073	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
7074	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)
7075	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
7076	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro
7076a	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl

7076b	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
7076c	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl
7076d	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
7077	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2CH_2Ph$
7078	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$-n-Bu
7079	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHPh$
7080	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NHC_6H_3$-(2,6-Me_2)
7081	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
7082	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$(2-naphthyl)
7083	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$(1-naphthyl)
7084	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHC_6H_4$-(4-Ph)
7085	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
7086	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
7087	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHCH_2Ph$
7088	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$-n-Bu
7089	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$-i-Bu
7090	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NH$-t-Bu
7091	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	C_2H_5	N	CH	CH	$NHCO_2CH_2Ph$

7092	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHCO_2$n-Bu
7093	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHCO_2$i-Bu
7094	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	NHCOPh
7095	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCOCH_2Ph$
7096	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHCOCH_2CH_2Ph$
7097	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	NHCOCH=CHPh
7098	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	NHCOn-Bu
7099	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2Ph$
7100	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-(2-CH_3)
7101	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)
7102	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)
7103	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_3$-(2,6-$CH_3)_2$
7104	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
7105	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(2-pyridyl)
7106	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2$(3-pyridyl)
7107	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$(4-pyridyl)
7108	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	N	N	CH	$NHSO_2$(2-thienyl)
7109	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
7110	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_3$-(2,6-Cl_2)
7111	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(2-naphthyl)
7112	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2$(1-naphthyl)
7113	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-(4-Ph)

7114	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
7115	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
7116	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)
7117	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl
7118	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
7119	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)
7120	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
7121	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro
7121a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl
7121b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
7121c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl
7121d	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
7122	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHSO_2CH_2Ph$

7123	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$-n-Bu
7124	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NHPh$
7125	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_3$-(2,6-Me_2)
7126	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
7127	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$(2-naphthyl)
7128	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$(1-naphthyl)
7129	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHC_6H_4$-(4-Ph)
7130	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
7131	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
7132	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHCH_2Ph$
7133	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$-n-Bu
7134	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$-i-Bu
7135	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$-t-Bu
7136	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHCO_2CH_2Ph$
7137	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCO_2$n-Bu
7138	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHCO_2$i-Bu
7139	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	NHCOPh
7140	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHCOCH_2Ph$
7141	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHCOCH_2CH_2Ph$
7142	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	NHCOCH=CHPh
7143	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	NHCOn-Bu

7144	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2Ph$
7145	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-(2-CH_3)
7146	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)
7147	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)
7148	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_3$-(2,6-CH_3)$_2$
7149	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$
7150	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(2-pyridyl)
7151	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2$(3-pyridyl)
7152	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$(4-pyridyl)
7153	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$(2-thienyl)
7154	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
7155	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_3$-(2,6-Cl_2)
7156	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(2-naphthyl)
7157	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2$(1-naphthyl)
7158	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-(4-Ph)
7159	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
7160	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
7161	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)
7162	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl

7163	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
7164	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)
7165	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
7166	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro
7166a	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl
7166b	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
7166c	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl
7166d	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
7167	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2CH_2Ph$
7168	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$-n-Bu
7169	imidazolin-2-ylamino-$(CH_2)_3$	Br	N	CH	CH	$NHSO_2NHPh$
7170	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NHC_6H_3$-(2,6-Me_2)
7171	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
7172	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$(2-naphthyl)
7173	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$(1-naphthyl)
7174	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHC_6H_4$-(4-Ph)

7175	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
7176	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
7177	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHCH_2Ph$
7178	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$-n-Bu
7179	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$-i-Bu
7180	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NH$-t-Bu
7181	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHCO_2CH_2Ph$
7182	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHCO_2$n-Bu
7183	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHCO_2$i-Bu
7184	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	NHCOPh
7185	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCOCH_2Ph$
7186	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHCOCH_2CH_2Ph$
7187	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	NHCOCH=CHPh
7188	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	NHCOn-Bu
7189	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2Ph$
7190	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-(2-CH_3)
7191	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)
7192	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)
7193	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_3$-$(2,6\text{-}CH_3)_2$
7194	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_2$-$(2,4,6\text{-}CH_3)_3$
7195	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(2-pyridyl)

7196	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2$(3-pyridyl)
7197	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$(4-pyridyl)
7198	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$(2-thienyl)
7199	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
7200	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_3$-(2,6-Cl_2)
7201	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(2-naphthyl)
7202	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2$(1-naphthyl)
7203	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-(4-Ph)
7204	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
7205	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
7206	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)
7207	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl
7208	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
7209	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)
7210	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
7211	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro

7211a	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl
7211b	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
7211c	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl
7211d	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
7212	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHSO_2CH_2Ph$
7213	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$-n-Bu
7214	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NHPh$
7215	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_3$-(2,6-Me_2)
7216	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
7217	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$(2-naphthyl)
7218	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$(1-naphthyl)
7219	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHC_6H_4$-(4-Ph)
7220	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
7221	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
7222	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHCH_2Ph$
7223	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$-n-Bu
7224	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$-i-Bu

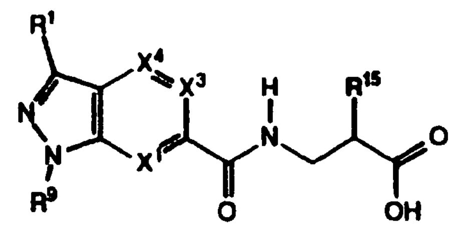
7225	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$-t-Bu
7226	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHCO_2CH_2Ph$
7227	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHCO_2$n-Bu
7228	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHCO_2$i-Bu
7229	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	NHCOPh
7230	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHCOCH_2Ph$
7231	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHCOCH_2CH_2Ph$
7232	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	NHCOCH=CHPh
7233	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	NHCOn-Bu
7234	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2Ph$
7235	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2C_6H_4$-(2-CH_3)
7236	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)
7237	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)
7238	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_3$-(2,6-CH_3)$_2$
7239	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$
7240	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2$(2-pyridyl)
7241	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2$(3-pyridyl)
7242	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2$(4-pyridyl)
7243	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHSO_2$(2-thienyl)
7244	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	N	CH	CH	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
7245	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2C_6H_3$-(2,6-Cl_2)
7246	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2$(2-naphthyl)

7247	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2$(1-naphthyl)
7248	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_4$-(4-Ph)
7249	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
7250	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
7251	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)
7252	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl
7253	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
7254	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)
7255	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
7256	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro
7256a	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl
7256b	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
7256c	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl

7256d	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
7257	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2CH_2Ph$
7258	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHSO_2$-n-Bu
7259	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2NHPh$
7260	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2NHC_6H_3$-(2,6-Me_2)
7261	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
7262	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2NH$(2-naphthyl)
7263	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2NH$(1-naphthyl)
7264	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHSO_2NHC_6H_4$-(4-Ph)
7265	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
7266	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
7267	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2NHCH_2Ph$
7268	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHSO_2NH$-n-Bu
7269	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2NH$-i-Bu
7270	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHSO_2NH$-t-Bu
7271	imidazol-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	N	CH	CH	$NHSO_2$-(1-naphthyl)
7272	imidazol-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	N	CH	CH	$NHCO_2CH_2Ph$
7273	imidazol-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	N	CH	CH	$NHSO_2C_6C_2$-(2,4,6-Me_3)
7274	pyridin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	N	CH	CH	$NHSO_2$-(1-naphthyl)
7275	pyridin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	N	CH	CH	$NHCO_2CH_2Ph$

7276	pyridin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	N	CH	CH	$NHSO_2C_6C_2$-(2,4,6-Me_3)
7277	imidazolin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	N	CH	CH	$NHSO_2$-(1-naphthyl)
7278	imidazolin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	N	CH	CH	$NHCO_2CH_2Ph$
7279	imidazolin-2-ylamino-CH_2(o-C_6H_4)-CH_2	H	N	CH	CH	$NHSO_2C_6C_2$-(2,4,6-Me_3)
7280	imidazolin-2-ylamino-(o-C_6H_4)-CH_2	H	N	CH	CH	$NHSO_2$-(1-naphthyl)
7281	imidazolin-2-ylamino-(o-C_6H_4)-CH_2	H	N	CH	CH	$NHCO_2CH_2Ph$
7282	imidazolin-2-ylamino-(o-C_6H_4)-CH_2	H	N	CH	CH	$NHSO_2C_6C_2$-(2,4,6-Me_3)

Table 8



Ex. No.	R^1	R^9	X^1	X^3	X^4	R^{15}	MS
8001	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCO_2CH_2Ph$	
8002	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHCO_2$n-Bu	
8003	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCO_2$i-Bu	
8004	imidazol-2-ylamino-$(CH_2)_3$	CH_3	N	CH	CH	NHCOPh	
8005	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCOCH_2Ph$	
8006	imidazol-2-ylamino-$(CH_2)_3$	CH_3	N	N	CH	$NHCOCH_2CH_2Ph$	
8007	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	NHCOCH=CHPh	
8008	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	NHCOn-Bu	
8009	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2Ph$	
8010	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-(2-CH_3)	
8011	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)	
8012	imidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)	

8013	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_3$-(2,6-$CH_3)_2$
8014	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
8015	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(2-pyridyl)
8016	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(3-pyridyl)
8017	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(4-pyridyl)
8018	imidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$(2-thienyl)
8019	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
8020	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_3$-(2,6-Cl_2)
8021	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(2-naphthyl)
8022	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$(1-naphthyl)
8023	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-(4-Ph)
8024	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
8025	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
8026	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)
8027	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl
8028	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
8029	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)

8030	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
8031	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro
8031a	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl
8031b	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
8031c	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl
8031d	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
8032	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2CH_2Ph$
8033	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$-n-Bu
8034	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHPh$
8035	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NHC_6H_3$-(2,6-Me_2)
8036	imidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
8037	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$(2-naphthyl)
8038	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHSO_2NH$(1-naphthyl)
8039	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_4$-(4-Ph)
8040	imidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)

8041	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
8042	imidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHCH_2Ph$
8043	imidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$-n-Bu
8044	imidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$-i-Bu
8045	imidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NH$-t-Bu
8046	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHCO_2CH_2Ph$
8047	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHCO_2$n-Bu
8048	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHCO_2$i-Bu
8049	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	NHCOPh
8050	pyridin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHCOCH_2Ph$
8051	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHCOCH_2CH_2Ph$
8052	pyridin-2-ylamino-$(CH_2)_3$	CH_3	N	CH	CH	NHCOCH=CHPh
8053	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	NHCOn-Bu
8054	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2Ph$
8055	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-(2-CH_3)
8056	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)
8057	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)
8058	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_3$-(2,6-CH_3)$_2$
8059	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$
8060	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(2-pyridyl)
8061	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(3-pyridyl)
8062	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(4-pyridyl)

8063	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$(2-thienyl)
8064	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
8065	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_3$-(2,6-Cl_2)
8066	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(2-naphthyl)
8067	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$(1-naphthyl)
8068	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-(4-Ph)
8069	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
8070	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
8071	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)
8072	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl
8073	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
8074	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)
8075	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
8076	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro
8076a	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl

8076b	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
8076c	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl
8076d	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
8077	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2CH_2Ph$
8078	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$-n-Bu
8079	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHPh$
8080	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHSO_2NHC_6H_3$-(2,6-Me_2)
8081	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
8082	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$(2-naphthyl)
8083	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NH$(1-naphthyl)
8084	pyridin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHC_6H_4$-(4-Ph)
8085	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
8086	pyridin-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
8087	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHCH_2Ph$
8088	pyridin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$-n-Bu
8089	pyridin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$-i-Bu
8090	pyridin-2-ylamino-$(CH_2)_3$	CH_3	N	N	CH	$NHSO_2NH$-t-Bu
8091	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCO_2CH_2Ph$

8092	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHCO_2$n-Bu
8093	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCO_2$i-Bu
8094	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	N	CH	CH	NHCOPh
8095	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCOCH_2Ph$
8096	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	N	N	CH	$NHCOCH_2CH_2Ph$
8097	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	NHCOCH=CHPh
8098	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	NHCOn-Bu
8099	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2Ph$
8100	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-(2-CH_3)
8101	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)
8102	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)
8103	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_3$-(2,6-$CH_3)_2$
8104	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
8105	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(2-pyridyl)
8106	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(3-pyridyl)
8107	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(4-pyridyl)
8108	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$(2-thienyl)
8109	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
8110	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_3$-(2,6-Cl_2)
8111	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(2-naphthyl)
8112	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$(1-naphthyl)
8113	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-(4-Ph)

8114	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
8115	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
8116	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)
8117	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl
8118	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
8119	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)
8120	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
8121	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro
8121a	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl
8121b	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
8121c	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl
8121d	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
8122	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2CH_2Ph$

8123	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$-n-Bu
8124	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHPh$
8125	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NHC_6H_3$-(2,6-Me_2)
8126	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
8127	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$(2-naphthyl)
8128	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHSO_2NH$(1-naphthyl)
8129	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_4$-(4-Ph)
8130	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
8131	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
8132	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHCH_2Ph$
8133	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$-n-Bu
8134	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$-i-Bu
8135	tetrahydropyrimidin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NH$-t-Bu
8136	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHCO_2CH_2Ph$
8137	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHCO_2$n-Bu
8138	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHCO_2$i-Bu
8139	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	NHCOPh
8140	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHCOCH_2Ph$
8141	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHCOCH_2CH_2Ph$
8142	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	N	CH	CH	NHCOCH=CHPh
8143	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	NHCOn-Bu

8144	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2Ph$
8145	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-(2-CH_3)
8146	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)
8147	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)
8148	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_3$-(2,6-CH_3)$_2$
8149	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$
8150	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(2-pyridyl)
8151	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(3-pyridyl)
8152	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(4-pyridyl)
8153	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$(2-thienyl)
8154	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
8155	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_3$-(2,6-Cl_2)
8156	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(2-naphthyl)
8157	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$(1-naphthyl)
8158	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-(4-Ph)
8159	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
8160	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
8161	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)
8162	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl

8163	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
8164	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)
8165	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
8166	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro
8166a	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl
8166b	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
8166c	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl
8166d	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
8167	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2CH_2Ph$
8168	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$-n-Bu
8169	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHPh$
8170	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHSO_2NHC_6H_3$-(2,6-Me_2)
8171	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
8172	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$(2-naphthyl)
8173	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NH$(1-naphthyl)
8174	imidazolin-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHC_6H_4$-(4-Ph)

8175	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
8176	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
8177	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHCH_2Ph$
8178	imidazolin-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NH$-n-Bu
8179	imidazolin-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$-i-Bu
8180	imidazolin-2-ylamino-$(CH_2)_3$	CH_3	N	N	CH	$NHSO_2NH$-t-Bu
8181	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCO_2CH_2Ph$
8182	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHCO_2$n-Bu
8183	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCO_2$i-Bu
8184	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	N	CH	CH	NHCOPh
8185	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHCOCH_2Ph$
8186	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	N	N	CH	$NHCOCH_2CH_2Ph$
8187	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	NHCOCH=CHPh
8188	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	NHCOn-Bu
8189	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2Ph$
8190	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-(2-CH_3)
8191	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)
8192	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)
8193	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_3$-(2,6-$CH_3)_2$
8194	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(2,4,6-$CH_3)_3$
8195	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(2-pyridyl)

8196	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2$(3-pyridyl)
8197	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(4-pyridyl)
8198	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2$(2-thienyl)
8199	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
8200	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_3$-(2,6-Cl_2)
8201	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2$(2-naphthyl)
8202	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$(1-naphthyl)
8203	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-(4-Ph)
8204	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
8205	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
8206	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)
8207	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl
8208	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
8209	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)
8210	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
8211	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro

8211a	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl
8211b	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
8211c	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl
8211d	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
8212	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2CH_2Ph$
8213	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2$-n-Bu
8214	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHPh$
8215	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NHC_6H_3$-(2,6-Me_2)
8216	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
8217	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$(2-naphthyl)
8218	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	N	CH	$NHSO_2NH$(1-naphthyl)
8219	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NHC_6H_4$-(4-Ph)
8220	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	CH	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
8221	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
8222	benzimidazol-2-ylamino-$(CH_2)_3$	H	N	N	CH	$NHSO_2NHCH_2Ph$
8223	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	CH	N	$NHSO_2NH$-n-Bu
8224	benzimidazol-2-ylamino-$(CH_2)_3$	H	CH	N	CH	$NHSO_2NH$-i-Bu

8225	benzimidazol-2-ylamino-$(CH_2)_3$	CH_3	CH	CH	N	$NHSO_2NH$-t-Bu
8226	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHCO_2CH_2Ph$
8227	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHCO_2$n-Bu
8228	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHCO_2$i-Bu
8229	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	NHCOPh
8230	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	N	CH	$NHCOCH_2Ph$
8231	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHCOCH_2CH_2Ph$
8232	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	N	CH	CH	NHCOCH=CHPh
8233	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	NHCOn-Bu
8234	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2Ph$
8235	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_4$-(2-CH_3)
8236	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2C_6H_4$-(3-CH_3)
8237	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHSO_2C_6H_4$-(4-CH_3)
8238	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2C_6H_3$-(2,6-CH_3)$_2$
8239	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2C_6H_2$-(2,4,6-CH_3)$_3$
8240	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2$(2-pyridyl)
8241	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2$(3-pyridyl)
8242	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2$(4-pyridyl)
8243	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHSO_2$(2-thienyl)
8244	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2$[4-(3,5-dimethyl)isoxazolyl]
8245	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2C_6H_3$-(2,6-Cl_2)
8246	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2$(2-naphthyl)

8247	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2$(1-naphthyl)
8248	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-(4-Ph)
8249	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dimethyl)
8250	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2C_6H_2$-(4-Ph-2,6-dichloro)
8251	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)
8252	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dimethyl
8253	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2C_6H_4$-4-(4-pyridyl)-2,6-dichloro
8254	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)
8255	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dimethyl
8256	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-oxazolyl)-2,6-dichloro
8256a	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(3-pyridyl)-2,6-dimethyl
8256b	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(2-furyl)-2,6-dimethyl
8256c	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2C_6H_4$-4-(3-furyl)-2,6-dimethyl

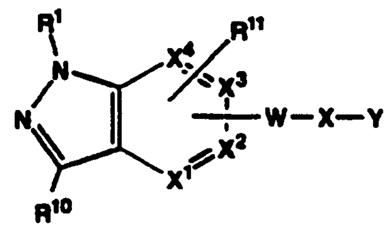
8256d	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2C_6H_4$-4-(5-pyrazolyl)-2,6-dimethyl
8257	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2CH_2Ph$
8258	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHSO_2$-n-Bu
8259	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2NHPh$
8260	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	N	CH	$NHSO_2NHC_6H_3$-(2,6-Me_2)
8261	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2NHC_6H_2$-(2,4,6-Me_3)
8262	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2NH$(2-naphthyl)
8263	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	CH	N	$NHSO_2NH$(1-naphthyl)
8264	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	N	CH	$NHSO_2NHC_6H_4$-(4-Ph)
8265	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dimethyl)
8266	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	CH	N	CH	$NHSO_2NHC_6H_2$-(4-Ph-2,6-dichloro)
8267	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2NHCH_2Ph$
8268	2-aminopyridin-6-yl-$(CH_2)_2$	H	N	CH	CH	$NHSO_2NH$-n-Bu
8269	2-aminopyridin-6-yl-$(CH_2)_2$	H	CH	CH	N	$NHSO_2NH$-i-Bu
8270	2-aminopyridin-6-yl-$(CH_2)_2$	CH_3	N	N	CH	$NHSO_2NH$-t-Bu
8271	imidazol-2-ylamino-CH_2(o-C_6H_4)	H	CH	CH	N	$NHSO_2$-(1-naphthyl)
8272	imidazol-2-ylamino-CH_2(o-C_6H_4)	H	CH	CH	N	$NHCO_2CH_2Ph$
8273	imidazol-2-ylamino-CH_2(o-C_6H_4)	H	CH	CH	N	$NHSO_2C_6C_2$-(2,4,6-Me_3)
8274	pyridin-2-ylamino-CH_2(o-C_6H_4)	H	CH	CH	N	$NHSO_2$-(1-naphthyl)
8275	pyridin-2-ylamino-CH_2(o-C_6H_4)	H	CH	CH	N	$NHCO_2CH_2Ph$

8276	pyridin-2-ylamino-CH_2(o-C_6H_4)	H	CH	CH	N	$NHSO_2C_6C_2$-(2,4,6-Me_3)
8277	imidazolin-2-ylamino-CH_2(o-C_6H_4)	H	CH	CH	N	$NHSO_2$-(1-naphthyl)
8278	imidazolin-2-ylamino-CH_2(o-C_6H_4)	H	CH	CH	N	$NHCO_2CH_2Ph$
8279	imidazolin-2-ylamino-CH_2(o-C_6H_4)	H	CH	CH	N	$NHSO_2C_6C_2$-(2,4,6-Me_3)
8280	imidazolin-2-ylamino-(m-C_6H_4)	H	CH	CH	N	$NHSO_2$-(1-naphthyl)
8281	imidazolin-2-ylamino-(m-C_6H_4)	H	CH	CH	N	$NHCO_2CH_2Ph$
8282	imidazolin-2-ylamino-(m-C_6H_4)	H	CH	CH	N	$NHSO_2C_6C_2$-(2,4,6-Me_3)

CLAIMS

WHAT IS CLAIMED IS:

1. A compound of Formula Ia:

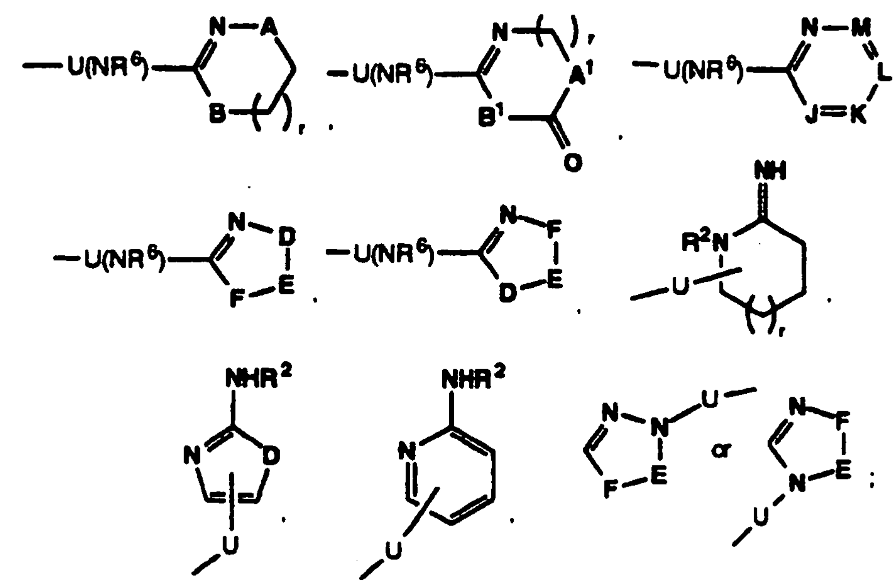


Ia

and pharmaceutically acceptable salt forms thereof, wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, -O-, $-N(R^2)-$, or -C(=O)-;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, -O-, -S-, -C(=O)- or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from $-C(R^4)-$, $-C(R^5)-$ or -N-, provided that at least one of J, K, L and M is not -N-;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl; (C_1-C_6 alkyl)aminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6

alkyl)carbonyl-, arylcarbonyl, C_1-C_6 alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, or aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from the group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, arylcarbonyl, or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,

$-(CH_2)_n(CR^7{=}CR^8)(CH_2)_m-$,

$-(CH_2)_n(C{\equiv}C)(CH_2)_m-$,

$-(CH_2)_tQ(CH_2)_m-$,

$-(CH_2)_nO(CH_2)_m-$,
$-(CH_2)_nN(R^6)(CH_2)_m-$,
$-(CH_2)_nC(=O)(CH_2)_m-$,
$-(CH_2)_n(C=O)N(R^6)(CH_2)_m-$
$-(CH_2)_nN(R^6)(C=O)(CH_2)_m-$, or
$-(CH_2)_nS(O)_p(CH_2)_m-$;
wherein one or more of the methylene groups in U is optionally substituted with R^7;

Q is selected from 1,2-cycloalkylene, 1,2-phenylene, 1,3-phenylene, 1,4-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, 2,4-pyridinylene, or 3,4-pyridazinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

R^{10} is selected from: H, C_1-C_4 alkoxy substituted with 0-1 R^{21}, $N(R^6)_2$, halogen, NO_2, CN, CF_3, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

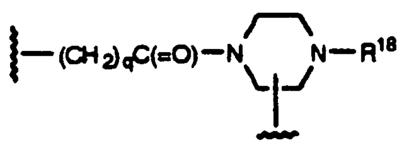
R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with

0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is selected from:
$-(C(R^{12})_2)_qC(=O)N(R^{13})-$, or
$-C(=O)-N(R^{13})-(C(R^{12})_2)_q-$;

X is $-C(R^{12})(R^{14})-C(R^{12})(R^{15})-$; or

alternatively, W and X can be taken together to be



;

R^{12} is selected from H, halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, C_4-C_{10} cycloalkylalkyl, (C_1-C_4 alkyl)carbonyl, aryl, or aryl(C_1-C_6 alkyl)-;

R^{13} is selected from H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-;

R^{14} is selected from:
H, C_1-C_6 alkylthio(C_1-C_6 alkyl)-, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups

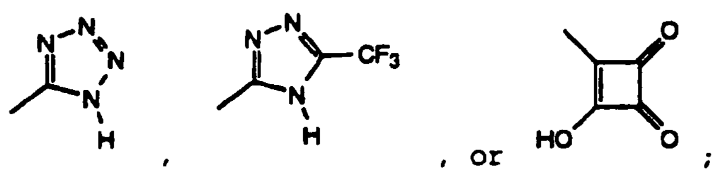
may be unsubstituted or substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from:

H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, (C_1-C_{10} alkyl)carbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_1-C_{10} alkenyl, C_1-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, C(=O)R^{17}, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11};

Y is selected from:

-COR^{19}, -SO_3H, -PO_3H, tetrazolyl, -$CONHNHSO_2CF_3$, -$CONHSO_2R^{17}$, -$CONHSO_2NHR^{17}$, -$NHCOCF_3$, -$NHCONHSO_2R^{17}$, -$NHSO_2R^{17}$, -OPO_3H_2, -OSO_3H, -PO_3H_2, -SO_3H, -SO_2NHCOR^{17}, -$SO_2NHCO_2R^{17}$,



R^{16} is selected from:

-N(R^{20})-C(=O)-O-R^{17},
-N(R^{20})-C(=O)-R^{17},
-N(R^{20})-C(=O)-NH-R^{17},
-N(R^{20})SO_2-R^{17}, or
-N(R^{20})SO_2-$NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6

alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{18} is selected from:
H,
-C(=O)-O-R^{17},
-C(=O)-R^{17},
-C(=O)-NH-R^{17},
-SO_2-R^{17}, or
-SO_2-$NR^{20}R^{17}$;

R^{19} is selected from: hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, aryloxy, aryl(C_1-C_6 alkoxy)-, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy,
C_2-C_{10} alkoxycarbonylalkyloxy,
C_5-C_{10} cycloalkylcarbonyloxyalkyloxy,
C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy,
C_5-C_{10} cycloalkoxycarbonylalkyloxy,
C_7-C_{11} aryloxycarbonylalkyloxy,
C_8-C_{12} aryloxycarbonyloxyalkyloxy,
C_8-C_{12} arylcarbonyloxyalkyloxy,
C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy,
C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or (R^{11})(R^{12})N-(C_1-C_{10} alkoxy)-;

R^{20} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from: COOH or $NR^6{}_2$;

m is 0-4;

n is 0-4;

t is 0-4;

p is 0-2;

q is 0-2; and

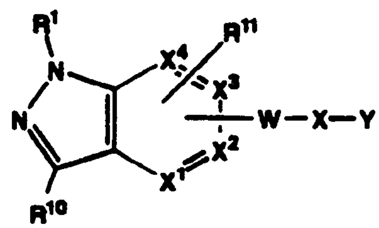
r is 0-2;

with the following provisos:

(1) t, n, m and q are chosen such that the number of atoms connecting R^1 and Y is in the range of 10-14; and

(2) n and m are chosen such that the value of n plus m is greater than one unless U is $-(CH_2)_tQ(CH_2)_m-$.

2. A compound of Claim 1 of the Formula Ia:

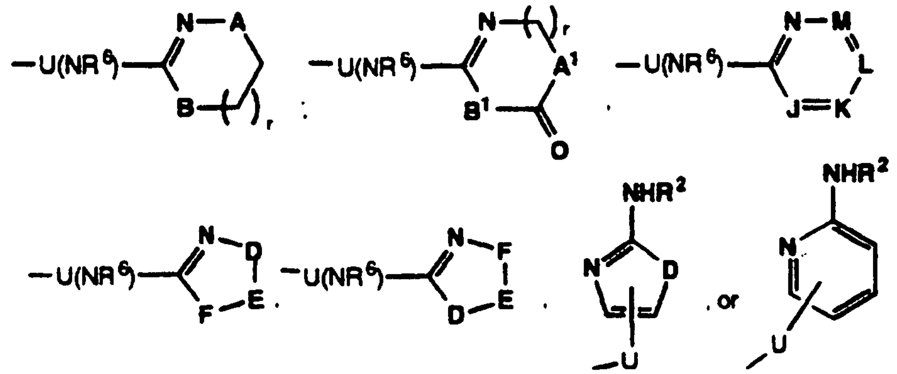


Ia

and pharmaceutically acceptable salt forms thereof, wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, -O-, $-N(R^2)-$, or -C(=O)-;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, -O-, -S-, -C(=O)- or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from $-C(R^4)-$, $-C(R^5)-$ or -N-, provided that at least one of J, K, L and M is not -N-;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, C_1-C_6 alkylaminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, or aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from the

group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, C_2-C_7 alkylcarbonyl, arylcarbonyl or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,
$-(CH_2)_n(CR^7{=}CR^8)(CH_2)_m-$,
$-(CH_2)_tQ(CH_2)_m-$,
$-(CH_2)_nO(CH_2)_m-$,
$-(CH_2)_nN(R^6)(CH_2)_m-$,
$-(CH_2)_nC(=O)(CH_2)_m-$, or
$-(CH_2)_nS(O)_p(CH_2)_m-$;

wherein one or more of the methylene groups in U is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

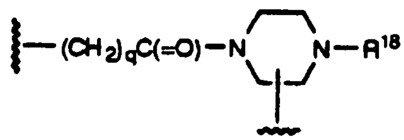
R^{10} is selected from: H, C_1-C_4 alkoxy substituted with 0-1 R^{21}, $N(R^6)_2$, halogen, NO_2, CN, CF_3, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-(C(R^{12})_2)_q-$;

X is $-C(R^{12})(R^{14})-C(R^{12})(R^{15})-$;

alternatively, W and X can be taken together to be



;

R^{12} is H or C_1-C_6 alkyl;

R^{13} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-;

R^{14} is selected from:

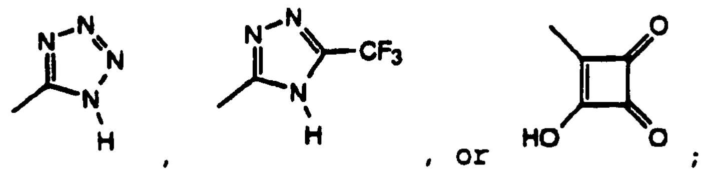
H, C_1-C_6 alkylthioalkyl, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from:

H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, C_1-C_{10} alkylcarbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be substituted independently with 0-2 R^{11};

Y is selected from:

-COR^{19}, -SO$_3$H,



, or ;

R^{16} is selected from:

-N(R^{20})-C(=O)-O-R^{17},
-N(R^{20})-C(=O)-R^{17},
-N(R^{20})-C(=O)-NH-R^{17},
-N(R^{20})SO$_2$-R^{17}, or
-N(R^{20})SO$_2$-NR^{20}R^{17};

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,
-C(=O)-O-R^{17},
-C(=O)-R^{17},
-C(=O)-NH-R^{17},
-SO$_2$-R^{17}, or
-SO$_2$-NR^{20}R^{17};

R^{19} is selected from: hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, C_6-C_{10} aryloxy, C_7-C_{11} aralkyloxy, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy,

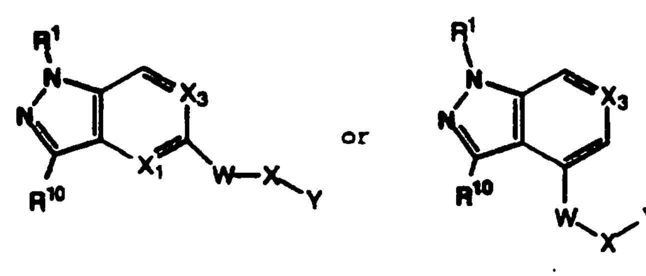
C_2-C_{10} alkoxycarbonylalkyloxy, C_5-C_{10} cycloalkylcarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonylalkyloxy, C_7-C_{11} aryloxycarbonylalkyloxy, C_8-C_{12} aryloxycarbonyloxyalkyloxy, C_8-C_{12} arylcarbonyloxyalkyloxy, C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy, C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or $(R^{11})(R^{12})N$-(C_1-C_{10} alkoxy)-;

R^{20} selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from COOH or $NR^6{}_2$;

m is 0-4;
n is 0-4;
p is 0-2;
q is 0-2;
t is 0-4; and
r is 0-2.

3. A compound of Claim 1 of the Formula IIa or IIb:

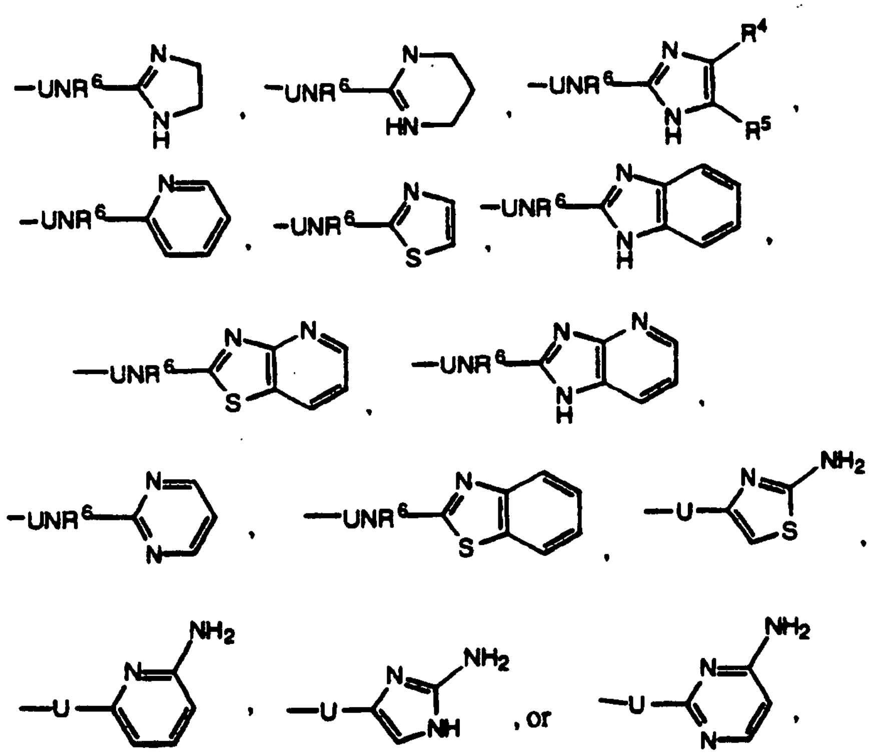


IIa IIb

and pharmaceutically acceptable salt forms thereof wherein:

X_1 and X_3 are independently selected from nitrogen or carbon;

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl;

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R7 is selected from: C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^{10} is selected from: H, C_1-C_4 alkoxy substituted with 0-1 R^{21}, halogen, CO_2R^{17}, $CONR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-$;

X is $-CH(R^{14})-CH(R^{15})-$;

R^{13} is H or CH_3;

R^{14} is selected from:
H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally

substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is -COR^{19};

R^{16} is selected from:

-NH(R^{20})-C(=O)-O-R^{17},
-N(R^{20})-C(=O)-R^{17},
-N(R^{20})-C(=O)-NH-R^{17},
-N(R^{20})SO_2-R^{17}, or
-N(R^{20})SO_2-N(R^{20})R^{17};

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:

hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,

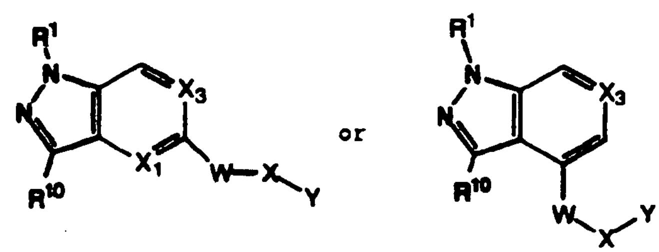
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(t-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,
diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or NR^6_2;

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

4. A compound of Claim 1 of the Formula IIa or IIb:

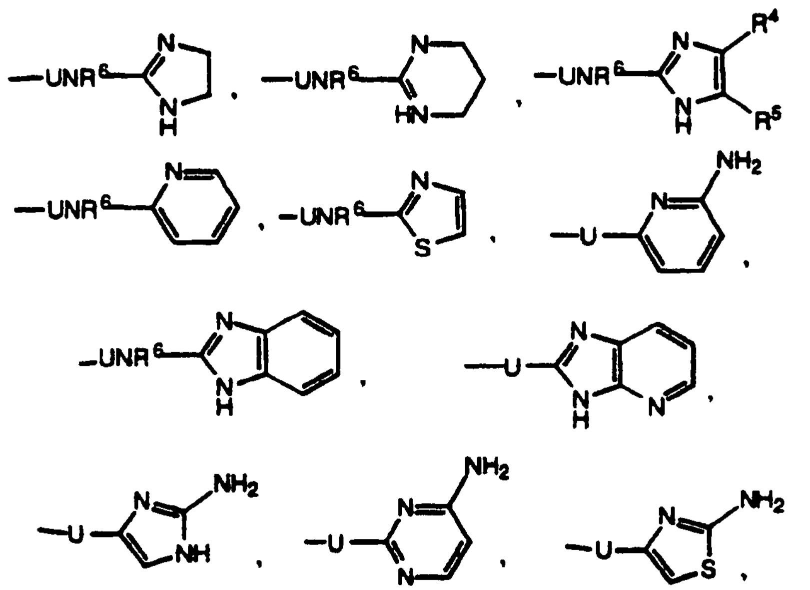


IIa or IIb

and pharmaceutically acceptable salt forms thereof wherein:

X_1 and X_3 are independently selected from nitrogen or carbon, provided that at least one of X_1 and X_3 is carbon;

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl;

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

$R7$ is selected from: C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^{10} is selected from: H, C_1-C_4 alkoxy substituted with 0-1 R^{21}, halogen, CO_2R^{17}, $CONR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};W is -C(=O)-N(R^{13})-;

W is -C(=O)-N(R^{13})-;

X is -CH(R^{14})-CH(R^{15})-;

R^{13} is H or CH_3;

R^{14} is selected from:
H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is $-COR^{19}$;

R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:

hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(*t*-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,

diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or $NR^6{}_2$;

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

5. A compound of Claim 1 of Formula Ia and enantiomeric or diasteriomeric forms thereof, and mixtures of enantiomeric or diasteriomeric forms thereof, and pharmaceutically acceptable salt forms thereof, selected from the group consisting of:

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(benzenesulfonylamino) propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino) propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(2,6-dimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(4-phenylbenzenesulfonyl-amino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(benzyloxy-carbonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(2,4,6-trimethyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(benzenesulfonyl-amino) propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(2,6-dichloro-benzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(3,5-dimethyl-isoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(2,6-dimethyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-5-ylcarbonylamino]-2-(4-phenylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,6-dimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(4-phenylbenzenesulfonyl-amino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,
3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,6-dimethylbenzene-sulfonylamino)propionic acid,
3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid,
3-[1-[3-(pyridin-2-ylamino)propyl]indazol-5-yl-carbonylamino]-2-(4-phenylbenzenesulfonyl-amino)propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(benzenesulfonylamino) propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino) propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(2,6-dimethylbenzene-sulfonylamino)propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid,
3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-4-ylcarbonylamino]-2-(4-phenylbenzenesulfonyl-amino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(benzyloxy-carbonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(2,4,6-trimethyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(benzenesulfonyl-amino) propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(2,6-dichloro-benzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(3,5-dimethyl-isoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(2,6-dimethyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-4-ylcarbonylamino]-2-(4-phenylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid,

3-[1-[3-(imidazol-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(4-phenylbenzenesulfonyl-amino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,4,6-trimethylbenzene-sulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dichlorobenzene-sulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dimethylbenzene-sulfonylamino)propionic acid,

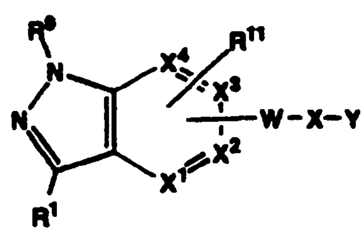
3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid, and

3-[1-[3-(pyridin-2-ylamino)propyl]indazol-4-yl-carbonylamino]-2-(4-phenylbenzenesulfonyl-amino)propionic acid;

and ester forms thereof, said ester being selected from the group consisting of:

methyl,
ethyl,
isopropyl,
n-butyl,
isobutyl,
benzyl,
methylcarbonyloxymethyl,
ethylcarbonyloxymethyl,
tert-butylcarbonyloxymethyl,
cyclohexylcarbonyloxymethyl,
tert-butyloxycarbonyloxymethyl,
dimethylaminoethyl,
diethylaminoethyl,
morpholinoethyl,
pyrrolidinoethyl, and
trimethylammonioethyl.

6. A compound of Formula Ib:

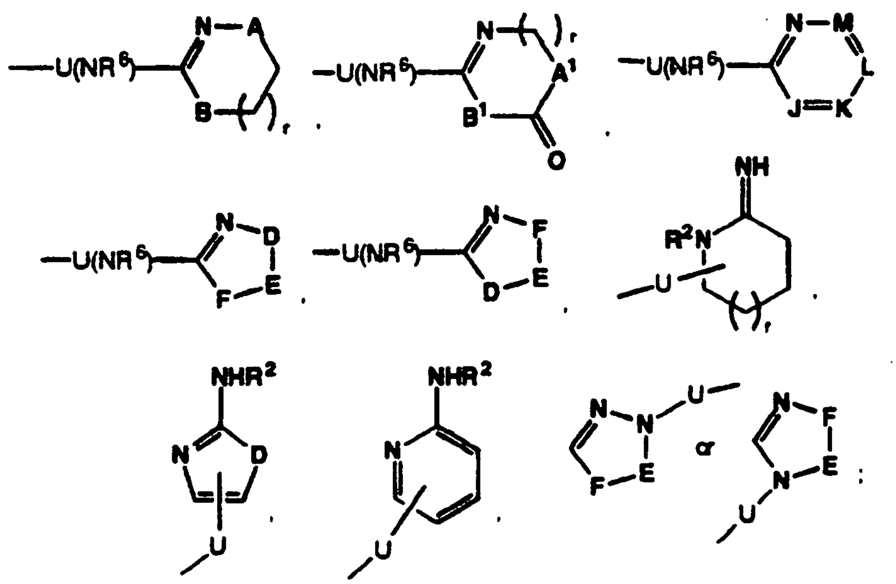


Ib

and pharmaceutically acceptable salt forms thereof, wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, -O-, $-N(R^2)-$, or -C(=O)-;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, -O-, -S-, -C(=O)- or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from: $-C(R^4)-$, $-C(R^5)-$ or -N-, provided that at least one of J, K, L and M is not -N-;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl; (C_1-C_6 alkyl)aminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl C_1-C_6 alkyl, (C_1-C_6

alkyl)carbonyl, or arylcarbonyl, C_1-C_6 alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, or aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from the group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, arylcarbonyl, or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,

$-(CH_2)_n(CR^7{=}CR^8)(CH_2)_m-$

$-(CH_2)_n(C{\equiv}C)(CH_2)_m-$

$-(CH_2)_tQ(CH_2)_m-$

$-(CH_2)_nO(CH_2)_m-$,
$-(CH_2)_nN(R^6)(CH_2)_m-$,
$-(CH_2)_nC(=O)(CH_2)_m-$,
$-(CH_2)_n(C=O)N(R^6)(CH_2)_m-$
$-(CH_2)_nN(R^6)(C=O)(CH_2)_m-$, or
$-(CH_2)_nS(O)_p(CH_2)_m-$;
wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from: 1,2-cycloalkylene, 1,2-phenylene, 1,3-phenylene, 1,4-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, 2,4-pyridinylene, or 3,4-pyridazinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

R^9 is selected from: H, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

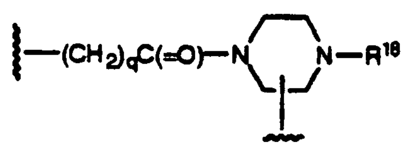
R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1

R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is selected from:
-$(C(R^{12})_2)_qC(=O)N(R^{13})$-, or
-$C(=O)$-$N(R^{13})$-$(C(R^{12})_2)_q$-;

X is -$C(R^{12})(R^{14})$-$C(R^{12})(R^{15})$-; or

alternatively, W and X can be taken together to be



;

R^{12} is selected from: H, halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, C_4-C_{10} cycloalkylalkyl, (C_1-C_4 alkyl)carbonyl, aryl, or aryl(C_1-C_6 alkyl)-;

R^{13} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-;

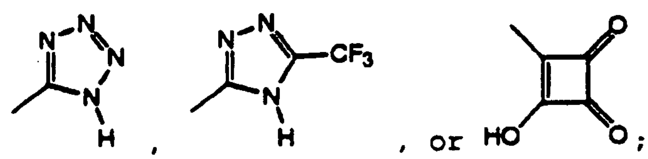
R^{14} is selected from:
H, C_1-C_6 alkylthio(C_1-C_6 alkyl)-, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from:

H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, (C_1-C_{10} alkyl)carbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_1-C_{10} alkenyl, C_1-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11};

Y is selected from:

$-COR^{19}$, $-SO_3H$, $-PO_3H$, tetrazolyl, $-CONHNHSO_2CF_3$, $-CONHSO_2R^{17}$, $-CONHSO_2NHR^{17}$, $-NHCOCF_3$, $-NHCONHSO_2R^{17}$, $-NHSO_2R^{17}$, $-OPO_3H_2$, $-OSO_3H$, $-PO_3H_2$, $-SO_3H$, $-SO_2NHCOR^{17}$, $-SO_2NHCO_2R^{17}$,



R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are

optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,

-C(=O)-O-R^{17},

-C(=O)-R^{17},

-C(=O)-NH-R^{17},

-SO_2-R^{17}, or

-SO_2-$NR^{20}R^{17}$;

R^{19} is selected from hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, aryloxy, aryl(C_1-C_6 alkoxy)-, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy, C_2-C_{10} alkoxycarbonylalkyloxy, C_5-C_{10} cycloalkylcarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonylalkyloxy, C_7-C_{11} aryloxycarbonylalkyloxy, C_8-C_{12} aryloxycarbonyloxyalkyloxy, C_8-C_{12} arylcarbonyloxyalkyloxy, C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy, C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or $(R^{11})(R^{12})N$-(C_1-C_{10} alkoxy)-;

R^{20} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from COOH or $NR^6{}_2$;

m is 0-4;

n is 0-4;

t is 0-4;

p is 0-2;

q is 0-2; and

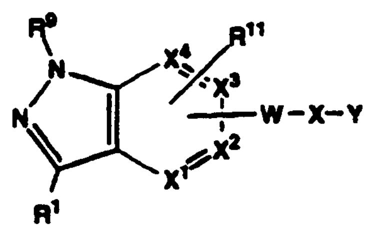
r is 0-2;

with the following provisos:

(1) t, n, m and q are chosen such that the number of atoms connecting R^1 and Y is in the range of 10-14; and

(2) n and m are chosen such that the value of n plus m is greater than one unless U is $-(CH_2)_tQ(CH_2)_m-$.

7. A compound of Claim 6 of Formula Ib:

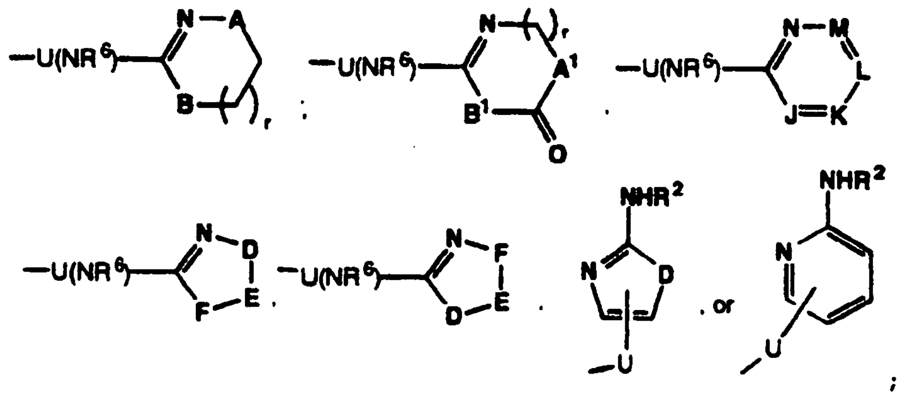


Ib

and pharmaceutically acceptable salt forms thereof, wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, -O-, $-N(R^2)-$, or -C(=O)-;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, -O-, -S-, -C(=O)- or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from $-C(R^4)-$, $-C(R^5)-$ or -N-, provided that at least one of J, K, L and M is not -N-;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, C_1-C_6 alkylaminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents

selected from the group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, C_2-C_7 alkylcarbonyl, arylcarbonyl or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,

$-(CH_2)_n(CR^7{=}CR^8)(CH_2)_m-$

$-(CH_2)_tQ(CH_2)_m-$,

$-(CH_2)_nO(CH_2)_m-$,

$-(CH_2)_nN(R^6)(CH_2)_m-$,

$-(CH_2)_nC(=O)(CH_2)_m-$, or

$-(CH_2)_nS(O)_p(CH_2)_m-$;

wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

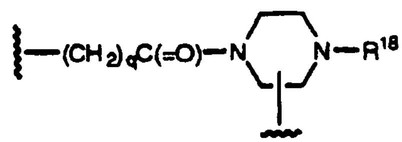
R^9 is selected from: H, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-(C(R^{12})_2)_q-$;

X is $-C(R^{12})(R^{14})-C(R^{12})(R^{15})-$;

alternatively, W and X can be taken together to be



;

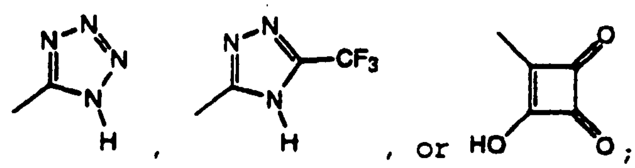
R^{12} is H or C_1-C_6 alkyl;

R^{13} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-;

R^{14} is selected from:
H, C_1-C_6 alkylthioalkyl, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from:
H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, C_1-C_{10} alkylcarbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11};

Y is selected from:
$-COR^{19}$, $-SO_3H$,



, or ;

R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,

$-N(R^{20})-C(=O)-R^{17}$,

$-N(R^{20})-C(=O)-NH-R^{17}$,

$-N(R^{20})SO_2-R^{17}$, or

$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,

$-C(=O)-O-R^{17}$,

$-C(=O)-R^{17}$,

$-C(=O)-NH-R^{17}$,

$-SO_2-R^{17}$, or

$-SO_2-NR^{20}R^{17}$;

R^{19} is selected from hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, C_6-C_{10} aryloxy, C_7-C_{11} aralkyloxy, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy, C_2-C_{10} alkoxycarbonylalkyloxy,

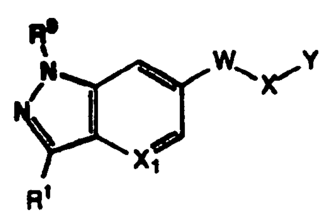
C_5-C_{10} cycloalkylcarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonylalkyloxy, C_7-C_{11} aryloxycarbonylalkyloxy, C_8-C_{12} aryloxycarbonyloxyalkyloxy, C_8-C_{12} arylcarbonyloxyalkyloxy, C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy, C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or $(R^{11})(R^{12})N$-(C_1-C_{10} alkoxy)-;

R^{20} selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from COOH or $NR^6{}_2$;

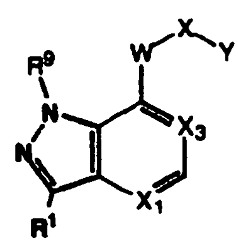
m is 0-4;
n is 0-4;
t is 0-4;
p is 0-2;
q is 0-2; and
r is 0-2.

8. A compound of Claim 6 of the Formula IIc or IId:



IIc

or

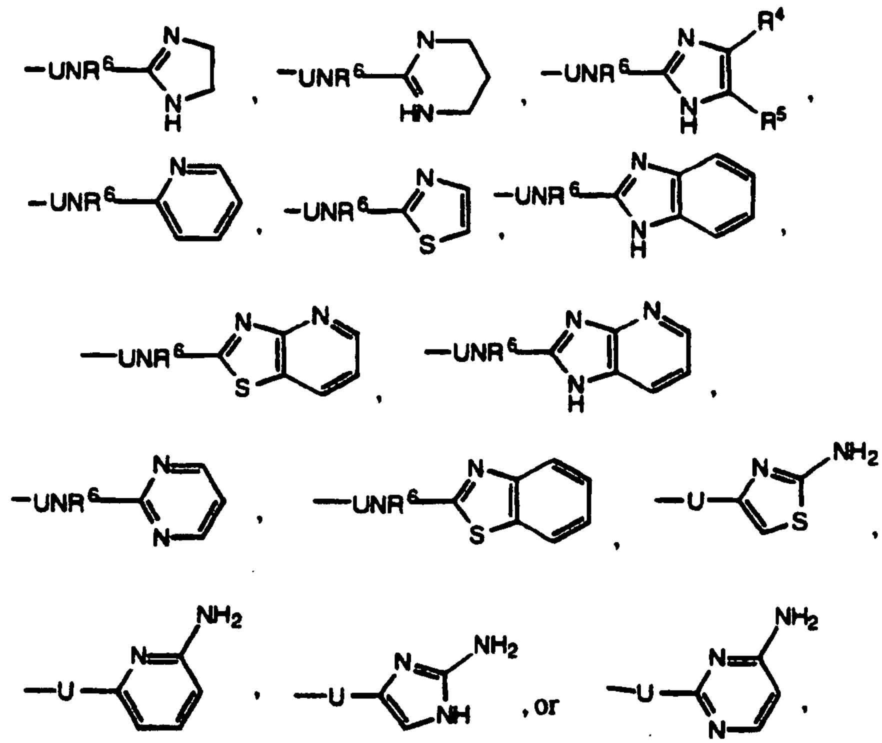


IId

and pharmaceutically acceptable salt forms thereof, wherein:

X_1 and X_3 are independently selected from nitrogen or carbon;

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl;

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R7 is selected from: C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^9 is selected from: H, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-$;

X is $-CH(R^{14})-CH(R^{15})-$;

R^{13} is H or CH_3;

R^{14} is selected from:

H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally

substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is $-COR^{19}$;

R^{16} is selected from:

$-NH(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-N(R^{20})R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:

hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,

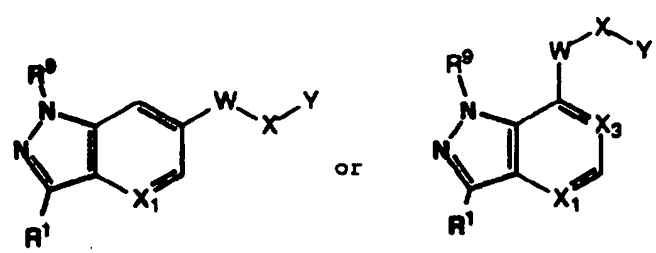
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(t-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,
diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or $NR^6{}_2$; and

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

9. A compound of Claim 6 of the Formula IIc or IId:

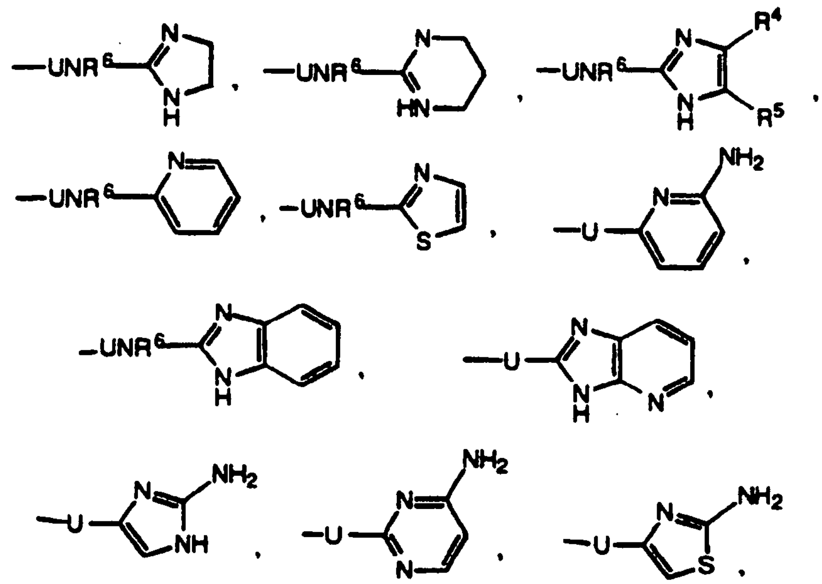


IIc IId

and pharmaceutically acceptable salt forms thereof, wherein:

X_1 and X_3 are independently selected from nitrogen or carbon, provided that at least one of X_1 and X_3 is carbon;

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl:

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R7 is selected from: C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^9 is selected from: H, -SO_2R^{17}, -$SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};W is -C(=O)-N(R^{13})-;

W is -C(=O)-N(R^{13})-;

X is -CH(R^{14})-CH(R^{15})-;

R^{13} is H or CH_3;

R^{14} is selected from:
H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is $-COR^{19}$;

R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,

$-N(R^{20})-C(=O)-R^{17}$,

$-N(R^{20})-C(=O)-NH-R^{17}$,

$-N(R^{20})SO_2-R^{17}$, or

$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:

hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(t-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,

diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or $NR^6{}_2$; and

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

10. A compound of Claim 6 of Formula Ib and enantiomeric or diasteriomeric forms thereof, and mixtures of enantiomeric or diasteriomeric forms thereof, and pharmaceutically acceptable salt forms thereof, selected from the group consisting of:

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,
3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,4,6-trimethyl-benzenesulfonylamino)propionic acid,
3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzenesulfonylamino) propionic acid,
3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,6-dichloro-benzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino) propionic acid,
3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,6-dimethyl-benzenesulfonylamino)propionic acid,
3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,6-dimethyl-4-phenyl-benzenesulfonylamino)propionic acid,
3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(4-phenylbenzene-sulfonylamino)propionic acid,
3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(benzyloxy-carbonylamino)propionic acid,
3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-6-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,
3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(benzenesulfonyl-amino) propionic acid,
3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-6-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,
3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(3,5-dimethyl-isoxazol-4-ylsulfonylamino)propionic acid,
3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-6-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,
3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,
3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]-indazol-6-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-6-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(benzenesulfonylamino)-propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-6-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(benzenesulfonylamino) propionic acid,

3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazolin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(imidazolin-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(benzyloxy-carbonylamino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-7-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(benzenesulfonyl-amino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-7-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(3,5-dimethyl-isoxazol-4-ylsulfonylamino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-7-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,

3-[3-[3-(tetrahydropyrimid-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,

3-[1-methyl-3-[3-(tetrahydropyrimid-2-ylamino)-propyl]indazol-7-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-7-yl-carbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,

3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,4,6-trimethyl-benzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-7-yl-carbonylamino]-2-(benzenesulfonylamino)-propionic acid,

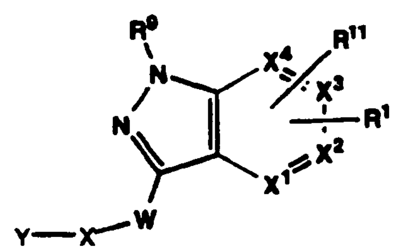
3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,6-dichloro-benzenesulfonylamino)propionic acid,

3-[3-[3-(imidazol-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,
3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,
3-[3-[3-(imidazol-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid,
3-[1-methyl-3-[3-(imidazol-2-ylamino)propyl]-indazol-7-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid,
3-[3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(benzyloxycarbonylamino)-propionic acid,
3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,4,6-trimethylbenzenesulfonylamino)propionic acid,
3-[3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(benzenesulfonylamino)-propionic acid,
3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,6-dichlorobenzenesulfonylamino)propionic acid,
3-[3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(3,5-dimethylisoxazol-4-ylsulfonylamino)propionic acid,
3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,6-dimethylbenzenesulfonylamino)propionic acid,
3-[3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(2,6-dimethyl-4-phenylbenzenesulfonylamino)propionic acid, and
3-[1-methyl-3-[3-(pyridin-2-ylamino)propyl]indazol-7-ylcarbonylamino]-2-(4-phenylbenzenesulfonylamino)propionic acid;

and ester forms thereof, said esters being chosen from the group consisting of:

methyl,
ethyl,
isopropyl,
n-butyl,
isobutyl,
benzyl,
methylcarbonyloxymethyl,
ethylcarbonyloxymethyl,
tert-butylcarbonyloxymethyl,
cyclohexylcarbonyloxymethyl,
tert-butyloxycarbonyloxymethyl,
dimethylaminoethyl, and
diethylaminoethyl.

11. A compound of Formula Ic:

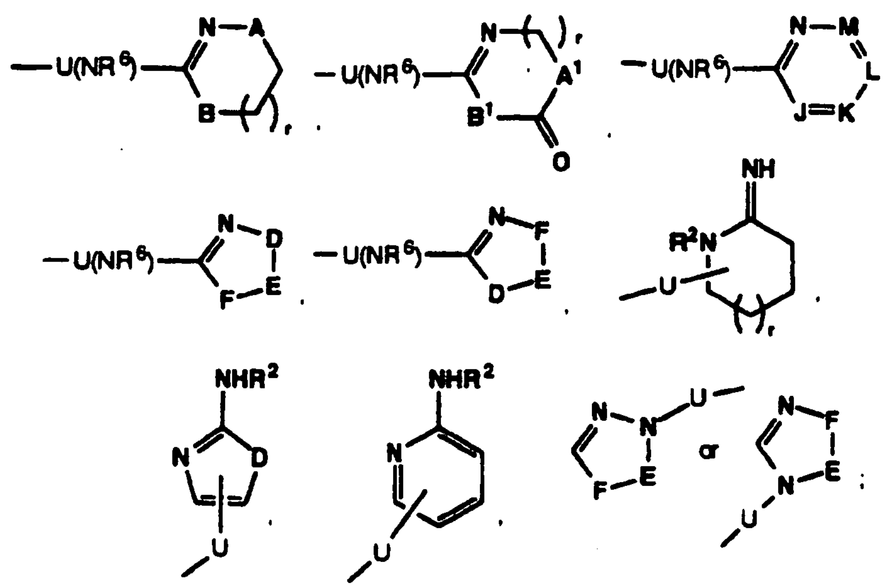


Ic

and pharmaceutically acceptable salt forms thereof, wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, $-O-$, $-N(R^2)-$, or $-C(=O)-$;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, $-O-$, $-S-$, $-C(=O)-$ or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from $-C(R^4)-$, $-C(R^5)-$ or $-N-$, provided that at least one of J, K, L and M is not $-N-$;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl; (C_1-C_6 alkyl)aminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl C_1-C_6 alkyl, (C_1-C_6

alkyl)carbonyl, or arylcarbonyl, C_1-C_6 alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, or aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from the group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, arylcarbonyl, or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,

$-(CH_2)_n(CR^7{=}CR^8)(CH_2)_m-$

$-(CH_2)_n(C{\equiv}C)(CH_2)_m-$

$-(CH_2)_tQ(CH_2)_m-$

$-(CH_2)_nO(CH_2)_m-$,
$-(CH_2)_nN(R^6)(CH_2)_m-$,
$-(CH_2)_nC(=O)(CH_2)_m-$,
$-(CH_2)_n(C=O)N(R^6)(CH_2)_m-$
$-(CH_2)_nN(R^6)(C=O)(CH_2)_m-$, or
$-(CH_2)_nS(O)_p(CH_2)_m-$;
wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-cycloalkylene, 1,2-phenylene, 1,3-phenylene, 1,4-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, 2,4-pyridinylene, or 3,4-pyridazinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

R^9 is selected from: H, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

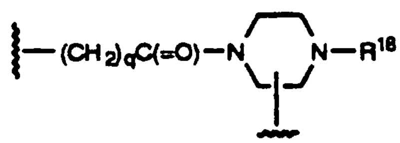
R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1

R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is selected from:
$-(C(R^{12})_2)_qC(=O)N(R^{13})-$, or
$-C(=O)-N(R^{13})-(C(R^{12})_2)_q-$;

X is $-C(R^{12})(R^{14})-C(R^{12})(R^{15})-$; or

alternatively, W and X can be taken together to be



;

R^{12} is selected from: H, halogen, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, C_4-C_{10} cycloalkylalkyl, (C_1-C_4 alkyl)carbonyl, aryl, or aryl(C_1-C_6 alkyl)-;

R^{13} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-

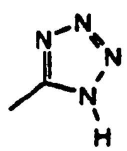
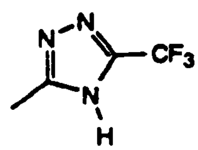
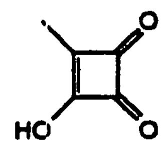
R^{14} is selected from:
H, C_1-C_6 alkylthio(C_1-C_6 alkyl)-, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from:

H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, (C_1-C_{10} alkyl)carbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_1-C_{10} alkenyl, C_1-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11};

Y is selected from:

$-COR^{19}$, $-SO_3H$, $-PO_3H$, tetrazolyl, $-CONHNHSO_2CF_3$, $-CONHSO_2R^{17}$, $-CONHSO_2NHR^{17}$, $-NHCOCF_3$, $-NHCONHSO_2R^{17}$, $-NHSO_2R^{17}$, $-OPO_3H_2$, $-OSO_3H$, $-PO_3H_2$, $-SO_3H$, $-SO_2NHCOR^{17}$, $-SO_2NHCO_2R^{17}$,


,

, or

;

R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-. heteroaryl, or aryl, wherein said aryl or heteroaryl groups are

optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,

$-C(=O)-O-R^{17}$,

$-C(=O)-R^{17}$,

$-C(=O)-NH-R^{17}$,

$-SO_2-R^{17}$, or

$-SO_2-NR^{20}R^{17}$;

R^{19} is selected from hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, aryloxy, aryl(C_1-C_6 alkoxy)-, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy,
C_2-C_{10} alkoxycarbonylalkyloxy,
C_5-C_{10} cycloalkylcarbonyloxyalkyloxy,
C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy,
C_5-C_{10} cycloalkoxycarbonylalkyloxy,
C_7-C_{11} aryloxycarbonylalkyloxy,
C_8-C_{12} aryloxycarbonyloxyalkyloxy,
C_8-C_{12} arylcarbonyloxyalkyloxy,
C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy,
C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or $(R^{11})(R^{12})N-(C_1$-C_{10} alkoxy)-;

R^{20} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from COOH or NR^6_2;

m is 0-4;

n is 0-4;

p is 0-2;

q is 0-2; and

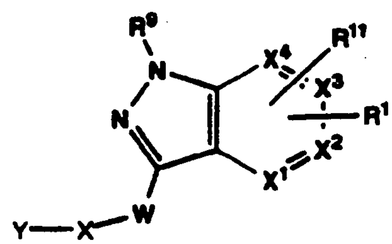
r is 0-2;

with the following provisos:

(1) r, n, m and q are chosen such that the number of atoms connecting R^1 and Y is in the range of 10-14; and

(2) n and m are chosen such that the value of n plus m is greater than one unless U is $-(CH_2)_tQ(CH_2)_m-$.

12. A compound of Claim 11 of the Formula Ic:

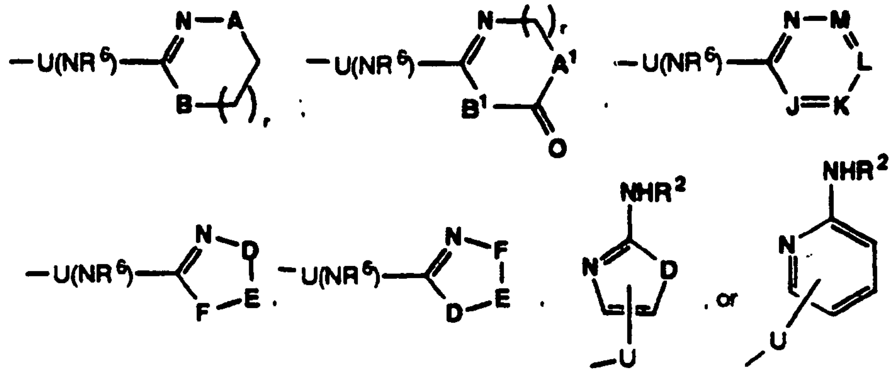


Ic

and pharmaceutically acceptable salt forms thereof wherein:

X^1, X^2, X^3, and X^4 are independently selected from nitrogen or carbon provided that at least two of X^1, X^2, X^3 and X^4 are carbon;

R^1 is selected from:



A and B are independently $-CH_2-$, -O-, $-N(R^2)-$, or -C(=O)-;

A^1 and B^1 are independently $-CH_2-$ or $-N(R^3)-$;

D is $-N(R^2)-$, -O-, -S-, -C(=O)- or $-SO_2-$;

E-F is $-C(R^4)=C(R^5)-$, $-N=C(R^4)-$, $-C(R^4)=N-$, or $-C(R^4)_2C(R^5)_2-$;

J, K, L and M are independently selected from: $-C(R^4)-$, $-C(R^5)-$ or -N-, provided that at least one of J, K, L and M is not -N-;

R^2 is selected from: H, C_1-C_6 alkyl, (C_1-C_6 alkyl)carbonyl, (C_1-C_6 alkoxy)carbonyl, C_1-C_6 alkylaminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)carbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents

selected from the group consisting of C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3, and nitro;

R^3 is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^4 and R^5 are independently selected from: H, C_1-C_4 alkoxy, NR^2R^3, halogen, NO_2, CN, CF_3, C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, C_2-C_7 alkylcarbonyl, arylcarbonyl or

alternatively, when substituents on adjacent atoms, R^4 and R^5 can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, cyano, amino, CF_3, or NO_2;

U is selected from:

$-(CH_2)_n-$,

$-(CH_2)_n(CR^7=CR^8)(CH_2)_m-$

$-(CH_2)_tQ(CH_2)_m-$,

$-(CH_2)_nO(CH_2)_m-$,

$-(CH_2)_nN(R^6)(CH_2)_m-$,

$-(CH_2)_nC(=O)(CH_2)_m-$, or

$-(CH_2)_nS(O)_p(CH_2)_m-$;

wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 and R^8 are independently selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_0-C_6 alkyl)-;

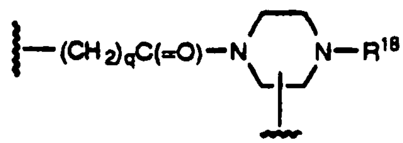
R^9 is selected from: H, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_6 alkenyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from: H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-(C(R^{12})_2)_q-$;

X is $-C(R^{12})(R^{14})-C(R^{12})(R^{15})-$;

alternatively, W and X can be taken together to be



;

R^{12} is H or C_1-C_6 alkyl;

R^{13} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkylmethyl, or aryl(C_1-C_6 alkyl)-;

R^{14} is selected from:

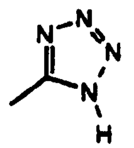
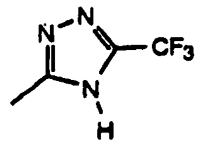
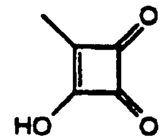
H, C_1-C_6 alkylthioalkyl, aryl(C_1-C_{10} alkylthioalkyl)-, aryl(C_1-C_{10} alkoxyalkyl)-, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_6 hydroxyalkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, or $CONR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-1 R^{16} or 0-2 R^{11};

R^{15} is selected from:

H, R^{16}, C_1-C_{10} alkyl, C_1-C_{10} alkoxyalkyl, C_1-C_{10} alkylaminoalkyl, C_1-C_{10} dialkylaminoalkyl, C_1-C_{10} alkylcarbonyl, aryl(C_0-C_6 alkyl)carbonyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl ,C_3-C_{10} cycloalkyl, C_3-C_{10} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, heteroaryl(C_1-C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17}, $C(=O)R^{17}$, $CONR^{17}R^{20}$, SO_2R^{17}, or $SO_2NR^{17}R^{20}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11};

Y is selected from:

$-COR^{19}$, $-SO_3H$,

 ,  , or  ;

R^{16} is selected from:

$-N(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo,cyano, amino, CF_3, and NO_2;

R^{18} is selected from:

H,
$-C(=O)-O-R^{17}$,
$-C(=O)-R^{17}$,
$-C(=O)-NH-R^{17}$,
$-SO_2-R^{17}$, or
$-SO_2-NR^{20}R^{17}$;

R^{19} is selected from: hydroxy, C_1-C_{10} alkyloxy, C_3-C_{11} cycloalkyloxy, C_6-C_{10} aryloxy, C_7-C_{11} aralkyloxy, C_3-C_{10} alkylcarbonyloxyalkyloxy, C_3-C_{10} alkoxycarbonyloxyalkyloxy, C_2-C_{10} alkoxycarbonylalkyloxy,

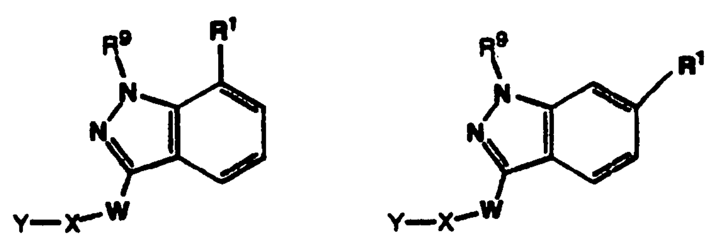
C_5-C_{10} cycloalkylcarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonyloxyalkyloxy, C_5-C_{10} cycloalkoxycarbonylalkyloxy, C_7-C_{11} aryloxycarbonylalkyloxy, C_8-C_{12} aryloxycarbonyloxyalkyloxy, C_8-C_{12} arylcarbonyloxyalkyloxy, C_5-C_{10} alkoxyalkylcarbonyloxyalkyloxy, C_5-C_{10} (5-alkyl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, C_{10}-C_{14} (5-aryl-1,3-dioxa-cyclopenten-2-one-yl)methyloxy, or $(R^{11})(R^{12})N$-(C_1-C_{10} alkoxy)-;

R^{20} selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl(C_1-C_6 alkyl)-, or heteroaryl(C_1-C_6 alkyl)-;

R^{21} is selected from COOH or $NR^6{}_2$;

m is 0-4;
n is 0-4;
t is 0-4;
p is 0-2;
q is 0-2; and
r is 0-2.

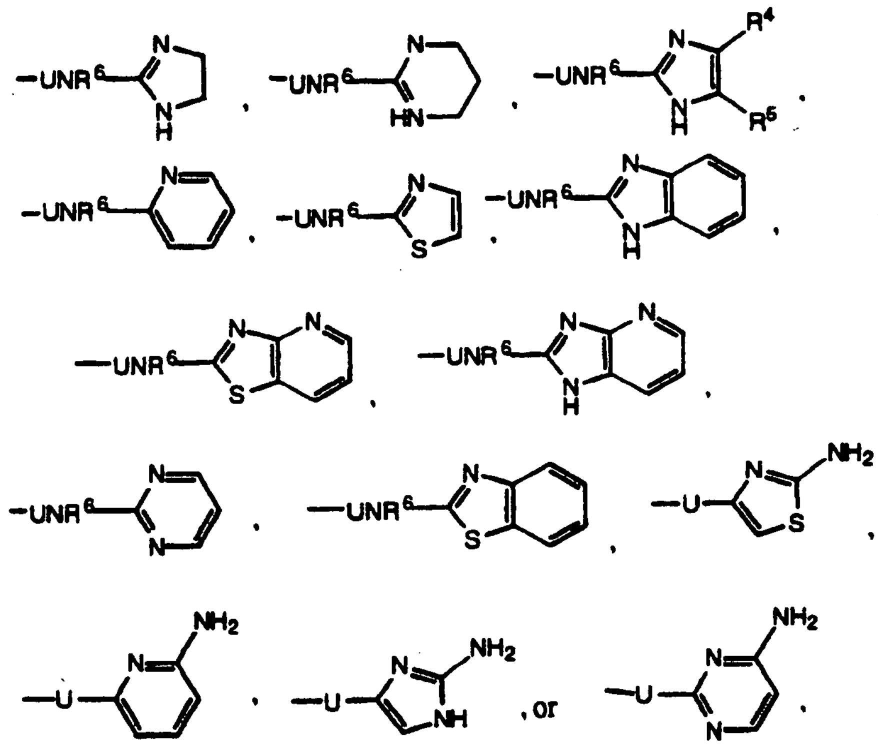
13. A compound of Claim 11 of the Formula IIe or IIf:



IIe IIf

and pharmaceutically acceptable salt forms thereof, wherein:

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl;

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 is selected from: H, C_1-C_4 alkyl, or benzyl;

R^7 is selected from: C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^9 is selected from: H, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};

W is $-C(=O)-N(R^{13})-$;

X is $-CH(R^{14})-CH(R^{15})-$;

R^{13} is H or CH_3;

R^{14} is selected from:
H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is $-COR^{19}$;

R^{16} is selected from:

$-NH(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-N(R^{20})R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:

hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,

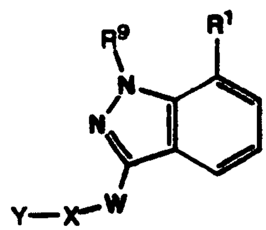
1-(t-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,
diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

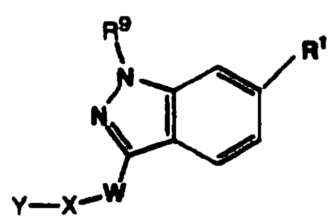
R^{21} is selected from COOH or $NR^6{}_2$; and

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

14. A compound of Claim 11 of the Formula IIe or IIf:



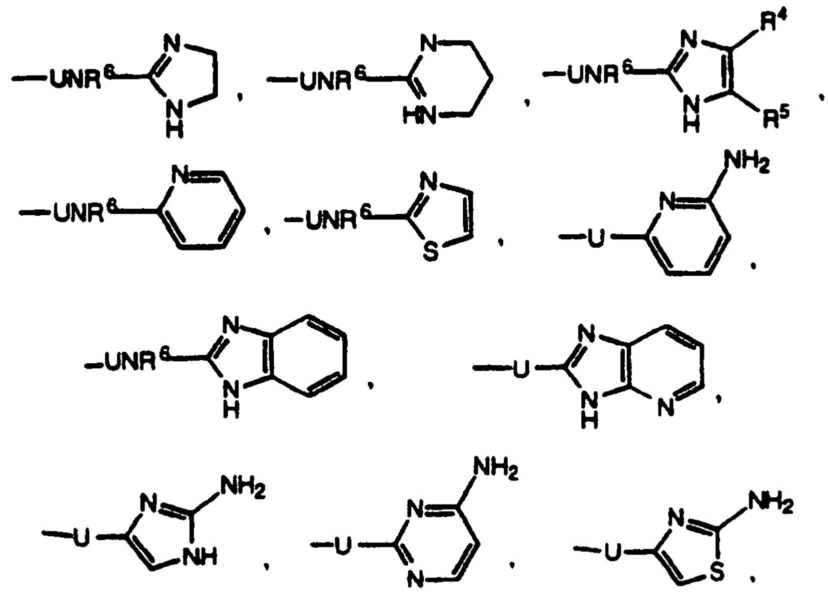
IIe



IIf

and pharmaceutically acceptable salt forms thereof, wherein:

R^1 is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group consisting of: NH_2, halogen, NO_2, CN, CF_3, C_1-C_4 alkoxy, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl;

U is $-(CH_2)_n-$, $-(CH_2)_tQ(CH_2)_m-$ or $-C(=O)(CH_2)_{n-1}-$, wherein one of the methylene groups is optionally substituted with R^7;

Q is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, or 2,4-pyridinylene;

R^6 selected from: H, C_1-C_4 alkyl, or benzyl;

R7 is selected from C_1-C_6 alkyl, C_3-C_7 cycloalkyl, C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl), heteroaryl, or heteroaryl(C_1-C_6 alkyl);

R^9 is selected from: H, $-SO_2R^{17}$, $-SO_2NR^{17}R^{20}$, C_1-C_6 alkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_3-C_7 cycloalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, C_4-C_{11} cycloalkylalkyl substituted with 0-1 R^{15} or 0-1 R^{21}, aryl substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21}, or aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{15} or 0-2 R^{11} or 0-1 R^{21};

R^{11} is selected from H, halogen, CF_3, CN, NO_2, hydroxy, NR^2R^3, C_1-C_4 alkyl substituted with 0-1 R^{21}, C_1-C_4 alkoxy substituted with 0-1 R^{21}, aryl substituted with 0-1 R^{21}, aryl(C_1-C_6 alkyl)- substituted with 0-1 R^{21}, (C_1-C_4 alkoxy)carbonyl substituted with 0-1 R^{21}, (C_1-C_4 alkyl)carbonyl substituted with 0-1 R^{21}, C_1-C_4 alkylsulfonyl substituted with 0-1 R^{21}, or C_1-C_4 alkylaminosulfonyl substituted with 0-1 R^{21};W is -C(=O)-N(R^{13})-;

W is -C(=O)-N(R^{13})-;

X is -CH(R^{14})-CH(R^{15})-;

R^{13} is H or CH_3;

R^{14} is selected from:
H, C_1-C_{10} alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, halo, cyano, amino, CF_3, and NO_2;

R^{15} is H or R^{16};

Y is $-COR^{19}$;

R^{16} is selected from:

$-NH(R^{20})-C(=O)-O-R^{17}$,
$-N(R^{20})-C(=O)-R^{17}$,
$-N(R^{20})-C(=O)-NH-R^{17}$,
$-N(R^{20})SO_2-R^{17}$, or
$-N(R^{20})SO_2-NR^{20}R^{17}$;

R^{17} is selected from:

C_1-C_{10} alkyl, C_3-C_{11} cycloalkyl, aryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)aryl, heteroaryl(C_1-C_6 alkyl)-, (C_1-C_6 alkyl)heteroaryl, biaryl(C_1-C_6 alkyl)-, heteroaryl, or aryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C_1-C_4 alkyl, C_1-C_4 alkoxy, aryl, heteroaryl, halo, cyano, amino, CF_3, and NO_2;

R^{19} is selected from:

hydroxy, C_1-C_{10} alkoxy,
methylcarbonyloxymethoxy-,
ethylcarbonyloxymethoxy-,
t-butylcarbonyloxymethoxy-,
cyclohexylcarbonyloxymethoxy-,
1-(methylcarbonyloxy)ethoxy-,
1-(ethylcarbonyloxy)ethoxy-,
1-(t-butylcarbonyloxy)ethoxy-,
1-(cyclohexylcarbonyloxy)ethoxy-,
i-propyloxycarbonyloxymethoxy-,
t-butyloxycarbonyloxymethoxy-,
1-(i-propyloxycarbonyloxy)ethoxy-,
1-(cyclohexyloxycarbonyloxy)ethoxy-,
1-(*t*-butyloxycarbonyloxy)ethoxy-,
dimethylaminoethoxy-,
diethylaminoethoxy-,
(5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,

(5-(t-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-,
(1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, or
1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20} is H or CH_3;

R^{21} is selected from COOH or $NR^6{}_2$; and

m is 0 or 1;
n is 1-4; and
t is 0 or 1.

15. A method for the treatment of cancer metastasis, diabetic retinopathy, neovascular glaucoma, thrombosis, restenosis, osteoporosis, or macular degeneration which comprises administering to a host in need of such treatment a therapeutically effective amount of a compound of Claim 1-14.

16. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of Claim 1-14.

INTERNATIONAL SEARCH REPORT

Inte nal Application No
PCT/US 96/20523

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D403/12 A61K31/415 C07D401/12 C07D405/14 C07D409/14
C07D413/14 C07D417/14

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 94 18981 A (MERCK & CO INC ;CLAREMON DAVID ALAN (US); BALDWIN JOHN J (US); LIV) 1 September 1994 see page 112 - page 114; claim 1 see page 28, line 21 - line 24 ---	1-15
A	WO 95 14683 A (DU PONT MERCK PHARMA) 1 June 1995 see page 283 - page 289; claim 1 see page 7, line 3 - line 9 ---	1-15
A	EP 0 655 439 A (LILLY CO ELI) 31 May 1995 cited in the application see page 116 - page 117; claim 1 see page 2, line 23 - line 26 -----	1-15

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

3

Date of the actual completion of the international search	Date of mailing of the international search report
7 April 1997	14.04.97

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Fink, D

INTERNATIONAL SEARCH REPORT	International application No. PCT/US 96/20523

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claim 15 is directed to a method of treatment of (diagnostic method practised on) the human/animal body the search has been carried out and based on the alleged effects of the compound/composition.

2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
Claims searched completely: 3-5, 8-10, 13, 14
Claims searched incompletely: 1, 2, 6, 7, 11, 12, 15, 16
see next page

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. ☐ As all searchable claims could be searches without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/210

As the drafting of independent claims 1, 6, and 11 encompasses such an enormous amount of compounds, a complete novelty search is not possible on economic grounds (see WIPO: "PCT Search Guidelines", November 18, 1992, Part B, Chapter III, item 2).

Therefore, the search - as far as novelty is concerned - had to be limited to those compounds of formulae Ia, Ib, and Ic, wherein:

W = $-C(=O)-N(R^{13})-$;

X = $-CH(R^{14})-CH(R^{15})-$; and

Y = $-C(=O)R^{19}$;

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/US 96/20523

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9418981 A	01-09-94	AU 6246594 A	14-09-94
		BG 99863 A	29-02-96
		CA 2155123 A	01-09-94
		CN 1118139 A	06-03-96
		CZ 9502108 A	14-02-96
		EP 0684823 A	06-12-95
		FI 953916 A	21-08-95
		HU 71796 A	28-02-96
		JP 8507072 T	30-07-96
		NO 953270 A	19-10-95
		PL 310386 A	11-12-95
		SK 102495 A	08-01-97
WO 9514683 A	01-06-95	AU 1098095 A	13-06-95
		CA 2174838 A	01-06-95
		EP 0730590 A	11-09-96
		FI 962184 A	23-05-96
		NO 962096 A	23-05-96
		PL 314591 A	16-09-96
		SK 66696 A	06-11-96
		ZA 9409337 A	24-05-96
EP 0655439 A	31-05-95	CA 2134192 A	13-05-95
		JP 7188165 A	25-07-95